

# 61871

## SEARCH REQUEST FORM

U.S. DEPARTMENT OF COMMERCE  
Patent and Trademark Office

RECEIVED

Requestor's

Name:

Rebecca Look

2D01

Serial

Number:

09/867285

Date:

3/7/02

Phone:

308-4493

Art Unit:

1614

### Search Topic:

Please write a detailed statement of search topic. Describe specifically as possible the subject matter to be searched. Define any terms that may have a special meaning. Give examples or relevant citations, authors keywords, etc., if known. For sequences, please attach a copy of the sequence. You may include a copy of the broadest and/or most relevant claim(s).

INV Frank Pypers

1) Please search method of using proton pump  
(genus)  
inhibitor to prevent gastric ulcers.

4 2) Specific inhibitors of claims 8 & 9.

3) Search claim 20.

Thanks  
Rebecca

### STAFF USE ONLY

Point of Contact:

Alexandra Wacławiw

Technical Info. Specialist

CM1 6A02 Tel: 308-4493

Date completed:

Searcher:

Terminal time:

Elapsed time:

CPU time:

Total time:

Number of Searches:

Number of Databases:

Search Site

STIC

CM-1

Pre-S

Type of Search

N.A. Sequence

A.A. Sequence

Structure

Bibliographic

Vendors

IG Suite

STN

Dialog

APS

Geninfo

SDC

DARC/Questel

Other

P.U. 3-14

=&gt; d.his

(FILE 'HCAPLUS' ENTERED AT 10:16:05 ON 14 MAR 2002)  
DEL HIS Y

FILE 'REGISTRY' ENTERED AT 10:18:06 ON 14 MAR 2002  
ACT COOK/A

L1 STR  
L2 1709 SEA FILE=REGISTRY SSS FUL L1

-----  
ACT COOK3/A

L3 ( 1)SEA FILE=REGISTRY ABB=ON OMEPRAZOLE/CN  
L4 ( 1)SEA FILE=REGISTRY ABB=ON LANSOPRAZOLE/CN  
L5 ( 1)SEA FILE=REGISTRY ABB=ON PANTOPRAZOLE/CN  
L6 ( 1)SEA FILE=REGISTRY ABB=ON "E 3810"/CN  
L7 ( 1)SEA FILE=REGISTRY ABB=ON LEMINOPRAZOLE/CN  
L8 ( 2)SEA FILE=REGISTRY ABB=ON "S 4216"/CN  
L9 7 SEA FILE=REGISTRY ABB=ON (L3 OR L4 OR L5 OR L6 OR L7 OR L8)

-----  
ACT COOK2/A

L10 ( 54)SEA FILE=REGISTRY ABB=ON (73590-58-6 OR 103577-45-3 OR 1-2625-  
L11 64 SEA FILE=REGISTRY ABB=ON L10 OR 102625-70-7/CRN  
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FILE 'HCAPLUS' ENTERED AT 10:18:19 ON 14 MAR 2002

L12 2663 S L9 OR L11  
L13 5829 S ANTIULCER?  
L14 16093 S ULCER#  
L15 562 S L12 AND L13  
L16 619 S L14 AND L12  
L17 840 S L15 OR L16  
L18 2220 S PROTON (L) PUMP?  
L19 4874 S (PROTON (L) PUMP? )/AB  
L20 5752 S L18 OR L19  
L21 243 S L20 AND L17  
L22 140 S L18 AND L17  
L23 629 S L18 (L) INHIBIT?  
L24 139 S L22 AND L23  
L25 53985 S (OXYGEN (L) CONSUMP? OR FATIGUE?)  
L26 1 S L24 AND L25  
L27 3981 S PHYSI? (L) PERFORM?  
L28 1 S L27 AND L24  
L29 68634 S (OXYGEN (5A) CONSUMP? OR FATIGUE?)/AB  
L30 4251 S (PHYSI? (5A) PERFORM?)/AB  
L31 72801 S L29 OR L30  
L32 1 S L24 AND L31  
L33 1 S L26 OR L28 OR L32  
L34 58079 S DRUG (L) DELIVER? (L) SYSTEM?  
L35 18 S L34 AND L24  
L36 567092 S OXYGEN?/AB OR OXYGEN?  
L37 2 S L24 AND L36  
L38 701613 S HUMAN OR HORSE OR DOG  
L39 7 S L24 AND L38  
L40 11 S L33 OR L35 OR L37 OR L39  
L41 24 S L33 OR L35 OR L37 OR L39  
L42 19 S L24 AND 63/SX, SC  
L43 6 S L42 NOT L41

Cook 09/867,285

=> fil reg

FILE 'REGISTRY' ENTERED AT 10:28:25 ON 14 MAR 2002

USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

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STRUCTURE FILE UPDATES: 12 MAR 2002 HIGHEST RN 400707-37-1

DICTIONARY FILE UPDATES: 12 MAR 2002 HIGHEST RN 400707-37-1

TSCA INFORMATION NOW CURRENT THROUGH July 7, 2001

Please note that search-term pricing does apply when  
conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Calculated physical property data is now available. See HELP PROPERTIES  
for more information. See STNote 27, Searching Properties in the CAS  
Registry File, for complete details:

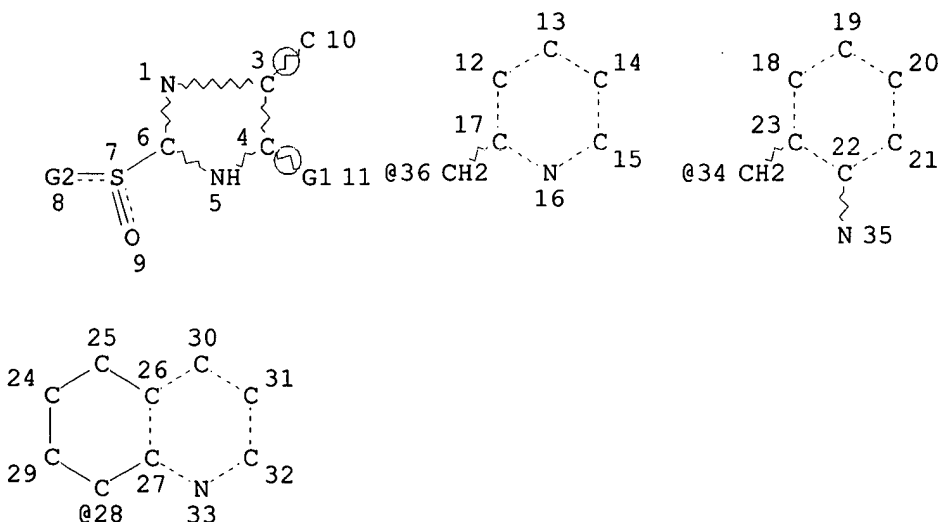
<http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf>

The P indicator for Preparations was not generated for all of the  
CAS Registry Numbers that were added to the H/Z/CA/CAPLUS files between  
12/27/01 and 1/23/02. Use of the P indicator in online and SDI searches  
during this period; either directly appended to a CAS Registry Number  
or by qualifying an L-number with /P, may have yielded incomplete results.  
As of 1/23/02, the situation has been resolved. Also, note that searches  
conducted using the PREP role indicator were not affected.

Customers running searches and/or SDIs in the H/Z/CA/CAPLUS files  
incorporating CAS Registry Numbers with the P indicator between 12/27/01  
and 1/23/02, are encouraged to re-run these strategies. Contact the  
CAS Help Desk at 1-800-848-6533 in North America or 1-614-447-3698,  
worldwide, or send an e-mail to [help@cas.org](mailto:help@cas.org) for further assistance or to  
receive a credit for any duplicate searches.

=> d que stat 12

L1 STR



VAR G1=N/C  
 VAR G2=36/34/28  
 NODE ATTRIBUTES:  
 DEFAULT MLEVEL IS ATOM  
 DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:  
 RSPEC I  
 NUMBER OF NODES IS 35

STEREO ATTRIBUTES: NONE  
 L2 1709 SEA FILE=REGISTRY SSS FUL L1

100.0% PROCESSED 2687 ITERATIONS  
 SEARCH TIME: 00.00.01

1709 ANSWERS

=> d que 19

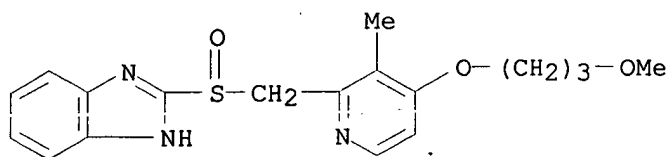
L3 ( 1)SEA FILE=REGISTRY ABB=ON OMEPRAZOLE/CN  
 L4 ( 1)SEA FILE=REGISTRY ABB=ON LANSOPRAZOLE/CN  
 L5 ( 1)SEA FILE=REGISTRY ABB=ON PANTOPRAZOLE/CN  
 L6 ( 1)SEA FILE=REGISTRY ABB=ON "E 3810"/CN  
 L7 ( 1)SEA FILE=REGISTRY ABB=ON LEMINOPRAZOLE/CN  
 L8 ( 2)SEA FILE=REGISTRY ABB=ON "S 4216"/CN  
 L9 7 SEA FILE=REGISTRY ABB=ON (L3 OR L4 OR L5 OR L6 OR L7 OR L8)

=> d 19 1-7

L9 ANSWER 1 OF 7 REGISTRY COPYRIGHT 2002 ACS  
 RN 117976-90-6 REGISTRY  
 CN 1H-Benzimidazole, 2-[[[4-(3-methoxypropoxy)-3-methyl-2-pyridinyl]methyl]sulfinyl]-, sodium salt (9CI) (CA INDEX NAME)

OTHER NAMES:

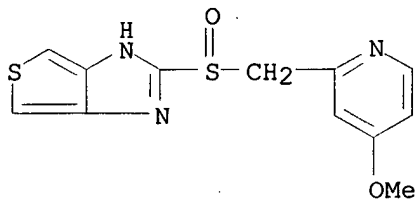
CN **E 3810**  
 CN LY 307640 sodium  
 CN Pariprazole  
 CN Rabeprazole sodium  
 DR 226904-80-9  
 MF C18 H21 N3 O3 S . Na  
 CI COM  
 SR CA  
 LC STN Files: ADISINSIGHT, ADISNEWS, ANABSTR, BIOBUSINESS, BIOSIS, BIOTECHNO, CA, CANCERLIT, CAPLUS, CASREACT, CBNB, CIN, DDFU, DIOGENES, DRUGPAT, DRUGU, DRUGUPDATES, EMBASE, IPA, MEDLINE, MRCK\*, PHAR, PROMT, SYNTHLINE, TOXCENTER, USAN, USPATFULL  
 (\*File contains numerically searchable property data)  
 CRN (117976-89-3)



● Na

60 REFERENCES IN FILE CA (1967 TO DATE)  
60 REFERENCES IN FILE CAPLUS (1967 TO DATE)

L9 ANSWER 2 OF 7 REGISTRY COPYRIGHT 2002 ACS  
RN 111371-26-7 REGISTRY  
CN 1H-Thieno[3,4-d]imidazole, 2-[[4-methoxy-2-pyridinyl)methyl]sulfinyl]-  
(9CI) (CA INDEX NAME)  
OTHER NAMES:  
CN **S 4216**  
FS 3D CONCORD  
MF C12 H11 N3 O2 S2  
SR CA  
LC STN Files: ADISINSIGHT, BEILSTEIN\*, BIOSIS, CA, CAPLUS, CASREACT,  
USPATFULL  
(\*File contains numerically searchable property data)



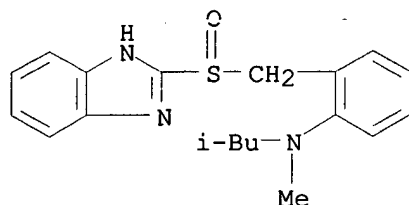
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

5 REFERENCES IN FILE CA (1967 TO DATE)  
5 REFERENCES IN FILE CAPLUS (1967 TO DATE)

L9 ANSWER 3 OF 7 REGISTRY COPYRIGHT 2002 ACS  
RN 104340-86-5 REGISTRY  
CN Benzenamine, 2-[(1H-benzimidazol-2-yl)sulfinyl]methyl]-N-methyl-N-(2-methylpropyl)- (9CI) (CA INDEX NAME)  
OTHER NAMES:  
CN 2-[2-(N-Isobutyl-N-methylamino)benzylsulfinyl]benzimidazole  
CN **Leminoprazole**  
CN NC 130003  
FS 3D CONCORD  
MF C19 H23 N3 O S  
CI COM  
SR CA  
LC STN Files: ADISINSIGHT, ADISNEWS, BEILSTEIN\*, BIOBUSINESS, BIOSIS, BIOTECHNO, CA, CANCERLIT, CAPLUS, CASREACT, DDFU, DRUGNL, DRUGPAT, DRUGU, DRUGUPDATES, EMBASE, IPA, MEDLINE, PHAR, PROMT, SYNTHLINE,

TOXCENTER, USAN, USPATFULL

(\*File contains numerically searchable property data)



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

65 REFERENCES IN FILE CA (1967 TO DATE)  
 2 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA  
 65 REFERENCES IN FILE CAPLUS (1967 TO DATE)

L9 ANSWER 4 OF 7 REGISTRY COPYRIGHT 2002 ACS

RN 103577-45-3 REGISTRY

CN 1H-Benzimidazole, 2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl]methyl]sulfinyl]- (9CI) (CA INDEX NAME)

OTHER NAMES:

CN (.+-.)-Lansoprazole

CN 2-[[[3-Methyl-4-(2,2,2-trifluoroethoxy)-2-pyridyl]methyl]sulfinyl]-1H-benzimidazole

CN A 65006

CN AG 1749

CN Agopton

CN Ilsatec

CN Ketian

CN Lancid

CN Lanfast

CN Lanproton

CN Lansopep

CN **Lansoprazole**

CN Lanston

CN Lanz

CN Lanzol 30

CN Lanzopral

CN Lanzor

CN Ogastro

CN PP/K-10

CN Prevacid

CN Promp

CN Prosogan

CN Suprecid

CN Takepron

CN Ulpax

CN Zoton

FS 3D CONCORD

DR 154727-72-7

MF C16 H14 F3 N3 O2 S

CI COM

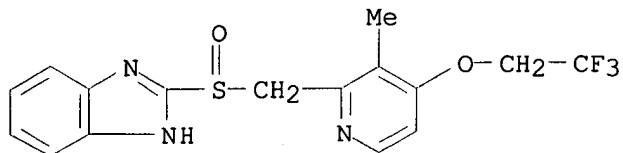
SR CA

LC STN Files: ADISINSIGHT, ADISNEWS, AGRICOLA, ANABSTR, BEILSTEIN\*,  
 BIOBUSINESS, BIOSIS, BIOTECHNO, CA, CANCERLIT, CAPLUS, CASREACT, CBNB,  
 CHEMCATS, CIN, DDFU, DIOGENES, DRUGNL, DRUGPAT, DRUGU, DRUGUPDATES,

EMBASE, IPA, MEDLINE, MRCK\*, PHAR, PROMT, RTECS\*, SYNTHLINE, TOXCENTER,  
USAN, USPATFULL

(\*File contains numerically searchable property data)

Other Sources: WHO



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

663 REFERENCES IN FILE CA (1967 TO DATE)

9 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA

667 REFERENCES IN FILE CAPLUS (1967 TO DATE)

L9 ANSWER 5 OF 7 REGISTRY COPYRIGHT 2002 ACS

RN 102625-70-7 REGISTRY

CN 1H-Benzimidazole, 5-(difluoromethoxy)-2-[[ (3,4-dimethoxy-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)

OTHER NAMES:

CN 5-(Difluoromethoxy)-2-[[ (3,4-dimethoxy-2-pyridyl)methyl]sulfinyl]-1H-benzimidazole

CN BY 1023

CN **Pantoprazole**

CN SKF 96022

FS 3D CONCORD

DR 154644-14-1

MF C16 H15 F2 N3 O4 S

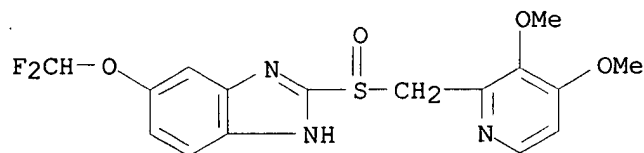
CI COM

SR CA

LC STN Files: ADISINSIGHT, ADISNEWS, AGRICOLA, ANABSTR, BEILSTEIN\*, BIOBUSINESS, BIOSIS, BIOTECHNO, CA, CANCERLIT, CAPLUS, CASREACT, CBNB, CIN, DDFU, DIOGENES, DRUGNL, DRUGPAT, DRUGU, DRUGUPDATES, EMBASE, IPA, MEDLINE, MRCK\*, MSDS-OHS, PHAR, PROMT, RTECS\*, SYNTHLINE, TOXCENTER, USAN, USPATFULL

(\*File contains numerically searchable property data)

Other Sources: WHO



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

300 REFERENCES IN FILE CA (1967 TO DATE)

10 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA

303 REFERENCES IN FILE CAPLUS (1967 TO DATE)



L9 ANSWER 6 OF 7 REGISTRY COPYRIGHT 2002 ACS

RN 73590-58-6 REGISTRY

CN 1H-Benzimidazole, 5-methoxy-2-[[[4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)

## OTHER NAMES:

CN (.+.)-Omeprazole

CN 2-[[[3,5-Dimethyl-4-methoxy-2-pyridyl)methyl]sulfinyl]-5-methoxy-1H-benzimidazole

CN Acidex

CN Antra

CN Antra MUPS

CN Audazol

CN Aulcer

CN Belmazol

CN Ceprandal

CN Desec

CN Dizprazol

CN Dudencer

CN Elgam

CN Emeproton

CN Epirazole

CN Gastrimut

CN Gastroloc

CN Gastrozole

CN Gibancer

CN H 168/68

CN Indurgan

CN Inhibitron

CN Inhipump

CN Logastric

CN Lomac

CN Losec

CN Miol

CN Miracid

CN Mopral

CN Ocid

CN Omapren

CN Omebeta 20

CN Omed

CN Omedar

CN OMEP

CN Omepral

CN **Omeprazole**

CN Omeprazon

CN Omepril

CN Omezol

CN Omezzol

CN Omid

CN Omisec

CN Omizac

CN OMP

CN Ompanyt

CN OMZ

CN Oprax

CN Opraz

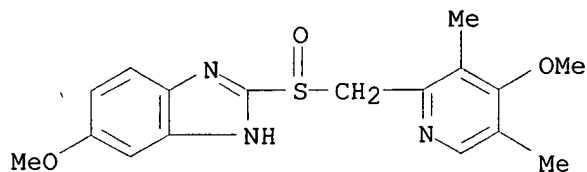
CN Ozoken

ADDITIONAL NAMES NOT AVAILABLE IN THIS FORMAT - Use FCN, FIDE, or ALL for DISPLAY

FS 3D CONCORD

DR 172964-80-6, 131959-78-9

MF C17 H19 N3 O3 S  
 CI COM  
 LC STN Files: ADISINSIGHT, ADISNEWS, AGRICOLA, ANABSTR, BEILSTEIN\*,  
 BIOBUSINESS, BIOSIS, BIOTECHNO, CA, CANCERLIT, CAPLUS, CASREACT, CBNB,  
 CEN, CHEMCATS, CIN, CSCHEM, CSNB, DDFU, DIOGENES, DRUGNL, DRUGPAT,  
 DRUGU, DRUGUPDATES, EMBASE, HSDB\*, IPA, MEDLINE, MRCK\*, PHAR,  
 PHARMASEARCH, PIRA, PROMT, RTECS\*, SYNTHLINE, TOXCENTER, USAN,  
 USPATFULL, VETU  
 (\*File contains numerically searchable property data)  
 Other Sources: WHO

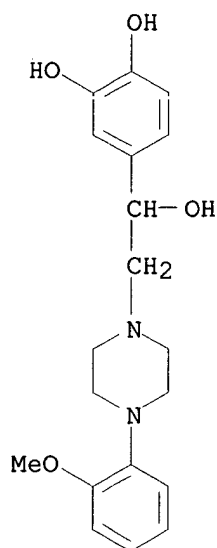


5,413 38

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

2055 REFERENCES IN FILE CA (1967 TO DATE)  
 39 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA  
 2063 REFERENCES IN FILE CAPLUS (1967 TO DATE)

L9 ANSWER 7 OF 7 REGISTRY COPYRIGHT 2002 ACS  
 RN 15534-05-1 REGISTRY  
 CN 1,2-Benzenediol, 4-[1-hydroxy-2-[4-(2-methoxyphenyl)-1-piperazinyl]ethyl]-  
 (9CI) (CA INDEX NAME)  
 OTHER CA INDEX NAMES:  
 CN 1-Piperazineethanol, .alpha.-(3,4-dihydroxyphenyl)-4-(o-methoxyphenyl)-  
 (8CI)  
 OTHER NAMES:  
 CN 711SE  
 CN Pipratecol  
 CN S 4216  
 FS 3D CONCORD  
 MF C19 H24 N2 O4  
 CI COM  
 LC STN Files: BEILSTEIN\*, BIOSIS, CA, CAPLUS, CHEMLIST, DDFU, DRUGU,  
 EMBASE, IFICDB, IFIPAT, IFIUDB, MEDLINE, TOXCENTER, USAN  
 (\*File contains numerically searchable property data)  
 Other Sources: EINECS\*\*, WHO  
 (\*\*Enter CHEMLIST File for up-to-date regulatory information)



514/252.12  
255.03

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

7 REFERENCES IN FILE CA (1967 TO DATE)  
7 REFERENCES IN FILE CAPLUS (1967 TO DATE)

=> d que l11

L10 ( 54) SEA FILE=REGISTRY ABB=ON (73590-58-6 OR 103577-45-3 OR  
1-2625-70-7 OR 117976-90-6 OR 104340-86-5 OR 111371-26-7 OT  
15534-05-1)/CRN  
L11 64 SEA FILE=REGISTRY ABB=ON L10 OR 102625-70-7/CRN

=> fil hcaplus

FILE 'HCAPLUS' ENTERED AT 10:28:58 ON 14 MAR 2002  
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.  
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.  
COPYRIGHT (C) 2002 AMERICAN CHEMICAL SOCIETY (ACS)

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FILE COVERS 1907 - 14 Mar 2002 VOL 136 ISS 11  
FILE LAST UPDATED: 12 Mar 2002 (20020312/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

CAS roles have been modified effective December 16, 2001. Please check your SDI profiles to see if they need to be revised. For information on CAS roles, enter HELP ROLES at an arrow prompt or use the CAS Roles thesaurus (/RL field) in this file.

The P indicator for Preparations was not generated for all of the CAS Registry Numbers that were added to the CAS files between 12/27/01 and 1/23/02. As of 1/23/02, the situation has been resolved. Searches and/or SDIs in the H/Z/CA/CAplus files incorporating CAS Registry Numbers with the P indicator executed between 12/27/01 and 1/23/02 may be incomplete. See the NEWS message on this topic for more information.  
'OBI' IS DEFAULT SEARCH FIELD FOR 'HCAPLUS' FILE

=> d his l12-

(FILE 'REGISTRY' ENTERED AT 10:18:06 ON 14 MAR 2002)

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FILE 'HCAPLUS' ENTERED AT 10:18:19 ON 14 MAR 2002

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L12      2663 S L9 OR L11
L13      5829 S ANTIULCER?
L14      16093 S ULCER#
L15      562 S L12 AND L13
L16      619 S L14 AND L12
L17      840 S L15 OR L16
L18      2220 S PROTON (L) PUMP?
L19      4874 S (PROTON (L) PUMP? )/AB
L20      5752 S L18 OR L19
L21      243 S L20 AND L17
L22      140 S L18 AND L17
L23      629 S L18 (L) INHIBIT?
L24      139 S L22 AND L23
L25      53985 S (OXYGEN (L) CONSUMP? OR FATIGUE?)
L26      1 S L24 AND L25
L27      3981 S PHYSI? (L) PERFORM?
L28      1 S L27 AND L24
L29      68634 S (OXYGEN (5A) CONSUMP? OR FATIGUE?)/AB
L30      4251 S (PHYSI? (5A) PERFORM?)/AB
L31      72801 S L29 OR L30
L32      1 S L24 AND L31
L33      1 S L26 OR L28 OR L32
L34      58079 S DRUG (L) DELIVER? (L) SYSTEM?
L35      18 S L34 AND L24
L36      567092 S OXYGEN?/AB OR OXYGEN?
L37      2 S L24 AND L36
L38      701613 S HUMAN OR HORSE OR DOG
L39      7 S L24 AND L38
L40      11 S L33 OR L35 OR L37 OR L39
L41      24 S L33 OR L35 OR L37 OR L39
L42      19 S L24 AND 63/SX,SC
L43      6 S L42 NOT L41

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FILE 'REGISTRY' ENTERED AT 10:28:25 ON 14 MAR 2002

FILE 'HCAPLUS' ENTERED AT 10:28:58 ON 14 MAR 2002

=> d .ca hitstr l41 1-24;d .ca hitstr l43 1-6

L41 ANSWER 1 OF 24 HCAPLUS COPYRIGHT 2002 ACS  
ACCESSION NUMBER: 2001:885754 HCAPLUS

DOCUMENT NUMBER: 136:651  
 TITLE: Methods for prevention of **ulcers** and  
 improving **physiological performance**  
 by administering a **proton pump**  
**inhibitor**  
 INVENTOR(S): Pipers, Frank  
 PATENT ASSIGNEE(S): Meril Ltd., UK  
 SOURCE: PCT Int. Appl., 17 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001091748	A2	20011206	WO 2001-EP5788	20010518
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			

PRIORITY APPLN. INFO.: US 2000-207878 P 20000530

OTHER SOURCE(S): MARPAT 136:651

- AB Methods for preventing ulcers, such as gastric ulcers, as well as for improving **oxygen consumption** and/or time to **fatigue** in horses, dogs, or humans involving administering a proton pump inhibitor (PPI) are disclosed and claimed. The specific PPIs claimed for use are omeprazole, lansoprazole, pantoprazole, E-3810, leminoprazole, and S-4216. Formulations contg. the PPIs are also claimed.
- IC ICM A61K031-415  
 ICS A61K031-44; A61P001-04
- CC 1-9 (Pharmacology)
- ST **ulcer prevention physiol performance**  
**improvement proton pump inhibitor;**  
**horse ulcer prevention physiol**  
**performance improvement proton pump**  
**inhibitor; dog ulcer prevention**  
**physiol performance improvement proton**  
**pump inhibitor**
- IT **Drug delivery systems**  
 (bolus; methods for prevention of **ulcers** and improving **physiol. performance** by administering a formulation contg. a **proton pump inhibitor**)
- IT **Drug delivery systems**  
 (capsules; methods for prevention of **ulcers** and improving **physiol. performance** by administering a formulation contg. a **proton pump inhibitor**)
- IT **Drug delivery systems**  
 (controlled-release; methods for prevention of **ulcers** and improving **physiol. performance** by administering a formulation contg. a **proton pump inhibitor**)
- IT **Drug delivery systems**  
 (gels; methods for prevention of **ulcers** and improving **physiol. performance** by administering a formulation

- contg. a **proton pump inhibitor**)
- IT **Drug delivery systems**  
(granules; methods for prevention of **ulcers** and improving **physiol. performance** by administering a formulation contg. a **proton pump inhibitor**)
- IT **Drug delivery systems**  
(methods for prevention of **ulcers** and improving **physiol. performance** by administering a formulation contg. a **proton pump inhibitor**)
- IT **Antiulcer agents**  
Dog (Canis familiaris)  
Fatigue, biological  
Horse (Equus caballus)  
Human  
Respiration, animal  
(methods for prevention of **ulcers** and improving **physiol. performance** by administering a **proton pump inhibitor**)
- IT **Drug delivery systems**  
(oral pastes; methods for prevention of **ulcers** and improving **physiol. performance** by administering a formulation contg. a **proton pump inhibitor**)
- IT **Drug delivery systems**  
(powders; methods for prevention of **ulcers** and improving **physiol. performance** by administering a formulation contg. a **proton pump inhibitor**)
- IT **Feed**  
(premix; methods for prevention of **ulcers** and improving **physiol. performance** by administering a formulation contg. a **proton pump inhibitor**)
- IT **Drug delivery systems**  
(solns., oral; methods for prevention of **ulcers** and improving **physiol. performance** by administering a formulation contg. a **proton pump inhibitor**)
- IT **Drug delivery systems**  
(suspensions, oral; methods for prevention of **ulcers** and improving **physiol. performance** by administering a formulation contg. a **proton pump inhibitor**)
- IT **Drug delivery systems**  
(sustained-release; methods for prevention of **ulcers** and improving **physiol. performance** by administering a formulation contg. a **proton pump inhibitor**)
- IT **Drug delivery systems**  
(tablets; methods for prevention of **ulcers** and improving **physiol. performance** by administering a formulation contg. a **proton pump inhibitor**)
- IT **Digestive tract**  
Stomach, disease  
(**ulcer**; methods for prevention of **ulcers** and improving **physiol. performance** by administering a **proton pump inhibitor**)
- IT **111371-26-7, S 4216**  
RL: PAC (Pharmacological activity); BIOL (Biological study)  
(S 4216; methods for prevention of **ulcers** and improving **physiol. performance** by administering a **proton pump inhibitor**)
- IT **73590-58-6, Omeprazole 102625-70-7, Pantoprazole 103577-45-3, Lansoprazole 104340-86-5, Leminoprazole**

117976-90-6, E-3810

RL: PAC (Pharmacological activity); BIOL (Biological study)  
 (methods for prevention of **ulcers** and improving  
**physiol. performance** by administering a  
**proton pump inhibitor**)

IT 9000-83-3

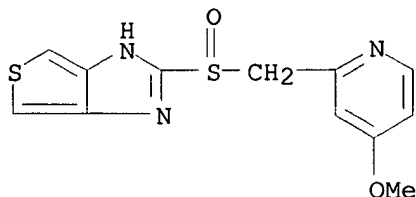
RL: BSU (Biological study, unclassified); BIOL (Biological study)  
 (**proton-translocating, inhibitor**; methods for  
 prevention of **ulcers** and improving **physiol.**  
**performance** by administering a **proton pump**  
**inhibitor**)

IT 111371-26-7, S 4216

RL: PAC (Pharmacological activity); BIOL (Biological study)  
 (S 4216; methods for prevention of **ulcers** and improving  
**physiol. performance** by administering a  
**proton pump inhibitor**)

RN 111371-26-7 HCAPLUS

CN 1H-Thieno[3,4-d]imidazole, 2-[[[4-methoxy-2-pyridinyl)methyl]sulfinyl]-  
 (9CI) (CA INDEX NAME)

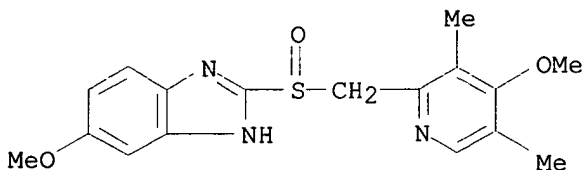


IT 73590-58-6, Omeprazole 102625-70-7, Pantoprazole  
 103577-45-3, Lansoprazole 104340-86-5, Leminoprazole  
 117976-90-6, E-3810

RL: PAC (Pharmacological activity); BIOL (Biological study)  
 (methods for prevention of **ulcers** and improving  
**physiol. performance** by administering a  
**proton pump inhibitor**)

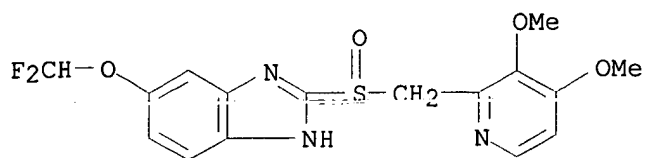
RN 73590-58-6 HCAPLUS

CN 1H-Benzimidazole, 5-methoxy-2-[[[4-methoxy-3,5-dimethyl-2-  
 pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



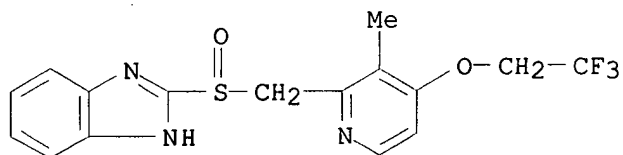
RN 102625-70-7 HCAPLUS

CN 1H-Benzimidazole, 5-(difluoromethoxy)-2-[[[3,4-dimethoxy-2-  
 pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



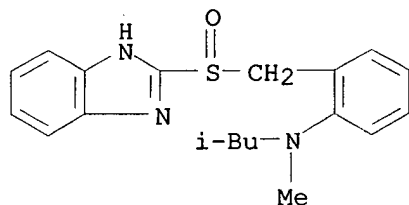
RN 103577-45-3 HCAPLUS

CN 1H-Benzimidazole, 2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl]methyl]sulfinyl]- (9CI) (CA INDEX NAME)



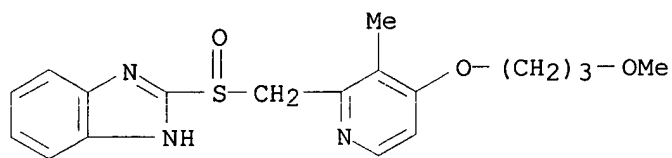
RN 104340-86-5 HCAPLUS

CN Benzenamine, 2-[(1H-benzimidazol-2-ylsulfinyl)methyl]-N-methyl-N-(2-methylpropyl)- (9CI) (CA INDEX NAME)



RN 117976-90-6 HCAPLUS

CN 1H-Benzimidazole, 2-[[[4-(3-methoxypropoxy)-3-methyl-2-pyridinyl]methyl]sulfinyl]-, sodium salt (9CI) (CA INDEX NAME)



● Na

L41 ANSWER 2 OF 24 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2001:247197 HCAPLUS

DOCUMENT NUMBER: 134:247252

TITLE: Use of pentagastrin to inhibit gastric acid secretion or as a diuretic

INVENTOR(S): Pisegna, Joseph R.; Wank, Stephen



PATENT ASSIGNEE(S): The Regents of the University of California, USA  
 SOURCE: PCT Int. Appl., 42 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001022985	A1	20010405	WO 2000-US26992	20000928
W: CA, JP				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				

PRIORITY APPLN. INFO.: US 1999-156491 P 19990928  
 US 2000-671764 A 20000927

AB Pentagastrin, when administered in conjunction with a proton pump inhibitor (PPI), is synergistic with the PPI and significantly increases the efficacy of the PPI in reducing/mitigating excess gastric acid secretion.

IC ICM A61K038-00

CC 1-9 (Pharmacology)  
 Section cross-reference(s): 2

ST pentagastrin **proton pump inhibitor** gastric acid secretion; diuretic pentagastrin gastric acid secretion

IT Kidney  
 (CCKB/gastrin receptors; pentagastrin, gastrin, or analog and **proton pump inhibitor** in reducing gastric acid secretion)

IT Ear  
 (Meniere's disease; pentagastrin, gastrin, or analog and **proton pump inhibitor** in reducing gastric acid secretion)

IT Pancreas, neoplasm  
 (Zollinger-Ellison syndrome; pentagastrin, gastrin, or analog and **proton pump inhibitor** in reducing gastric acid secretion)

IT Macrolides  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (antibiotics; pentagastrin, gastrin, or analog and **proton pump inhibitor** in reducing gastric acid secretion)

IT Stomach, disease  
 (atrophic gastritis; pentagastrin, gastrin, or analog and **proton pump inhibitor** in reducing gastric acid secretion)

IT Cholecystokinin receptors  
 RL: BOC (Biological occurrence); BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); OCCU (Occurrence); PROC (Process)  
 (cholecystokinin B; pentagastrin, gastrin, or analog and **proton pump inhibitor** in reducing gastric acid secretion)

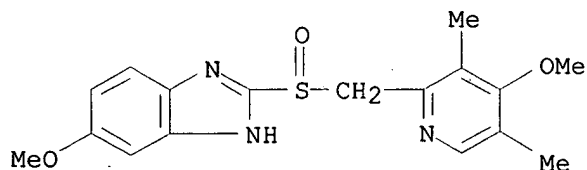
IT Esophagus  
 (esophagitis; pentagastrin, gastrin, or analog and **proton pump inhibitor** in reducing gastric acid secretion)

IT Kidney, disease  
 (failure, acute, fluid retention assocd. with; pentagastrin, gastrin, or analog and **proton pump inhibitor** in reducing gastric acid secretion)

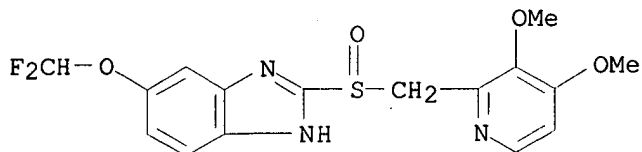
IT Kidney, disease

- (failure, chronic, fluid retention assocd. with; pentagastrin, gastrin, or analog and **proton pump inhibitor** in reducing gastric acid secretion)
- IT Heart, disease  
Liver, disease  
(failure, fluid retention assocd. with; pentagastrin, gastrin, or analog and **proton pump inhibitor** in reducing gastric acid secretion)
- IT Cirrhosis  
(fluid retention assocd. with; pentagastrin, gastrin, or analog and **proton pump inhibitor** in reducing gastric acid secretion)
- IT Digestive tract  
(gastroesophageal reflux; pentagastrin, gastrin, or analog and **proton pump inhibitor** in reducing gastric acid secretion)
- IT Kidney, disease  
Liver, disease  
(hepatorenal syndrome; pentagastrin, gastrin, or analog and **proton pump inhibitor** in reducing gastric acid secretion)
- IT **Drug delivery systems**  
(injections, s.c.; pentagastrin, gastrin, or analog and **proton pump inhibitor** in reducing gastric acid secretion)
- IT Antibiotics  
(macrolide; pentagastrin, gastrin, or analog and **proton pump inhibitor** in reducing gastric acid secretion)
- IT Diabetes insipidus  
(nephrogenic; pentagastrin, gastrin, or analog and **proton pump inhibitor** in reducing gastric acid secretion)
- IT Antibiotics  
Antihypertensives  
**Antiulcer agents**  
Calculi, renal  
Diuretics  
(pentagastrin, gastrin, or analog and **proton pump inhibitor** in reducing gastric acid secretion)
- IT Tetracyclines  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(pentagastrin, gastrin, or analog and **proton pump inhibitor** in reducing gastric acid secretion)
- IT **Ulcer**  
(peptic; pentagastrin, gastrin, or analog and **proton pump inhibitor** in reducing gastric acid secretion)
- IT Pericardium  
(pericarditis, constrictive; pentagastrin, gastrin, or analog and **proton pump inhibitor** in reducing gastric acid secretion)
- IT Antibiotics  
(quinolone, fluoroquinolone; pentagastrin, gastrin, or analog and **proton pump inhibitor** in reducing gastric acid secretion)
- IT Acidosis  
(renal tubular; pentagastrin, gastrin, or analog and **proton pump inhibitor** in reducing gastric acid secretion)
- IT Gastric acid  
(secretion; pentagastrin, gastrin, or analog and **proton pump inhibitor** in reducing gastric acid secretion)

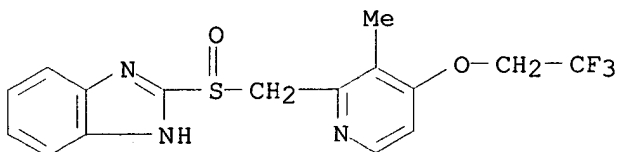
- IT Drug interactions  
(synergistic; pentagastrin, gastrin, or analog and **proton pump inhibitor** in reducing gastric acid secretion)
- IT Kidney, disease  
(tubular acidosis; pentagastrin, gastrin, or analog and **proton pump inhibitor** in reducing gastric acid secretion)
- IT 13721-01-2D, derivs., antibiotics  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(fluoroquinolone antibiotics; pentagastrin, gastrin, or analog and **proton pump inhibitor** in reducing gastric acid secretion)
- IT 7440-70-2, Calcium, biological studies  
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)  
(kidney stone; pentagastrin, gastrin, or analog and **proton pump inhibitor** in reducing gastric acid secretion)
- IT 76824-35-6, Famotidine  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)  
(pentagastrin, gastrin, or analog and **proton pump inhibitor** in reducing gastric acid secretion)
- IT 60-54-8D, Tetracycline, derivs. 1406-05-9, Penicillin 5534-95-2, Pentagastrin 5534-95-2D, Pentagastrin, analogs 9002-76-0, Gastrin 9002-76-0D, Gastrin, analogs 11111-12-9, Cephalosporin 11111-12-9D, Cephalosporin, derivs. 73590-58-6, Omeprazole 102625-70-7, Pantoprazole 103577-45-3, Lansoprazole 117976-89-3, Rabeprazole  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(pentagastrin, gastrin, or analog and **proton pump inhibitor** in reducing gastric acid secretion)
- IT 7440-23-5, Sodium, biological studies 9000-83-3, ATPase 12408-02-5, Hydrogen ion, biological studies  
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)  
(pentagastrin, gastrin, or analog and **proton pump inhibitor** in reducing gastric acid secretion)
- IT 73590-58-6, Omeprazole 102625-70-7, Pantoprazole 103577-45-3, Lansoprazole  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(pentagastrin, gastrin, or analog and **proton pump inhibitor** in reducing gastric acid secretion)
- RN 73590-58-6 HCAPLUS
- CN 1H-Benzimidazole, 5-methoxy-2-[[[4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



RN 102625-70-7 HCAPLUS  
 CN 1H-Benzimidazole, 5-(difluoromethoxy)-2-[[3,4-dimethoxy-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



RN 103577-45-3 HCAPLUS  
 CN 1H-Benzimidazole, 2-[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L41 ANSWER 3 OF 24 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2001:225254 HCAPLUS

DOCUMENT NUMBER: 134:242695

TITLE: Tablet composition containing **proton pump inhibitors** and antibiotics for the treatment of stomach infections

PATENT ASSIGNEE(S): Weigl, Andreas, Germany

SOURCE: Ger. Gebrauchsmusterschrift, 10 pp.

CODEN: GGXXFR

DOCUMENT TYPE: Patent

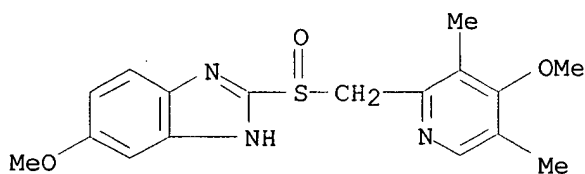
LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

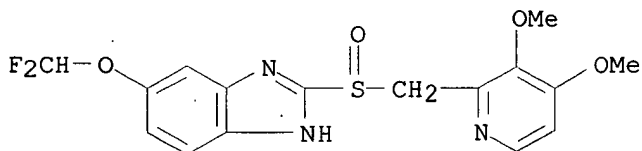
PATENT INFORMATION:

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	DE 29918478	U1	20010329	DE 1999-29918478	19991021
AB	The invention concerns tablet compns. that contain proton pump inhibitors and antibiotics for the treatment of stomach infections. Typical tablet compn. contains (mg): Omeprazole 20; clarithromycin 250; metronidazol 500.				
IC	ICM A61K045-00				
CC	63-6 (Pharmaceuticals)				
	Section cross-reference(s): 1				
ST	tablet compn <b>proton pump inhibitor</b>				
	antibiotic stomach infection				
IT	Antibacterial agents				
	Antibiotics				
	<b>Antiulcer</b> agents				
	(Tablet compn. contg. <b>proton pump inhibitors</b> and antibiotics for the treatment of stomach infections)				

- IT **Drug delivery systems**  
(enteric; Tablet compn. contg. **proton pump inhibitors** and antibiotics for the treatment of stomach infections)
- IT Biological transport  
(hydrogen ion, **inhibitors**; Tablet compn. contg. **proton pump inhibitors** and antibiotics for the treatment of stomach infections)
- IT Stomach, disease  
(infection; Tablet compn. contg. **proton pump inhibitors** and antibiotics for the treatment of stomach infections)
- IT **Drug delivery systems**  
(tablets; Tablet compn. contg. **proton pump inhibitors** and antibiotics for the treatment of stomach infections)
- IT 443-48-1, Metronidazol 73590-58-6, Omeprazole 81103-11-9, Clarithromycin 102625-70-7, Pantoprazole 103577-45-3, Lansoprazole  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(Tablet compn. contg. **proton pump inhibitors** and antibiotics for the treatment of stomach infections)
- IT 73590-58-6, Omeprazole 102625-70-7, Pantoprazole 103577-45-3, Lansoprazole  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(Tablet compn. contg. **proton pump inhibitors** and antibiotics for the treatment of stomach infections)
- RN 73590-58-6 HCAPLUS
- CN 1H-Benzimidazole, 5-methoxy-2-[[[4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)

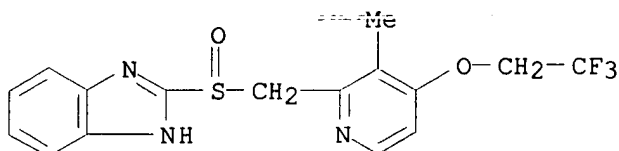


- RN 102625-70-7 HCAPLUS
- CN 1H-Benzimidazole, 5-(difluoromethoxy)-2-[[[3,4-dimethoxy-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



- RN 103577-45-3 HCAPLUS

CN 1H-Benzimidazole, 2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl]methyl]sulfinyl]- (9CI) (CA INDEX NAME)



L41 ANSWER 4 OF 24 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2000:905577 HCAPLUS

DOCUMENT NUMBER: 134:61531

TITLE: Stabilized compositions containing benzimidazole compounds or their alkali metal salts and their enteric coated preparations

INVENTOR(S): Ukai, Koji; Ichikawa, Masaki; Kato, Takashi; Sugatani, Yukiko; Suzuki, Yasuyuki; Aoki, Shigeru; Kato, Akiyoshi; Kawamura, Masao; Fujioka, Masaru

PATENT ASSIGNEE(S): Eisai Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 12 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2000355540	A2	20001226	JP 1999-110462	19990419
PRIORITY APPLN. INFO.:			JP 1998-109288	A 19980420
			JP 1999-105797	A 19990413

OTHER SOURCE(S): MARPAT 134:61531

AB Compns. contg. benzimidazole compds. I (R1, R2 = H, OMe, OCHF2; R3 = H, Na; R4-R6 = H, Me, OMe, methoxypropoxy, trifluoroethoxy) or their alkali metal salts and .gtoreq.1 selected from Na2CO3, K2CO3, NaOH, KOH, aminoalkyl methacrylate copolymer E, arginine aspartate, hydroxypropyl cellulose, and crospovidone are claimed. Also claimed are enteric coated preps. manufd. by coating core tablets comprising the compn. with enteric coating optionally via interlayer. I are stabilized in the compns. and preps. and prevented from discoloration. A mixt. of rabeprazole Na 10, Na2CO3 10, and mannitol 100 g was granulated while spraying a EtOH soln. of 2.5 g hydroxypropyl cellulose, and the granules were mixed with Ca stearate and compressed to give tablets. The tablets were spray-coated with a H2O/EtOH soln. contg. hydroxypropyl Me cellulose phthalate to give enteric-coated tablets.

IC ICM A61K031-4439

ICS A61K009-28; A61P001-04; A61P043-00; A61K047-02; A61K047-30; A61K047-38; C07D401-12

CC 63-6 (Pharmaceuticals)

ST benzimidazole **proton pump inhibitor**

stabilization sodium carbonate; enteric coating benzimidazole

**proton pump inhibitor** stabilizer

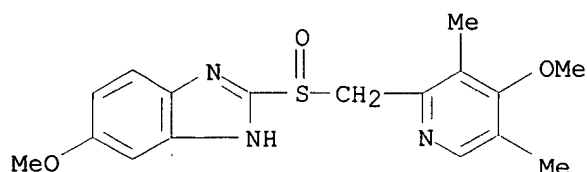
IT Antioxidants

**Antiulcer** agents

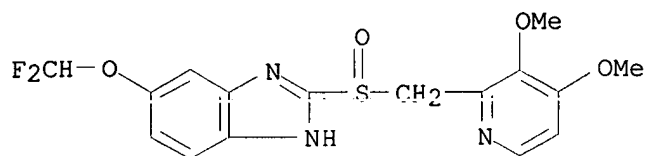
Discoloration prevention agents

Stabilizing agents

- (stabilized compns. of benzimidazole **proton pump inhibitors** contg. specific stabilizers and enteric-coated tablets thereof)
- IT **Drug delivery systems**  
(tablets, enteric-coated; stabilized compns. of benzimidazole **proton pump inhibitors** contg. specific stabilizers and enteric-coated tablets thereof)
- IT 7757-83-7, Sodium sulfite  
RL: MOA (Modifier or additive use); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(antioxidant; stabilized compns. of benzimidazole **proton pump inhibitors** contg. specific stabilizers and enteric-coated tablets thereof)
- IT 9004-65-3, Hydroxypropyl methyl cellulose  
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(moisture-proof layer; stabilized compns. of benzimidazole **proton pump inhibitors** contg. specific stabilizers and enteric-coated tablets thereof)
- IT 497-19-8, Sodium carbonate, biological studies 584-08-7, Potassium carbonate 1310-58-3, Potassium hydroxide, biological studies 1310-73-2, Sodium hydroxide, biological studies 7675-83-4, Arginine aspartate 9003-39-8, Crospovidone 9004-64-2, Hydroxypropyl cellulose 24938-16-7, Eudragit E  
RL: MOA (Modifier or additive use); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(stabilized compns. of benzimidazole **proton pump inhibitors** contg. specific stabilizers and enteric-coated tablets thereof)
- IT 9050-31-1, Hydroxypropyl methyl cellulose phthalate 73590-58-6, Omeprazole 76633-00-6, Kollidon CL 102625-70-7, Pantoprazole 103577-45-3, Lansoprazole 117976-89-3, Rabeprazole 117976-90-6, Rabeprazole sodium 185702-31-2, Kollidon CLM  
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(stabilized compns. of benzimidazole **proton pump inhibitors** contg. specific stabilizers and enteric-coated tablets thereof)
- IT 73590-58-6, Omeprazole 102625-70-7, Pantoprazole 103577-45-3, Lansoprazole 117976-90-6, Rabeprazole sodium  
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(stabilized compns. of benzimidazole **proton pump inhibitors** contg. specific stabilizers and enteric-coated tablets thereof)
- RN 73590-58-6 HCAPLUS
- CN 1H-Benzimidazole, 5-methoxy-2-[[[4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)

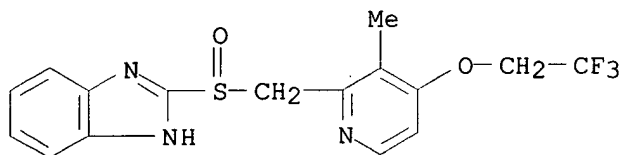


- RN 102625-70-7 HCAPLUS
- CN 1H-Benzimidazole, 5-(difluoromethoxy)-2-[[[3,4-dimethoxy-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



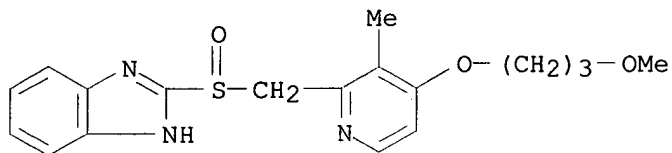
RN 103577-45-3 HCAPLUS

CN 1H-Benzimidazole, 2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl]methyl]sulfinyl]- (9CI) (CA INDEX NAME)



RN 117976-90-6 HCAPLUS

CN 1H-Benzimidazole, 2-[[[4-(3-methoxypropoxy)-3-methyl-2-pyridinyl]methyl]sulfinyl]-, sodium salt (9CI) (CA INDEX NAME)



● Na

L41 ANSWER 5 OF 24 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2000:824107 HCAPLUS

DOCUMENT NUMBER: 134:526

TITLE: Pharmaceutical combination of neurokinin receptor antagonist and **proton pump inhibitor**

INVENTOR(S): Rumsey, William Leroy; Furr, Barrington John Albert

PATENT ASSIGNEE(S): AstraZeneca AB, Swed.

SOURCE: PCT Int. Appl., 23 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000069438	A1	20001123	WO 2000-GB1775	20000509
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU,				



ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU,  
 LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE,  
 SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA,  
 ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM  
 RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE,  
 DK, ES, ~~FI~~, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF,  
 CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: GB 1999-11017 A 19990513

- AB Disclosed are a combination comprising an NK-1 antagonist and an agent capable of reducing the pH of gastric juice in the gut, and pharmaceutical compns. contg. the combination, and methods of using the combination for treating various diseases, such as gastroesophageal reflux disease. A patient suffering from gastroesophageal reflux disease was successfully treated with a combination of omeprazole and 3-cyano-N-[(2R)-2-(3,4-dichlorophenyl)-4-[4-[2-[(R)-methylsulfinyl]phenyl]-1-piperidinyl]butyl]-2-methoxy-N-methyl-1-naphthalenecarboxamide.
- IC ICM A61K031-445  
 ICS A61K031-44
- CC 1-9 (Pharmacology)  
 Section cross-reference(s): 63
- ST NK1 antagonist **antiulcer** gastric reflux disease
- IT Antihistamines  
 (H2; pharmaceutical combination of neurokinin receptor antagonist and **proton pump inhibitor** for treatment of gastric acid reflux disease)
- IT Tachykinin receptors  
 RL: BSU (Biological study, unclassified); BIOL (Biological study)  
 (NK1; pharmaceutical combination of neurokinin receptor antagonist and **proton pump inhibitor** for treatment of gastric acid reflux disease)
- IT Stomach, disease  
 (asthma; pharmaceutical combination of neurokinin receptor antagonist and **proton pump inhibitor** for treatment of gastric acid reflux disease)
- IT Intestine, disease  
 (duodenum, **ulcer**; pharmaceutical combination of neurokinin receptor antagonist and **proton pump inhibitor** for treatment of gastric acid reflux disease)
- IT Esophagus  
 (esophagitis; pharmaceutical combination of neurokinin receptor antagonist and **proton pump inhibitor** for treatment of gastric acid reflux disease)
- IT Digestive tract  
 (gastroesophageal reflux; pharmaceutical combination of neurokinin receptor antagonist and **proton pump inhibitor** for treatment of gastric acid reflux disease)
- IT Drug delivery systems  
 (oral; pharmaceutical combination of neurokinin receptor antagonist and **proton pump inhibitor** for treatment of gastric acid reflux disease)
- IT Antiulcer agents  
 (pharmaceutical combination of neurokinin receptor antagonist and **proton pump inhibitor** for treatment of gastric acid reflux disease)
- IT Digestive tract  
 (pyrosis; pharmaceutical combination of neurokinin receptor antagonist and **proton pump inhibitor** for treatment of gastric acid reflux disease)
- IT Stomach, disease  
 (**ulcer**; pharmaceutical combination of neurokinin receptor

antagonist and **proton pump inhibitor** for treatment of gastric acid reflux disease)

IT 73590-58-6, Omeprazole 102625-70-7, Pantoprazole 103577-45-3, Lansoprazole 104340-86-5, Leminoprazole 117976-89-3, Rabeprazole 119141-88-7, S-Omeprazole 255049-08-2 255049-09-3 263387-62-8 263860-83-9 263860-95-3 263860-97-5 263860-99-7 263861-01-4 274928-86-8

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(pharmaceutical combination of neurokinin receptor antagonist and **proton pump inhibitor** for treatment of gastric acid reflux disease)

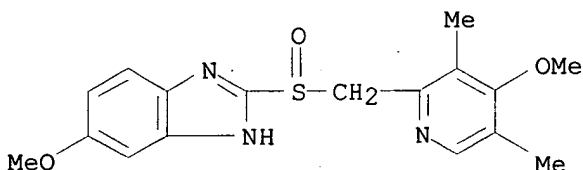
IT 73590-58-6, Omeprazole 102625-70-7, Pantoprazole 103577-45-3, Lansoprazole 104340-86-5, Leminoprazole

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(pharmaceutical combination of neurokinin receptor antagonist and **proton pump inhibitor** for treatment of gastric acid reflux disease)

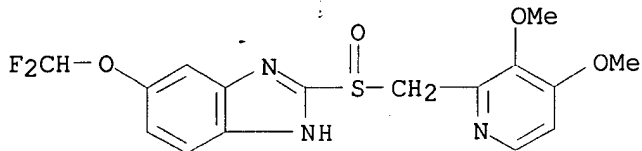
RN 73590-58-6 HCAPLUS

CN 1H-Benzimidazole, 5-methoxy-2-[[[4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



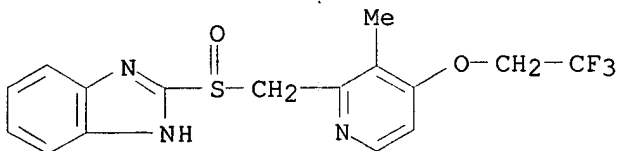
RN 102625-70-7 HCAPLUS

CN 1H-Benzimidazole, 5-(difluoromethoxy)-2-[[[3,4-dimethoxy-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)

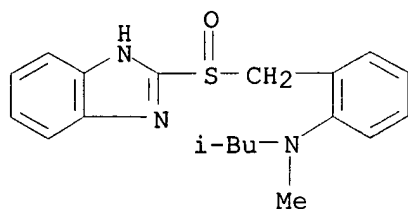


RN 103577-45-3 HCAPLUS

CN 1H-Benzimidazole, 2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



RN 104340-86-5 HCAPLUS  
 CN Benzenamine, 2-[(1H-benzimidazol-2-ylsulfinyl)methyl]-N-methyl-N-(2-methylpropyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L41 ANSWER 6 OF 24 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2000:627972 HCAPLUS

DOCUMENT NUMBER: 133:213185

TITLE: Methods and compositions using (-)-norcisapride in combination with **proton pump**

**inhibitors** or H2 receptor antagonists

INVENTOR(S): Rubin, Paul D.; Barberich, Timothy J.

PATENT ASSIGNEE(S): Sepracor Inc., USA

SOURCE: PCT Int. Appl., 36 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000051584	A2	20000908	WO 2000-US5167	20000301
WO 2000051584	A3	20010907		
W:	AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
EP 1156853	A2	20011128	EP 2000-915919	20000301
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			
BR 2000008664	A	20011218	BR 2000-8664	20000301
NO 2001004229	A	20011101	NO 2001-4229	20010831
PRIORITY APPLN. INFO.:			US 1999-122393	P 19990302
			WO 2000-US5167	W 20000301

AB The invention relates to methods and compns. for the prevention, treatment, or management of gastrointestinal disorders or symptoms thereof, employing two or more agents or compds. to provide a triple site action on 5-HT3 receptors, 5-HT4 receptors, and at least one of H2 receptors and proton pumps. The IC50 of (-)-norcisapride for binding to 5HT3 was 30.4 nM. A tablet contained (-)-norcisapride 5.0, lansoprazole 5.0, lactose 57.0, starch 20.0, microcryst. cellulose 10.0, hydrogenated vegetable oil 1.5, and polyvinylpyrrolidinone 1.5 mg.

IC ICM A61K031-00  
 CC 63-6 (Pharmaceuticals)  
 Section cross-reference(s): 1  
 ST norcisapride **proton pump inhibitor** tablet  
 lansoprazole; histamine receptor antagonist norcisapride tablet  
 IT 5-HT antagonists  
 (5-HT3; methods and compns. using norcisapride in combination with  
**proton pump inhibitors** or H2 receptor  
 antagonists)  
 IT 5-HT receptors  
 RL: BSU (Biological study, unclassified); BIOL (Biological study)  
 (5-HT4, agonists and antagonists; methods and compns. using  
 norcisapride in combination with **proton pump**  
**inhibitors** or H2 receptor antagonists)  
 IT Antihistamines  
 (H2; methods and compns. using norcisapride in combination with  
**proton pump inhibitors** or H2 receptor  
 antagonists)  
 IT Pancreas, neoplasm  
 (Zollinger-Ellison syndrome; methods and compns. using norcisapride in  
 combination with **proton pump inhibitors**  
 or H2 receptor antagonists)  
 IT **Drug delivery systems**  
 (capsules; methods and compns. using norcisapride in combination with  
**proton pump inhibitors** or H2 receptor  
 antagonists)  
 IT Intestine, disease  
 (constipation; methods and compns. using norcisapride in combination  
 with **proton pump inhibitors** or H2  
 receptor antagonists)  
 IT Digestive tract  
 (disease; methods and compns. using norcisapride in combination with  
**proton pump inhibitors** or H2 receptor  
 antagonists)  
 IT Gastrointestinal motility  
 (disorder, dysmotility, dysmotility; methods and compns. using  
 norcisapride in combination with **proton pump**  
**inhibitors** or H2 receptor antagonists)  
 IT Esophagus  
 (esophagitis, erosive; methods and compns. using norcisapride in  
 combination with **proton pump inhibitors**  
 or H2 receptor antagonists)  
 IT Digestive tract  
 (gastroesophageal reflux; methods and compns. using norcisapride in  
 combination with **proton pump inhibitors**  
 or H2 receptor antagonists)  
 IT Stomach, disease  
 (gastroparesis; methods and compns. using norcisapride in combination  
 with **proton pump inhibitors** or H2  
 receptor antagonists)  
 IT **Drug delivery systems**  
 (granules; methods and compns. using norcisapride in combination with  
**proton pump inhibitors** or H2 receptor  
 antagonists)  
 IT Intestine, disease  
 (ileus, post-operative; methods and compns. using norcisapride in  
 combination with **proton pump inhibitors**  
 or H2 receptor antagonists)  
 IT Digestive tract  
 (indigestion; methods and compns. using norcisapride in combination

- with **proton pump inhibitors** or H2 receptor antagonists)
- IT Dyspepsia  
Vomiting  
(methods and compns. using norcisapride in combination with **proton pump inhibitors** or H2 receptor antagonists)
- IT **Drug delivery systems**  
(oral; methods and compns. using norcisapride in combination with **proton pump inhibitors** or H2 receptor antagonists)
- IT **Drug delivery systems**  
(parenterals; methods and compns. using norcisapride in combination with **proton pump inhibitors** or H2 receptor antagonists)
- IT Digestive tract  
(pyrosis; methods and compns. using norcisapride in combination with **proton pump inhibitors** or H2 receptor antagonists)
- IT **Drug delivery systems**  
(rectal; methods and compns. using norcisapride in combination with **proton pump inhibitors** or H2 receptor antagonists)
- IT Gastric acid  
(secretion, hyper-; methods and compns. using norcisapride in combination with **proton pump inhibitors** or H2 receptor antagonists)
- IT Stomach  
(sour; methods and compns. using norcisapride in combination with **proton pump inhibitors** or H2 receptor antagonists)
- IT **Drug delivery systems**  
(sublingual; methods and compns. using norcisapride in combination with **proton pump inhibitors** or H2 receptor antagonists)
- IT **Drug delivery systems**  
(tablets; methods and compns. using norcisapride in combination with **proton pump inhibitors** or H2 receptor antagonists)
- IT **Drug delivery systems**  
(transdermal; methods and compns. using norcisapride in combination with **proton pump inhibitors** or H2 receptor antagonists)
- IT Digestive tract  
(**ulcer**; methods and compns. using norcisapride in combination with **proton pump inhibitors** or H2 receptor antagonists)
- IT 51481-61-9, Cimetidine 66357-35-5, Ranitidine **73590-58-6**, Omeprazole 76824-35-6, Famotidine 76963-41-2, Nizatidine 81098-60-4, (.+.-)-Cisapride 84946-16-7 86718-70-9, (+)-Cisapride 86719-31-5, (-)-Cisapride 92340-57-3, Hydroxyomeprazole 99614-60-5 **102625-70-7**, Pantoprazole **102625-70-7D**, Pantoprazole, desmethyl derivs. **103577-45-3**, Lansoprazole 117976-89-3, Rabeprazole 186260-03-7, (-)-Norcisapride 202590-69-0, (+)-Norcisapride 290837-87-5  
RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(methods and compns. using norcisapride in combination with **proton pump inhibitors** or H2 receptor antagonists)

IT 9000-83-3

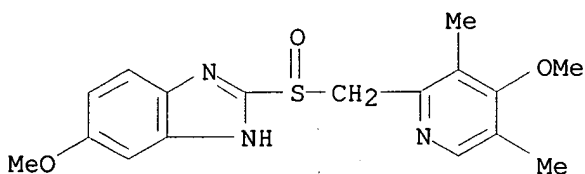
RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(**proton-translocating, inhibitors**; methods and compns. using norcisapride in combination with **proton pump inhibitors** or H2 receptor antagonists)

IT 73590-58-6, Omeprazole 102625-70-7, Pantoprazole 102625-70-7D, Pantoprazole, desmethyl derivs. 103577-45-3, Lansoprazole

RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(methods and compns. using norcisapride in combination with **proton pump inhibitors** or H2 receptor antagonists)

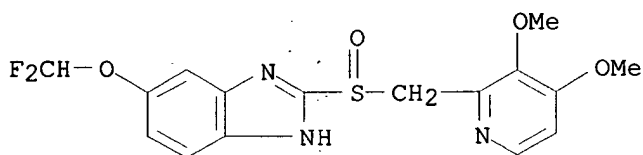
RN 73590-58-6 HCAPLUS

CN 1H-Benzimidazole, 5-methoxy-2-[[4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



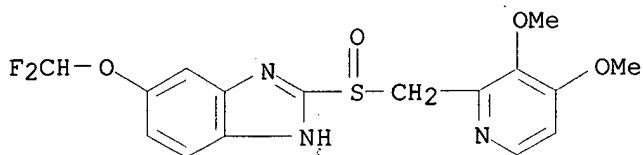
RN 102625-70-7 HCAPLUS

CN 1H-Benzimidazole, 5-(difluoromethoxy)-2-[[3,4-dimethoxy-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



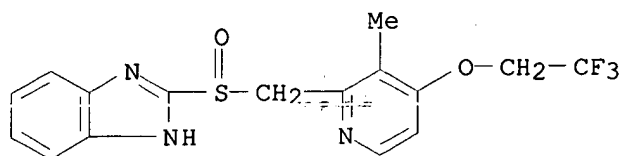
RN 102625-70-7 HCAPLUS

CN 1H-Benzimidazole, 5-(difluoromethoxy)-2-[[3,4-dimethoxy-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



RN 103577-45-3 HCAPLUS

CN 1H-Benzimidazole, 2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



L41 ANSWER 7 OF 24 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2000:627971 HCAPLUS

DOCUMENT NUMBER: 133:213184

TITLE: Methods and compositions using (+)-norcisapride in combination with **proton pump inhibitors** or H2 receptor antagonists

INVENTOR(S): Rubin, Paul D.; Barberich, Timothy J.

PATENT ASSIGNEE(S): Sepracor Inc., USA

SOURCE: PCT Int. Appl., 36 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000051583	A2	20000908	WO 2000-US5166	20000301
WO 2000051583	A3	20010201		
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6353005	B1	20020305	US 2000-507965	20000222
EP 1156852	A2	20011128	EP 2000-912067	20000301
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 2000008687	A	20020108	BR 2000-8687	20000301
NO 2001004230	A	20011101	NO 2001-4230	20010831
PRIORITY APPLN. INFO.:				
			US 1999-122394	P 19990302
			WO 2000-US5166	W 20000301

AB The invention relates to methods and compns. for the prevention, treatment, or management of gastrointestinal disorders or symptoms thereof, employing two or more agents or compds. to provide a triple site action on 5-HT3 receptors, 5-HT4 receptors, and at least one of H2 receptors and proton pumps. The IC50 of (+)-norcisapride for binding to 5HT3 was 4.5 nM. A tablet contained (+)-norcisapride 5.0, lansoprazole 5.0, lactose 57.0, starch 20.0, microcryst. cellulose 10.0, hydrogenated vegetable oil 1.5, and polyvinylpyrrolidinone 1.5 mg.

IC ICM A61K031-00

CC 63-6 (Pharmaceuticals)

Section cross-reference(s): 1

ST norcisapride **proton pump inhibitor** tablet

lansoprazole; histamine receptor antagonist norcisapride tablet

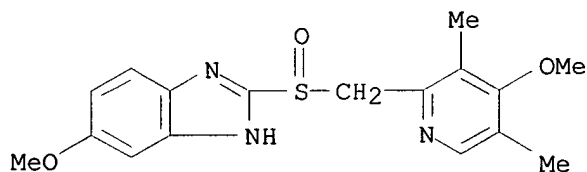
IT 5-HT antagonists

- (5-HT3; methods and compns. using norcisapride in combination with **proton pump inhibitors** or H2 receptor antagonists)
- IT 5-HT receptors,  
RL: BSU (Biological study, unclassified); BIOL (Biological study)  
(5-HT4, agonists and antagonists; methods and compns. using norcisapride in combination with **proton pump inhibitors** or H2 receptor antagonists)
- IT Antihistamines  
(H2; methods and compns. using norcisapride in combination with **proton pump inhibitors** or H2 receptor antagonists)
- IT Pancreas, neoplasm  
(Zollinger-Ellison syndrome; methods and compns. using norcisapride in combination with **proton pump inhibitors** or H2 receptor antagonists)
- IT **Drug delivery systems**  
(capsules; methods and compns. using norcisapride in combination with **proton pump inhibitors** or H2 receptor antagonists)
- IT Intestine, disease  
(constipation; methods and compns. using norcisapride in combination with **proton pump inhibitors** or H2 receptor antagonists)
- IT Digestive tract  
(disease; methods and compns. using norcisapride in combination with **proton pump inhibitors** or H2 receptor antagonists)
- IT Gastrointestinal motility  
(disorder, dysmotility, dysmotility; methods and compns. using norcisapride in combination with **proton pump inhibitors** or H2 receptor antagonists)
- IT Esophagus  
(esophagitis, erosive; methods and compns. using norcisapride in combination with **proton pump inhibitors** or H2 receptor antagonists)
- IT Digestive tract  
(gastroesophageal reflux; methods and compns. using norcisapride in combination with **proton pump inhibitors** or H2 receptor antagonists)
- IT Stomach, disease  
(gastroparesis; methods and compns. using norcisapride in combination with **proton pump inhibitors** or H2 receptor antagonists)
- IT **Drug delivery systems**  
(granules; methods and compns. using norcisapride in combination with **proton pump inhibitors** or H2 receptor antagonists)
- IT Intestine, disease  
(ileus, post-operative; methods and compns. using norcisapride in combination with **proton pump inhibitors** or H2 receptor antagonists)
- IT Digestive tract  
(indigestion; methods and compns. using norcisapride in combination with **proton pump inhibitors** or H2 receptor antagonists)
- IT Dyspepsia  
Vomiting  
(methods and compns. using norcisapride in combination with **proton pump inhibitors** or H2 receptor

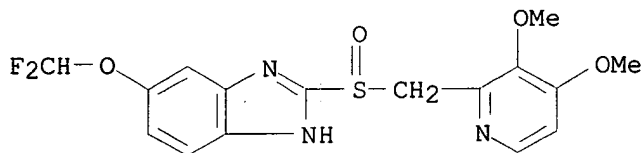


- antagonists)
- IT **Drug delivery systems**  
(oral; methods and compns. using norcispapride in combination with **proton pump inhibitors** or H2 receptor antagonists).
- IT **Drug delivery systems**  
(parenterals; methods and compns. using norcispapride in combination with **proton pump inhibitors** or H2 receptor antagonists)
- IT Digestive tract  
(pyrosis; methods and compns. using norcispapride in combination with **proton pump inhibitors** or H2 receptor antagonists)
- IT **Drug delivery systems**  
(rectal; methods and compns. using norcispapride in combination with **proton pump inhibitors** or H2 receptor antagonists)
- IT Gastric acid  
(secretion, hyper-; methods and compns. using norcispapride in combination with **proton pump inhibitors** or H2 receptor antagonists)
- IT Stomach  
(sour; methods and compns. using norcispapride in combination with **proton pump inhibitors** or H2 receptor antagonists)
- IT **Drug delivery systems**  
(sublingual; methods and compns. using norcispapride in combination with **proton pump inhibitors** or H2 receptor antagonists)
- IT **Drug delivery systems**  
(tablets; methods and compns. using norcispapride in combination with **proton pump inhibitors** or H2 receptor antagonists)
- IT **Drug delivery systems**  
(transdermal; methods and compns. using norcispapride in combination with **proton pump inhibitors** or H2 receptor antagonists)
- IT Digestive tract  
(**ulcer**; methods and compns. using norcispapride in combination with **proton pump inhibitors** or H2 receptor antagonists)
- IT 51481-61-9, Cimetidine 66357-35-5, Ranitidine **73590-58-6**, Omeprazole 76824-35-6, Famotidine 76963-41-2, Nizatidine 81098-60-4, (.-)-Cisapride 84946-16-7 86718-70-9, (+)-Cisapride 86719-31-5, (-)-Cisapride 92340-57-3, Hydroxyomeprazole 99614-60-5 **102625-70-7**, Pantoprazole **102625-70-7D**, Pantoprazole, desmethyl derivs. **103577-45-3**, Lansoprazole 117976-89-3, Rabeprazole 186260-03-7, (-)-Norcispapride 202590-69-0, (+)-Norcispapride 290837-87-5  
RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(methods and compns. using norcispapride in combination with **proton pump inhibitors** or H2 receptor antagonists)
- IT 9000-83-3  
RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(**proton-translocating, inhibitors**; methods and compns. using norcispapride in combination with **proton pump inhibitors** or H2 receptor antagonists)

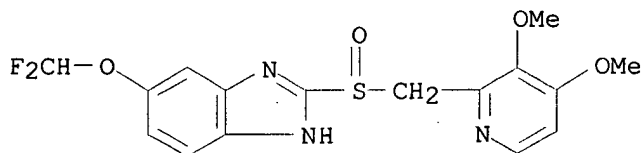
IT 73590-58-6, Omeprazole 102625-70-7, Pantoprazole  
 102625-70-7D, Pantoprazole, desmethyl derivs. 103577-45-3  
 , Lansoprazole  
 RL: BAC (Biological activity or effector, except adverse); THU  
 (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (methods and compns. using norcispriide in combination with  
**proton pump inhibitors** or H2 receptor  
 antagonists)  
 RN 73590-58-6 HCAPLUS  
 CN 1H-Benzimidazole, 5-methoxy-2-[[[4-methoxy-3,5-dimethyl-2-  
 pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



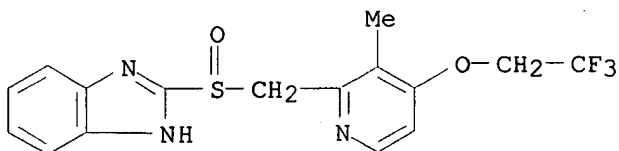
RN 102625-70-7 HCAPLUS  
 CN 1H-Benzimidazole, 5-(difluoromethoxy)-2-[[[3,4-dimethoxy-2-  
 pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



RN 102625-70-7 HCAPLUS  
 CN 1H-Benzimidazole, 5-(difluoromethoxy)-2-[[[3,4-dimethoxy-2-  
 pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



RN 103577-45-3 HCAPLUS  
 CN 1H-Benzimidazole, 2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-  
 pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



L41 ANSWER 8 OF 24. HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2000:608578 HCAPLUS

DOCUMENT NUMBER: 133:203023

TITLE: Nitrosated and nitrosylated **proton pump inhibitors**, compositions and methods of use

INVENTOR(S): Garvey, David S.; Letts, L. Gordon; Tam, Sang William; Wang, Tiansheng; Richardson, Stewart K.

PATENT ASSIGNEE(S): Nitromed, Inc., USA

SOURCE: PCT Int. Appl., 100 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000050037	A1	20000831	WO 2000-US2524	20000225
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1154771	A1	20011121	EP 2000-910039	20000225
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
PRIORITY APPLN. INFO.:			US 1999-122111	P 19990226
			WO 2000-US2524	W 20000225

OTHER SOURCE(S): MARPAT 133:203023

AB The invention describes nitrosated and/or nitrosylated proton pump inhibitor compds., as well as compns. comprising .gtoreq.1 proton pump inhibitor compd. that is optionally substituted with .gtoreq.1 NO and/or NO2 group, and, optionally, .gtoreq.1 compd. that donates, transfers or releases nitric oxide, stimulates endogenous synthesis of nitric oxide, elevates endogenous levels of endothelium-derived relaxing factor, or is a substrate for nitric oxide synthase, and/or .gtoreq.1 nonsteroidal antiinflammatory drug; selective COX-2 inhibitor antacid, bismuth-contg. reagent, acid-degradable antibacterial compd., and mixts. thereof. The invention also provides methods for treating and/or preventing gastrointestinal disorders; facilitating ulcer healing; decreasing the recurrence of ulcers; improving gastroprotective properties, anti-Helicobacter pylori properties or antacid properties of proton pump inhibitors; decreasing or reducing the gastrointestinal toxicity assocd. with the use of nonsteroidal antiinflammatory compds.; and treating Helicobacter pylori and viral infections. The compds. and/or compns. of the present invention can also be provided in the form of a pharmaceutical kit. Prepn. of e.g. nitrosylated lansoprazole is described. Compared to lansoprazole, the nitrosylated lansoprazole significantly inhibited the formation of EtOH/HCl-induced gastric lesions.

IC ICM A61K031-437

ICS A61K031-4184; A61K031-4439; C07D471-04; C07D401-12; C07D235-28

CC 1-12 (Pharmacology)

Section cross-reference(s): 28, 63

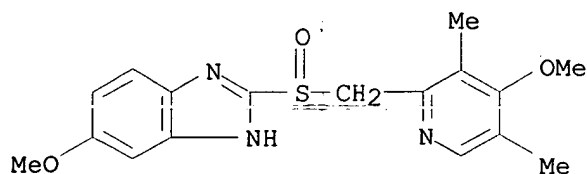
ST nitrosated nitrosylated **proton pump inhibitor**

- therapeutic; gastrointestinal drug nitrosated nitrosylated **proton pump inhibitor**; ulcer treatment nitrosated nitrosylated **proton pump inhibitor**; Helicobacter antacid nitrosated nitrosylated **proton pump inhibitor**; viral infection nitrosated nitrosylated **proton pump inhibitor**; NSAID toxicity nitrosated nitrosylated **proton pump inhibitor**; lansoprazole nitrosylated prepn gastric lesion **inhibition**
- IT Intestine, disease  
(Crohn's; nitrosated and nitrosylated **proton pump inhibitors**, compns., combinations, and methods of use)
- IT Nitrosamines  
RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(N-oxo; nitrosated and nitrosylated **proton pump inhibitors**, compns., combinations, and methods of use)
- IT Thiols (organic), biological studies  
RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(S-nitroso; nitrosated and nitrosylated **proton pump inhibitors**, compns., combinations, and methods of use)
- IT Pancreas, neoplasm  
(Zollinger-Ellison syndrome; nitrosated and nitrosylated **proton pump inhibitors**, compns., combinations, and methods of use)
- IT Antibacterial agents  
(acid-degradable; nitrosated and nitrosylated **proton pump inhibitors**, compns., combinations, and methods of use)
- IT Leukemia  
(basophilic, hypersecretory state assocd. with; nitrosated and nitrosylated **proton pump inhibitors**, compns., combinations, and methods of use)
- IT Intestine, disease  
(colitis; nitrosated and nitrosylated **proton pump inhibitors**, compns., combinations, and methods of use)
- IT Helicobacter pylori  
(disease assocd. with; nitrosated and nitrosylated **proton pump inhibitors**, compns., combinations, and methods of use)
- IT Intestine, disease  
(diverticulitis; nitrosated and nitrosylated **proton pump inhibitors**, compns., combinations, and methods of use)
- IT Antiulcer agents  
(duodenal; nitrosated and nitrosylated **proton pump inhibitors**, compns., combinations, and methods of use)
- IT Intestine, disease  
(enteritis, infectious; nitrosated and nitrosylated **proton pump inhibitors**, compns., combinations, and methods of use)
- IT Digestive tract  
(gastroesophageal reflux; nitrosated and nitrosylated **proton pump inhibitors**, compns., combinations, and methods of use)
- IT Drugs  
(gastrointestinal; nitrosated and nitrosylated **proton pump inhibitors**, compns., combinations, and methods of use)
- IT Stomach, disease

- (gastroparesis; nitrosated and nitrosylated **proton pump inhibitors**, compns., combinations, and methods of use)
- IT Gastric acid  
RL: BPR (Biological process); BIOL (Biological study); PROC (Process)  
(hyperacidity; nitrosated and nitrosylated **proton pump inhibitors**, compns., combinations, and methods of use)
- IT Intestine, disease  
(inflammatory; nitrosated and nitrosylated **proton pump inhibitors**, compns., combinations, and methods of use)
- IT Intestine, disease  
(irritable bowel syndrome; nitrosated and nitrosylated **proton pump inhibitors**, compns., combinations, and methods of use)
- IT Mast cell  
(mastocytoma, systemic, hypersecretory state assocd. with; nitrosated and nitrosylated **proton pump inhibitors**, compns., combinations, and methods of use)
- IT Adenoviridae  
Antacids  
  **Antiulcer agents**  
Antiviral agents  
Arenaviridae  
Bunyaviridae  
Coronaviridae  
Cytomegalovirus  
  **Drug delivery systems**  
Dyspepsia  
Herpesviridae  
  **Human herpesvirus**  
  **Human herpesvirus 3**  
  **Human herpesvirus 4**  
  **Human herpesvirus 6**  
  **Human herpesvirus 7**  
Orthomyxoviridae  
Papovaviridae  
Paramyxoviridae  
Picornaviridae  
Poxviridae  
Pseudorabies virus  
Retroviridae  
Rhabdoviridae  
Togaviridae  
  (nitrosated and nitrosylated **proton pump inhibitors**, compns., combinations, and methods of use)
- IT Amino acids, biological studies  
Carbohydrates, biological studies  
Heterocyclic compounds  
Hydrocarbons, biological studies  
Oligonucleotides  
Proteins, general, biological studies  
RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(nitrosylated; nitrosated and nitrosylated **proton pump inhibitors**, compns., combinations, and methods of use)
- IT Anti-inflammatory agents  
(nonsteroidal; nitrosated and nitrosylated **proton**

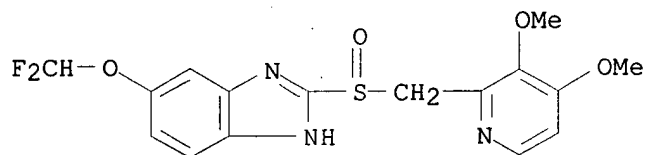
- pump inhibitors**, compns., combinations, and methods of use)
- IT Toxicity  
(of NSAIDs and COX-2 **inhibitors**; nitrosated and nitrosylated **proton pump inhibitors**, compns., combinations, and methods of use)
- IT **Antiulcer agents**  
(peptic; nitrosated and nitrosylated **proton pump inhibitors**, compns., combinations, and methods of use)
- IT Virus  
(rhinotracheitis; nitrosated and nitrosylated **proton pump inhibitors**, compns., combinations, and methods of use)
- IT Intestine, disease  
(short bowel syndrome; nitrosated and nitrosylated **proton pump inhibitors**, compns., combinations, and methods of use)
- IT Stress, animal  
(stress ulcer, **inhibitors**; nitrosated and nitrosylated **proton pump inhibitors**, compns., combinations, and methods of use)
- IT Intestine, disease  
(ulcerative colitis; nitrosated and nitrosylated **proton pump inhibitors**, compns., combinations, and methods of use)
- IT 39391-18-9  
RL: BSU (Biological study, unclassified); BIOL (Biological study)  
(cyclooxygenase-2, **inhibitors**; nitrosated and nitrosylated **proton pump inhibitors**, compns., combinations, and methods of use)
- IT 51-45-6, Histamine, biological studies  
RL: BPR (Biological process); BIOL (Biological study); PROC (Process)  
(hyperhistaminemia, hypersecretory state assocd. with; nitrosated and nitrosylated **proton pump inhibitors**, compns., combinations, and methods of use)
- IT 125978-95-2, Nitric oxide synthase  
RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BIOL (Biological study); PROC (Process)  
(nitrosated and nitrosylated **proton pump inhibitors**, compns., combinations, and methods of use)
- IT 51-17-2D, Benzimidazole, nitrosated and nitrosylated derivs. 56-85-9, Glutamine, biological studies 56-87-1, Lysine, biological studies 70-26-8, Ornithine 74-79-3, L-Arginine, biological studies 74-79-3D, L-Arginine, nitrosated and nitrosylated derivs. 91-22-5D, Quinoline, nitrosated and nitrosylated derivs. 156-86-5, L-Homoarginine 253-82-7D, Quinazoline, nitrosated and nitrosylated derivs. 271-63-6D, 1H-Pyrrolo[2,3-b]pyridine, nitrosated and nitrosylated derivs. 273-21-2D, 1H-Imidazo[4,5-b]pyridine, nitrosated and nitrosylated derivs. 274-76-0D, Imidazo[1,2-a]pyridine, nitrosated and nitrosylated derivs. 288-32-4D, Imidazole, nitrosated and nitrosylated derivs. 289-06-5D, Thiadiazole, nitrosated and nitrosylated derivs. 289-95-2D, Pyrimidine, nitrosated and nitrosylated derivs. 372-75-8, Citrulline 504-77-8D, 4,5-Dihydrooxazole, nitrosated and nitrosylated derivs. 578-68-7D, 4-Aminoquinoline, nitrosated and nitrosylated derivs. 7440-69-9D, Bismuth, compds. 17038-52-7D, 1,2,4-Thiadiazolo[4,5-a]benzimidazole, nitrosated and nitrosylated derivs. 51209-75-7, S-Nitrosocysteine 53054-07-2 53054-07-2D, nitrosated and nitrosylated derivs. 56577-02-7, S-Nitroso-N-acetylcysteine 57237-97-5D, Timoprazole, nitrosated and nitrosylated derivs. 57564-91-7, S-Nitrosoglutathione 57564-91-7D, derivs. 73590-58-6D, Omeprazole, nitrosated and

nitrosylated derivs. 79032-48-7, S-Nitroso-N-acetylpenicillamine  
 85330-45-6D, nitrosated and nitrosylated derivs. 99499-40-8D,  
 Disuprazole, nitrosated and nitrosylated derivs. 101387-97-7D, RO  
 18-5362, nitrosated and nitrosylated derivs. **102625-70-7D**,  
 Pantoprazole, nitrosated and nitrosylated derivs. **103577-45-3D**,  
 Lansoprazole, nitrosated and nitrosylated derivs. **104340-86-5D**,  
 Leminoprazole, nitrosated and nitrosylated derivs. 113712-98-4D,  
 Tenatoprazole, nitrosated and nitrosylated derivs. 117976-89-3D,  
 Rabeprazole, nitrosated and nitrosylated derivs. 121617-11-6D, Hoe-731,  
 nitrosated and nitrosylated derivs. 122130-63-6, S-Nitrosocaptopril  
 125500-29-0D, nitrosated and nitrosylated derivs. 139427-42-2,  
 S-Nitrosohomocysteine 172152-36-2D, IY 81149, nitrosated and  
 nitrosylated derivs. 172152-45-3D, nitrosated and nitrosylated derivs.  
 178307-42-1D, YH 1885, nitrosated and nitrosylated derivs. 216382-88-6D,  
 Imidazopyridine, nitrosated and nitrosylated derivs.  
 RL: BAC (Biological activity or effector, except adverse); THU  
 (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (nitrosated and nitrosylated **proton pump**  
**inhibitors**, compns., combinations, and methods of use)  
 IT 10102-43-9, Nitric oxide, biological studies 90880-94-7,  
 Endothelium-derived relaxing factor  
 RL: BPR (Biological process); BIOL (Biological study); PROC (Process)  
 (nitrosated and nitrosylated **proton pump**  
**inhibitors**, compns., combinations, and methods of use)  
 IT 9000-83-3, ATPase  
 RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL  
 (Biological study); PROC (Process)  
 (nitrosated and nitrosylated **proton pump**  
**inhibitors**, compns., combinations, and methods of use)  
 IT 23695-65-0P, Adamantane-2-thione 154150-97-7P 260268-02-8P  
 260268-03-9P 260268-08-4P 290291-78-0P 290291-79-1P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)  
 (prepn. and reaction; nitrosated and nitrosylated **proton**  
**pump inhibitors**, compns., combinations, and methods  
 of use)  
 IT 100-46-9, Benzylamine, reactions 108-30-5, reactions 540-80-7,  
 tert-Butyl nitrite 540-88-5, tert-Butyl acetate 700-58-3,  
 Adamantan-2-one 15581-80-3, .alpha.,.alpha.'-Dithiodiisobutyraldehyde  
 57237-97-5, Timoprazole **103577-45-3**, Lansoprazole  
 RL: RCT (Reactant)  
 (reaction; nitrosated and nitrosylated **proton pump**  
**inhibitors**, compns., combinations, and methods of use)  
 IT **73590-58-6D**, Omeprazole, nitrosated and nitrosylated derivs.  
**102625-70-7D**, Pantoprazole, nitrosated and nitrosylated derivs.  
**103577-45-3D**, Lansoprazole, nitrosated and nitrosylated derivs.  
**104340-86-5D**, Leminoprazole, nitrosated and nitrosylated derivs.  
 RL: BAC (Biological activity or effector, except adverse); THU  
 (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (nitrosated and nitrosylated **proton pump**  
**inhibitors**, compns., combinations, and methods of use)  
 RN 73590-58-6 HCAPLUS  
 CN 1H-Benzimidazole, 5-methoxy-2-[[[4-methoxy-3,5-dimethyl-2-  
 pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



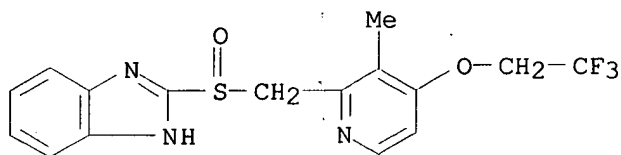
RN 102625-70-7 HCAPLUS

CN 1H-Benzimidazole, 5-(difluoromethoxy)-2-[[[3,4-dimethoxy-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



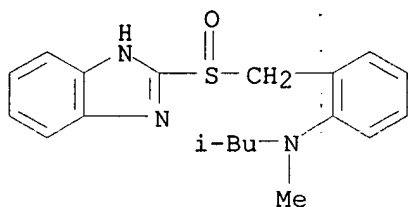
RN 103577-45-3 HCAPLUS

CN 1H-Benzimidazole, 2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



RN 104340-86-5 HCAPLUS

CN Benzenamine, 2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl)methyl]sulfinyl]-N-methyl-N-(2-methylpropyl)- (9CI) (CA INDEX NAME)



IT 103577-45-3, Lansoprazole

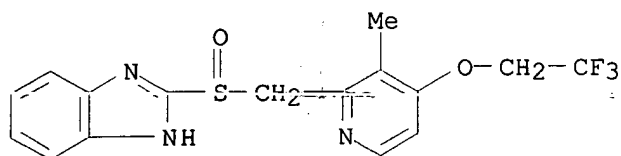
RL: RCT (Reactant)

(reaction; nitrosated and nitrosylated **proton pump inhibitors**, compns., combinations, and methods of use)

RN 103577-45-3 HCAPLUS

CN 1H-Benzimidazole, 2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)





REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L41 ANSWER 9 OF 24 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2000:558501 HCAPLUS

DOCUMENT NUMBER: 134:12948

TITLE: Pantoprazole: A new benzimidazole **proton pump inhibitor** for oral and IV administration

AUTHOR(S): Smith, Candace

CORPORATE SOURCE: Clinical pharmacy practice department, College of Pharmacy and Allied Health Professions, St. John's University, Jamaica, NY, USA

SOURCE: Formulary (2000), 35(1), 28-30, 33-34, 37

CODEN: FORMF9; ISSN: 1082-801X

PUBLISHER: Advanstar Communications, Inc.

DOCUMENT TYPE: Journal; General Review

LANGUAGE: English

AB A review with 34 refs. is given. Pantoprazole is an irreversible proton pump inhibitor that effectively reduces gastric acid secretion. In clin. trials, pantoprazole was well tolerated and efficacious in the treatment of both duodenal and gastric ulcers as well as gastro-esophageal reflux disease. Preliminary results indicate that pantoprazole is effective in eradicating *Helicobacter pylori* when combined with antibacterial agents. In comparative trials, pantoprazole was superior to H<sub>2</sub>-receptor antagonists and as effective as other proton pump inhibitors in the treatment of peptic ulcer disease or reflux esophagitis. It is well tolerated and offers a once-daily dosing schedule (40 mg) similar to those of other proton pump inhibitors. The most common adverse effects reported with pantoprazole included diarrhea, headache, dizziness, pruritus, and skin rash. The availability of an i.v. formulation and minimal drug interactions are potential advantages over other proton pump inhibitors.

CC 1-0 (Pharmacology)

ST review pantoprazole **proton pump inhibitor antiulcer**

IT **Drug delivery systems**

(oral; pantoprazole, a **proton pump inhibitor** for oral and i.v. administration)

IT Antacids

**Antiulcer agents**

(pantoprazole, a **proton pump inhibitor** for oral and i.v. administration)

IT **Drug delivery systems**

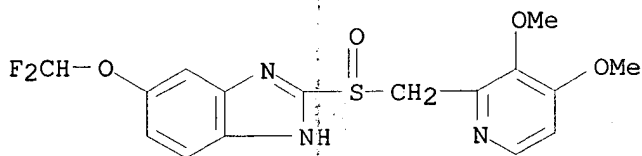
(parenterals; pantoprazole, a **proton pump inhibitor** for oral and i.v. administration)

IT 102625-70-7, Pantoprazole

RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)

(pantoprazole, a **proton pump inhibitor**)

for oral and i.v. administration)  
 IT 102625-70-7, Pantoprazole  
 RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)  
 (pantoprazole, a **proton pump inhibitor**  
 for oral and i.v. administration)  
 RN 102625-70-7 HCAPLUS  
 CN 1H-Benzimidazole, 5-(difluoromethoxy)-2-[[ (3,4-dimethoxy-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L41 ANSWER 10 OF 24 HCAPLUS COPYRIGHT 2002 ACS  
 ACCESSION NUMBER: 2000:190931 HCAPLUS  
 DOCUMENT NUMBER: 132:231932  
 TITLE: Taurolidine and/or taurultam against infectious **ulcer** or gastritis  
 INVENTOR(S): Pfirrmann, Rolf  
 PATENT ASSIGNEE(S): Ed Geistlich Sohne A.-G. fur Chemische Industrie, Switz.; Pett, Christopher  
 SOURCE: PCT Int. Appl., 26 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000015232	A1	20000323	WO 1999-GB3030	19990913
W: CA, JP, RU				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
US 6117868	A	20000912	US 1999-316115	19990520
EP 1112074	A1	20010704	EP 1999-946325	19990913
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				

PRIORITY APPLN. INFO.:  
 US 1998-154451 A 19980916  
 US 1999-316115 A 19990520  
 WO 1999-GB3030 W 19990913

AB A method for the treatment of infectious gastrointestinal ulcer disease or infectious gastritis disease of microbially infected gastrointestinal tissue in a mammal involves administration of an antimicrobial amt. of an antimicrobial medicament which is cell wall constituent-inactivating by chem. reaction with cell wall constituents, endotoxin non-releasing, exotoxin-inactivating, or a combination thereof.

IC ICM A61K031-54  
 ICS A61P001-04; A61K031-54; A61K031-00

CC 1-5 (Pharmacology)  
 Section cross-reference(s): 63

ST taurolidine taurultam infectious **ulcer** gastritis; cell wall  
 inactivating agent infectious **ulcer** gastritis; endotoxin  
 inactivating agent infectious **ulcer** gastritis

IT **Drug delivery systems**  
 (capsules; taurolidine and/or taurultam against infectious  
**ulcer** or gastritis)

IT Crosslinking agents  
 (cell-wall; taurolidine and/or taurultam against infectious  
**ulcer** or gastritis)

IT Toxins  
 RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL  
 (Biological study); PROC (Process)  
 (endotoxins; taurolidine and/or taurultam against infectious  
**ulcer** or gastritis)

IT Stomach, disease  
 (gastritis; taurolidine and/or taurultam against infectious  
**ulcer** or gastritis)

IT Drugs  
 (gastrointestinal; taurolidine and/or taurultam against infectious  
**ulcer** or gastritis)

IT **Drug delivery systems**  
 (liqs.; taurolidine and/or taurultam against infectious **ulcer**  
 or gastritis)

IT Stomach  
 (stomach-coating medication; taurolidine and/or taurultam against  
 infectious **ulcer** or gastritis)

IT **Drug delivery systems**  
 (suspensions; taurolidine and/or taurultam against infectious  
**ulcer** or gastritis)

IT **Drug delivery systems**  
 (syrups; taurolidine and/or taurultam against infectious **ulcer**  
 or gastritis)

IT **Drug delivery systems**  
 (tablets; taurolidine and/or taurultam against infectious **ulcer**  
 or gastritis)

IT Antacids  
 Antibacterial agents  
 Antimicrobial agents  
**Antiulcer** agents  
 Cell wall  
**Drug delivery systems**  
 Helicobacter heilmannii  
 Helicobacter pylori  
 (taurolidine and/or taurultam against infectious **ulcer** or  
 gastritis)

IT 9000-83-3, ATPase  
 RL: BSU (Biological study, unclassified); BIOL (Biological study)  
 (**proton pump inhibitors**; taurolidine  
 and/or taurultam against infectious **ulcer** or gastritis)

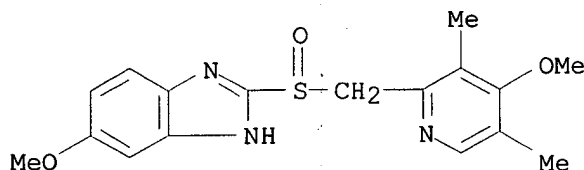
IT 471-34-1, Calcium carbonate, biological studies 19388-87-5, Taurolidine  
 38668-01-8, Taurultam 66357-59-3, Ranitidine hydrochloride  
 73590-58-6, Omeprazole 117976-89-3, Rabeprazole 135752-28-2,  
 Aluminum magnesium carbonate hydroxide 261778-33-0  
 RL: BAC (Biological activity or effector, except adverse); THU  
 (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (taurolidine and/or taurultam against infectious **ulcer** or  
 gastritis)

IT 73590-58-6, Omeprazole

RL: BAC (Biological activity or effector, except adverse); THU  
(Therapeutic use); BIOL (Biological study); USES (Uses)  
(taurolidine and/or taurultam against infectious **ulcer** or  
gastritis)

RN 73590-58-6 HCAPLUS

CN 1H-Benzimidazole, 5-methoxy-2-[[[4-methoxy-3,5-dimethyl-2-  
pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L41 ANSWER 11 OF 24 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2000:133673 HCAPLUS

DOCUMENT NUMBER: 132:180572

TITLE: Preparation of benzimidazole derivatives as prodrugs  
of **proton pump inhibitors**

INVENTOR(S): Garst, Michael E.; Sachs, George; Shin, Jai Moo

PATENT ASSIGNEE(S): Partnership of Michael E. Garst, George Sachs and Jai  
Moo Shin, USA

SOURCE: PCT Int. Appl., 105 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000009498	A1	20000224	WO 1999-US18048	19990809
W:	AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
US 6093734	A	20000725	US 1998-131481	19980810
AU 9955518	A1	20000306	AU 1999-55518	19990809
BR 9912937	A	20010508	BR 1999-12937	19990809
EP 1105387	A1	20010613	EP 1999-942057	19990809
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			
FI 2001000248	A	20010209	FI 2001-248	20010209
NO 2001000693	A	20010305	NO 2001-693	20010209
PRIORITY APPLN. INFO.:			US 1998-131481	A 19980810
			US 1999-364381	A 19990729
			WO 1999-US18048	W 19990809

OTHER SOURCE(S): MARPAT 132:180572

AB The title compds. Het1XSOHet2 [I; Het1 = II-III; X = CHR10, IV, V, etc.;

Het2 = VI-VIII (where N in the benzimidazole moiety represents that one of the ring carbons may be exchanged for an unsubstituted N atom); R1-R3 = H, alkyl, fluoroalkyl, etc.; R4, R5 = H, alkyl, fluoroalkyl, etc.; R6-R9 = H, alkyl, haloalkyl, etc.; R10 = H, alkyl; R10 may form an alkylene chain together with R3; R11, R12 = H, halo, alkyl, etc.; R15 = P(OR16)O2R16(R17), SOR16(R17), etc.; R16 = alkyl, morpholino, piperidino, etc.; R17 = alkyl, haloalkyl, alkoxy, etc.] which are prodrugs of the pyridyl Me sulfinyl benzimidazole type proton pump inhibitor drugs having a hydrolyzable sulfinyl or arylsulfonyl group attached to the benzimidazole nitrogen, or a group that forms a Mannich base with the benzimidazole nitrogen, were prep'd. Thus, reacting 2-([3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridyl]methyl)sulfinyl)-1H-benzimidazole with pyridine-3-sulfonyl chloride in the presence of Et3N in CH2Cl2 afforded the title comp'd. IX. The prodrugs I hydrolyze under physiol. conditions to provide the proton pump inhibitors with a half life measurable in hours, and are capable of providing sustained plasma concns. of the proton pump inhibitor drugs for longer time than presently used drugs. The generation of the proton pump inhibitor drugs from the prodrugs of the invention (I) under physiol. conditions allows for more effective treatment of several diseases and conditions caused by gastric acid secretion (e.g., ulcers). Biol. data for compds. I were given.

IC ICM C07D401-12.

ICS C07D401-14; C07D409-14; C07D417-14; C07D235-28; C07D471-04;  
A61K031-4184; A61K031-4439

CC 28-9 (Heterocyclic Compounds (More Than One Hetero Atom))

Section cross-reference(s): 1

ST benzimidazole prepn prodrug **proton pump**

**inhibitor**; gastric acid secretion **inhibitor** prodrug

benzimidazole prepn; **antiulcer** benzimidazole prepn; ATPase

gastric **inhibitor** prodrug benzimidazole prepn

IT **Antiulcer** agents

(prepn. of benzimidazole derivs. as prodrugs of **proton pump inhibitors**)

IT **Drug delivery systems**

(prodrugs; prep'n. of benzimidazole derivs. as prodrugs of **proton pump inhibitors**)

IT Gastric acid

(secretion, **inhibition** of; prep'n. of benzimidazole derivs. as prodrugs of **proton pump inhibitors**)

IT	259182-45-1P	259182-47-3P	259182-49-5P	259182-51-9P	259182-53-1P
	259182-54-2P	259182-55-3P	259182-56-4P	259182-57-5P	259182-58-6P
	259182-59-7P	259182-60-0P	259182-61-1P	259182-62-2P	259182-63-3P
	259182-64-4P	259182-65-5P	259182-66-6P	259182-67-7P	259182-68-8P
	259182-69-9P	259182-70-2P	259182-71-3P	259182-72-4P	259182-73-5P
	259182-74-6P	259182-75-7P	259182-76-8P	259182-77-9P	259182-78-0P
	259182-79-1P	259182-80-4P	259182-81-5P	259182-82-6P	259182-83-7P
	259182-84-8P	259182-85-9P	259182-86-0P	259182-87-1P	259182-88-2P
	259182-89-3P	259182-90-6P	259182-91-7P	259182-92-8P	259182-93-9P
	259182-94-0P	259182-95-1P	259182-96-2P	259182-97-3P	259182-98-4P
	259182-99-5P	259183-00-1P	259183-01-2P	259183-02-3P	259183-03-4P
	259183-04-5P	259183-05-6P	259183-06-7P	259183-07-8P	259183-08-9P
	259183-09-0P	259183-10-3P	259183-11-4P	259183-12-5P	259183-13-6P
	259183-14-7P	259183-15-8P	259183-16-9P	259183-17-0P	259183-18-1P
	259183-19-2P	259183-20-5P	259183-21-6P	259183-22-7P	259183-23-8P
	259183-24-9P	259183-25-0P	259183-26-1P	259183-27-2P	259183-28-3P
	259183-29-4P	259183-30-7P	259183-31-8P	259183-32-9P	259183-33-0P
	259183-34-1P	259183-35-2P	259183-36-3P	259183-37-4P	259183-38-5P
	259183-39-6P	259183-40-9P	259183-41-0P	259183-42-1P	259183-43-2P
	259183-44-3P	259183-45-4P	259183-46-5P	259183-47-6P	259183-48-7P
	259183-49-8P	259183-50-1P	259183-51-2P	259183-52-3P	259183-53-4P

259183-54-5P 259183-55-6P 259183-56-7P 259183-57-8P 259183-58-9P  
 259183-59-0P 259183-60-3P 259183-61-4P 259183-62-5P 259183-63-6P  
 259183-64-7P 259183-65-8P 259183-66-9P 259183-67-0P 259183-68-1P  
 259183-69-2P 259183-70-5P 259183-71-6P 259183-72-7P 259183-73-8P  
 259183-74-9P 259183-75-0P 259183-76-1P 259183-77-2P 259183-78-3P  
 259183-79-4P 259183-80-7P 259183-81-8P 259183-82-9P 259184-59-3P  
 RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of benzimidazole derivs. as prodrugs of **proton pump inhibitors**)

IT 64-10-8, Phenylurea 92-53-5 98-09-9, Benzenesulfonyl chloride  
 98-60-2, 4-Chlorobenzenesulfonyl chloride 103-83-3, N,N-Dimethylbenzylamine 108-95-2, Phenol, reactions 110-91-8, Morpholine, reactions 121-69-7, N,N-Dimethylaniline, reactions 122-99-6, 2-Phenoxyethanol 588-63-6, 3-Phenoxypropyl bromide 621-88-5, 2-Phenoxyacetamide 636-73-7, Pyridine-3-sulfonic acid 701-99-5, Phenoxyacetyl chloride 814-49-3, Diethyl chlorophosphate 1939-99-7, Phenylmethylsulfonyl chloride 2386-60-9, 1-Butanesulfonyl chloride 2389-73-3 3647-69-6 10147-36-1, 1-Propanesulfonyl chloride 10147-37-2, Isopropylsulfonyl chloride 13468-02-5 14098-44-3 16629-19-9, Thiophene-2-sulfonyl chloride 54187-96-1 69812-29-9  
 73590-58-6 103577-45-3 117976-90-6  
 138786-67-1 259183-91-0 259183-92-1 259183-93-2

RL: RCT (Reactant)

(prepn. of benzimidazole derivs. as prodrugs of **proton pump inhibitors**)

IT 3235-36-7P 16133-25-8P, 3-Pyridinesulfonyl chloride 19715-49-2P  
 29293-93-4P 29488-54-8P 40685-78-7P 69986-21-6P 85576-25-6P  
 100603-64-3P 100618-57-3P 125393-22-8P 259183-83-0P 259183-84-1P  
 259183-85-2P 259183-86-3P 259183-87-4P 259183-88-5P 259183-89-6P  
 259183-90-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)

(prepn. of benzimidazole derivs. as prodrugs of **proton pump inhibitors**)

IT 9000-83-3, ATPase  
 RL: BSU (Biological study, unclassified); MSC (Miscellaneous); BIOL (Biological study)

(prodrugs of the gastric H,K-ATPase **inhibitors**; prepn. of benzimidazole derivs. as prodrugs of **proton pump inhibitors**)

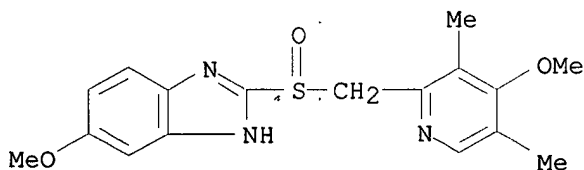
IT 73590-58-6 103577-45-3 117976-90-6  
 138786-67-1

RL: RCT (Reactant)

(prepn. of benzimidazole derivs. as prodrugs of **proton pump inhibitors**)

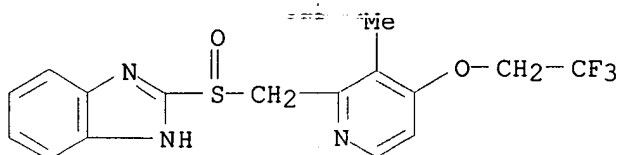
RN 73590-58-6 HCAPLUS

CN 1H-Benzimidazole, 5-methoxy-2-[[[4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



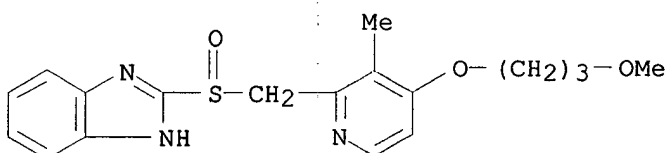
RN 103577-45-3 HCAPLUS

CN 1H-Benzimidazole, 2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl]methyl]sulfinyl]- (9CI) (CA INDEX NAME)



RN 117976-90-6 HCAPLUS

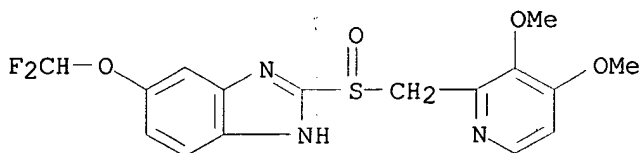
CN 1H-Benzimidazole, 2-[[[4-(3-methoxypropoxy)-3-methyl-2-pyridinyl]methyl]sulfinyl]-, sodium salt (9CI) (CA INDEX NAME)



● Na

RN 138786-67-1 HCAPLUS

CN 1H-Benzimidazole, 5-(difluoromethoxy)-2-[[[3,4-dimethoxy-2-pyridinyl]methyl]sulfinyl]-, sodium salt (9CI) (CA INDEX NAME)



● Na

REFERENCE COUNT:

3

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L41 ANSWER 12 OF 24 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1999:496282 HCAPLUS

DOCUMENT NUMBER: 131:139259

TITLE: Efficacy and safety of pantoprazole in patients with gastroesophageal reflux disease using an intravenous-oral regimen

AUTHOR(S): Wurzer, H.; Schutze, K.; Bethke, T.; Fischer, R.; Luhmann, R.; Riesenhuber, C.

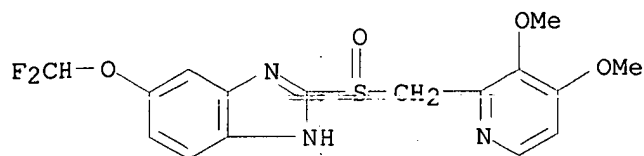
CORPORATE SOURCE: Medical Department II, General Hospital, Graz, A-8036, Austria

SOURCE: Hepato-Gastroenterology (1999), 46(27), 1809-1815

CODEN: HEGAD4; ISSN: 0172-6390  
 PUBLISHER: H.G.E. Update Medical Publishing  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English

- AB BACKGROUND/AIMS: To investigate the efficacy and safety of an i.v.-oral regimen using the gastric proton pump inhibitor pantoprazole. METHODOL.: Outpatients, with endoscopically diagnosed moderate or severe gastro-esophageal reflux disease (GERD stage II and III, resp., Savary-Miller classification), were recruited from ten hospitals or private practice centers and enrolled into an open-labeled study (intention-to-treat population n = 110, age 20-88 yr; per-protocol population n = 98). Patients were treated once daily with 40mg pantoprazole which was administered as an i.v. injection for the initial 5-7 consecutive days, then as a tablet, for up to 8 wk. The efficacy parameters were complete healing of lesions evaluated endoscopically after week 4 and 8, and relief from symptoms assessed after week 2 and 4. RESULTS: Complete healing was achieved in 85/98 (87%) and 93/98 (95%) per-protocol patients, after 4 and 8 wk, resp. The corresponding results for the intention-to-treat population were 85/110 (77%) and 93/110 (85%), resp. After 2 wk of treatment, heartburn, acid regurgitation, and pain on swallowing resolved in 97%, 98%, and 100% of the per-protocol patients, resp. Faster healing was obsd. in non-smokers, those infected with Helicobacter pylori, and those with initial GERD stage II. The i.v. and oral administration phases were well tolerated. CONCLUSIONS: Pantoprazole (40mg), applied as an i.v.-oral regimen to patients with GERD led to fast resoln. of symptoms and high healing rates. For patients, temporarily unable to take oral medications, this regimen offers safe and reliable gastric acid suppression and allows the possibility of changing between the oral and i.v. administration without the need for dose adjustment.
- CC 1-9 (Pharmacology)
- IT **Antiulcer agents**  
 (i.v.-oral pantoprazole treatment of humans with gastroesophageal reflux disease)
- IT **Drug delivery systems**  
 (injections, i.v.; i.v.-oral pantoprazole treatment of humans with gastroesophageal reflux disease)
- IT Antacids  
 (proton pump inhibitors; i.v.-oral pantoprazole treatment of humans with gastroesophageal reflux disease)
- IT **102625-70-7, Pantoprazole**  
 RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (i.v.-oral pantoprazole treatment of humans with gastroesophageal reflux disease)
- IT **102625-70-7, Pantoprazole**  
 RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (i.v.-oral pantoprazole treatment of humans with gastroesophageal reflux disease)
- RN 102625-70-7 HCAPLUS
- CN 1H-Benzimidazole, 5-(difluoromethoxy)-2-[[[3,4-dimethoxy-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)





REFERENCE COUNT: 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L41 ANSWER 13 OF 24 HCAPLUS COPYRIGHT 2002 ACS  
 ACCESSION NUMBER: 1999:388074 HCAPLUS  
 DOCUMENT NUMBER: 131:23549  
 TITLE: Novel suppository form comprising an acid-labile active compound  
 INVENTOR(S): Linder, Rudolf; Dietrich, Rango  
 PATENT ASSIGNEE(S): Byk Gulden Lomberg Chemische Fabrik GmbH, Germany  
 SOURCE: PCT Int. Appl., 18 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 3  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9929299	A1	19990617	WO 1998-EP7946	19981208
W: AL, AU, BA, BG, BR, CA, CN, CZ, EE, GE, HR, HU, ID, IL, IN, JP, KR, LT, LV, MK, MX, NO, NZ, PL, RO, SG, SI, SK, TR, UA, US, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
DE 19754324	A1	19990610	DE 1997-19754324	19971208
DE 19822549	A1	19991125	DE 1998-19822549	19980520
AU 9924130	A1	19990628	AU 1999-24130	19981208
EP 1037607	A1	20000927	EP 1998-966609	19981208
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2001525355	T2	20011211	JP 2000-523971	19981208
PRIORITY APPLN. INFO.: DE 1997-19754324 A 19971208				
DE 1998-19822549 A 19980520				
WO 1998-EP7946 W 19981208				
AB	A new administration form for acid-labile active compds. is described. The administration form is a suppository, in particular for rectal administration. Cholesterol 7 g and Ethocel 5 g were dissolved in 100 mL dichloromethane and 5 g pantoprazole sodium sesquihydrate was suspended in the soln. The suspension was spray-dried to give a white free-flowing powder. The powder was introduced to 194.7 g suppository base (Adeps solidus) and the obtained suspension was cast into suppositories of 2.1 g each.			
IC	ICM A61K009-02 ICS A61K031-44			
CC	63-6 (Pharmaceuticals)			
ST	suppository acid labile <b>proton pump inhibitor</b> ; pantoprazole cholesterol Ethocel suppository			
IT	<b>Antiulcer</b> agents (suppositories contg. acid-labile drug particles surrounded with sterols and polymers and/or fatty alcs.)			

## IT Drug delivery systems

(suppositories; suppositories contg. acid-labile drug particles surrounded with sterols and polymers and/or fatty alcs.)

IT 57-87-4, Ergosterol 57-88-5, Cholesterol, biological studies 79-63-0, Lanosterol 83-46-5 83-48-7, Stigmasterol 112-72-1, Myristyl alcohol 112-92-5, Stearyl alcohol 474-62-4, Campesterol 474-67-9, Brassicasterol 9003-20-7, Polyvinyl acetate 9003-39-8, Polyvidone 9004-57-3, Ethyl cellulose 9004-64-2, Hydroxypropyl cellulose 9004-67-5, Methyl cellulose 25086-89-9, Vinylacetate-vinylpyrrolidone copolymer 36653-82-4, Cetyl alcohol 73590-58-6, Omeprazole 102625-70-7, Pantoprazole 103577-45-3, Lansoprazole 117976-89-3, Rabeprazole 164579-32-2

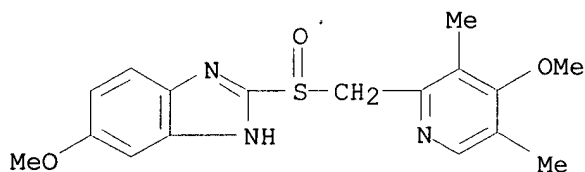
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(suppositories contg. acid-labile drug particles surrounded with sterols and polymers and/or fatty alcs.)

IT 73590-58-6, Omeprazole 102625-70-7, Pantoprazole 103577-45-3, Lansoprazole 164579-32-2

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(suppositories contg. acid-labile drug particles surrounded with sterols and polymers and/or fatty alcs.)

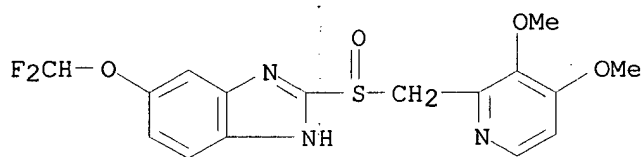
RN 73590-58-6 HCAPLUS

CN 1H-Benzimidazole, 5-methoxy-2-[[[4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



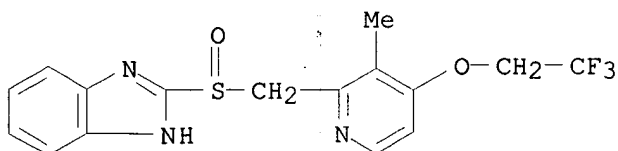
RN 102625-70-7 HCAPLUS

CN 1H-Benzimidazole, 5-(difluoromethoxy)-2-[[[3,4-dimethoxy-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



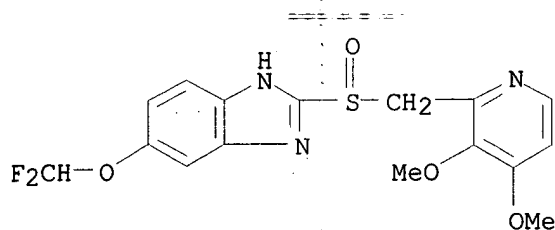
RN 103577-45-3 HCAPLUS

CN 1H-Benzimidazole, 2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



RN 164579-32-2 HCAPLUS

CN 1H-Benzimidazole, 5-(difluoromethoxy)-2-[[ (3,4-dimethoxy-2-pyridinyl)methyl]sulfinyl]-, sodium salt, hydrate (2:3) (9CI) (CA INDEX NAME)



● Na

● 3/2 H<sub>2</sub>O

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

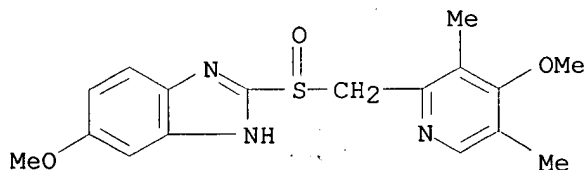
L41 ANSWER 14 OF 24 HCAPLUS COPYRIGHT 2002 ACS  
 ACCESSION NUMBER: 1999:172610 HCAPLUS  
 DOCUMENT NUMBER: 130:213643  
 TITLE: Combined preparations for treating upper gastrointestinal tract distress  
 INVENTOR(S): Mitra, Sekhar; Desai, Kishorkumar Jivanlal  
 PATENT ASSIGNEE(S): The Procter & Gamble Company, USA  
 SOURCE: PCT Int. Appl., 13 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9910000	A1	19990304	WO 1998-IB1205	19980806
W: AU, CA, CN, JP				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9883544	A1	19990316	AU 1998-83544	19980806
JP 2001513570	T2	20010904	JP 2000-507390	19980806
PRIORITY APPLN. INFO.:				
			US 1997-917993	A 19970825
			WO 1998-IB1205	W 19980806

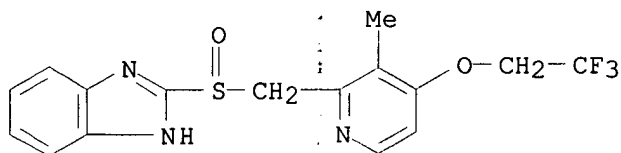
AB Multilayer combined preps. for oral administration to be used for disorders of the upper gastrointestinal tract, such as heartburn, indigestion or H. pylori infections is disclosed. The preferred form is a tablet which releases a bismuth compd. in the stomach and a proton pump inhibiting compd. into the intestine. This is achieved by making an enteric coated core contg. the proton pump inhibitor with an outer layer contg. the bismuth compd. A multilayered tablet contained bismuth subsalicylate cake 262.5, calcium carbonate 67.5, mannitol 67.5, color 0.70, povidone 13.50, magnesium stearate 5.40, microcryst. cellulose 213.4, sodium starch glycolate 40.3, Polysorbate 80 3.4, colloidal silicon

dioxide 0.7 in the core layer, microcryst. cellulose 200.00 in the center layer, omeprazole 10, and microcryst. cellulose in the final layer.

- IC ICM A61K033-24  
ICS A61K009-20; A61K031-415
- CC 63-6 (Pharmaceuticals)  
Section cross-reference(s): 1
- ST **proton pump inhibitor** bismuth salt stomach;  
multilayer pharmaceutical tablet bismuth subsalicylate omeprazole
- IT **Antiulcer agents**  
Capsules (**drug delivery systems**)  
Gastrointestinal tract  
(combined preps. for treating upper gastrointestinal tract distress)
- IT Tablets (**drug delivery systems**)  
(enteric-coated; combined preps. for treating upper gastrointestinal tract distress)
- IT Tablets (**drug delivery systems**)  
(multilayered; combined preps. for treating upper gastrointestinal tract distress)
- IT 99-26-3, Bismuth subgallate 813-93-4, Bismuth citrate 1304-85-4, Bismuth subnitrate 1344-85-0, Bismuth aluminate 5892-10-4, Bismuth subcarbonate 6591-56-6, Bismuth tartrate 14882-18-9, Bismuth subsalicylate 57644-54-9, Tripotassium dicitratobismuthate 73590-58-6, Omeprazole 103577-45-3, Lansoprazole 117976-89-3, Rabeprazole  
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(combined preps. for treating upper gastrointestinal tract distress)
- IT 73590-58-6, Omeprazole 103577-45-3, Lansoprazole  
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(combined preps. for treating upper gastrointestinal tract distress)
- RN 73590-58-6 HCAPLUS
- CN 1H-Benzimidazole, 5-methoxy-2-[[[4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



- RN 103577-45-3 HCAPLUS
- CN 1H-Benzimidazole, 2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L41 ANSWER 15 OF 24 HCAPLUS COPYRIGHT 2002 ACS  
ACCESSION NUMBER: 1999:68147 HCAPLUS

DOCUMENT NUMBER: 130:246749  
 TITLE: Classification of dyspepsia: identification of independent symptom components in 7270 consecutive, unselected dyspepsia patients from general practice  
 AUTHOR(S): Meineche-Schmidt, V.; Christensen, E.  
 CORPORATE SOURCE: Dept. of General Practice, The Panum Institute, and Clinic of Internal Medicine I, Bispebjerg University Hospital, Copenhagen, Den.  
 SOURCE: Scand. J. Gastroenterol. (1998), 33(12), 1262-1272  
 CODEN: SJGRA4; ISSN: 0036-5521  
 PUBLISHER: Scandinavian University Press  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English

AB Several attempts to classify dyspepsia into subgroups have been proposed as a basis for empirical treatment and research. However, subgrouping has proved difficult due to overlap of symptoms between subgroups, and the response to empirical therapy is difficult to predict. We aimed to study whether natural symptom combinations occur in patients seeing general practitioners because of dyspepsia and whether symptom presentation could predict the effect of proton pump inhibitor treatment. The symptom presentation of 7270 consecutive, unselected patients with dyspepsia in general practice was studied by using principal-components anal. The relation to the effect of omeprazole was studied in a subsample (n= 471) with predominantly reflux-like or ulcer-like dyspepsia being included in a controlled clin. trial of omeprazole vs. placebo. Four principal components (factors), explaining 36% of the total variance, were found. They describe four independent dimensions in the symptoms of dyspepsia that can be interpreted meaningfully as representing A) acid-related disease of the upper gastrointestinal tract, B) irritable bowel disorder, C) dysmotility of the stomach/duodenum, and D) dysmotility of the esophagus. In the subsample the response to proton pump inhibition therapy was assocd. with high component-A scores, low component-B scores, and low component-C scores. A pocket chart was devised to obtain the component scores easily in new patients. The anal. identified four characteristic, biol. meaningful dyspepsia components that express independent dimensions in the symptoms of patients with dyspepsia. The symptom scores corresponding to the four components may improve symptom-based diagnosis and thereby empirical therapy. In particular, the assocn. between component scores and the effect of omeprazole suggests that classifying dyspepsia on the basis of these components may focus empirical omeprazole therapy even more.

CC 1-9 (Pharmacology)

Section cross-reference(s): 14

ST dyspepsia symptom identification **proton pump inhibitor** therapy; antacid dyspepsia symptom identification

IT Antacids

**Antiulcer** agents

Dyspepsia

(classification of dyspepsia: identification of independent symptom components in 7270 consecutive, unselected dyspeptic **human** patients from general practice)

IT **73590-58-6**, Omeprazole

RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(classification of dyspepsia: identification of independent symptom components in 7270 consecutive, unselected dyspeptic **human** patients from general practice)

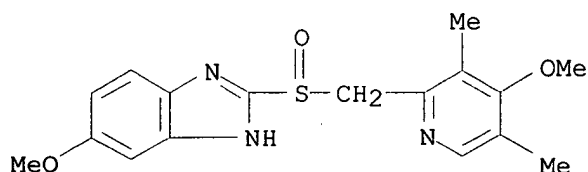
IT **73590-58-6**, Omeprazole

RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(classification of dyspepsia: identification of independent symptom components in 7270 consecutive, unselected dyspeptic human patients from general practice)

RN 73590-58-6 HCAPLUS

CN 1H-Benzimidazole, 5-methoxy-2-[[4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L41 ANSWER 16 OF 24 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1999:29191 HCAPLUS

DOCUMENT NUMBER: 130:71460

TITLE: **Proton pump inhibitors.**

Active substance release from different preparations

AUTHOR(S): Petersen, Karl-Uwe; Schmutzler, Wolfgang

CORPORATE SOURCE: Aachen, D-52072, Germany

SOURCE: Dtsch. Apoth. Ztg. (1999), 139(1), 64-65

CODEN: DAZE2; ISSN: 0011-9857

PUBLISHER: Deutscher Apotheker Verlag

DOCUMENT TYPE: Journal

LANGUAGE: German

AB Tablet and capsule preps. of the proton pump inhibitors lansoprazole (Agopton capsules), omeprazole (Antra capsules and Antra Multiple Unit Pellet System), and pantoprazole (Pantoprazol tablets) were tested in vitro. After 30 min incubation (pH = 6.8) 80% of omeprazole were released from tablets and capsules compared to 20% of pantoprazole from tablets; 80% of omeprazole were released from micropellet tablets (Antra MUPS) compared to 40% from lansoprazole capsules.

CC 63-6 (Pharmaceuticals)

ST **proton pump inhibitor** pharmacokinetics

bioequivalence; omeprazole lansoprazole pantoprazole pharmacokinetics

bioequivalence; tablet capsule omeprazole lansoprazole pantoprazole

**antiulcer**

IT **Antiulcer** agents

Capsules (**drug delivery systems**)

Tablets (**drug delivery systems**)

(**proton pump inhibitors** releasing from different preps.)

IT 73590-58-6, Omeprazole 102625-70-7, Pantoprazole

103577-45-3, Lansoprazole

RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); THU (Therapeutic use); BIOL (Biological study); PROC (Process);

USES (Uses)

(**proton pump inhibitors** releasing from different preps.)

IT 73590-58-6, Omeprazole 102625-70-7, Pantoprazole

103577-45-3, Lansoprazole

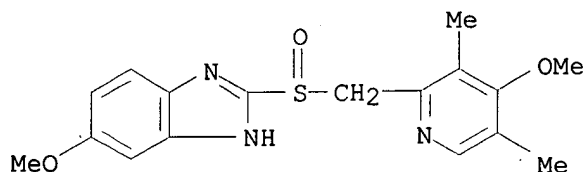
RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); THU (Therapeutic use); BIOL (Biological study); PROC (Process);

USES (Uses)

(proton pump inhibitors releasing from  
different preps.)

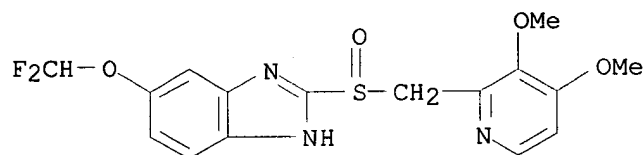
RN 73590-58-6 HCAPLUS

CN 1H-Benzimidazole, 5-methoxy-2-[[[4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



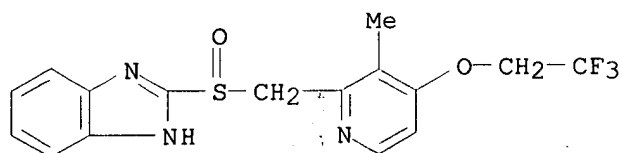
RN 102625-70-7 HCAPLUS

CN 1H-Benzimidazole, 5-(difluoromethoxy)-2-[[[3,4-dimethoxy-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



RN 103577-45-3 HCAPLUS

CN 1H-Benzimidazole, 2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT:

7

THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L41 ANSWER 17 OF 24 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1998:621099 HCAPLUS

DOCUMENT NUMBER: 129:235661

TITLE: An enteric-coated oral dosage form comprising sodium  
amoxycillin

INVENTOR(S): Wendsjo, Stig

PATENT ASSIGNEE(S): Astra Aktiebolag (Publ), Swed.

SOURCE: PCT Int. Appl., 21 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 9840054 A1 19980917 WO 1998-SE356 19980227  
 W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE,  
 DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG,  
 KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX,  
 NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT,  
 UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM  
 RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI,  
 FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM,  
 GA, GN, ML, MR, NE, SN, TD, TG

AU 9864268 A1 19980929 AU 1998-64268 19980227

EP 981335 A1 20000301 EP 1998-909899 19980227

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
 IE, SI, LT, LV, FI, RO

JP 2001515489 T2 20010918 JP 1998-539498 19980227

PRIORITY APPLN. INFO.:

SE 1997-885 A 19970312

WO 1998-SE356 W 19980227

AB An enteric-coated oral dosage form comprising sodium amoxycillin, wherein the dosage form is a single unit tableted dosage form or a multiple unit tableted dosage form is claimed. Processes for the manuf. of the dosage forms as well as the formulations, use in the treatment of Helicobacter pylori infections are claimed. A tablet was formulated contg. Na amoxycillin 224, microcryst. cellulose 245, Na starch glycolate 75, PVP 38, and Mg stearate 8.4 mg and coated with a soln. for sepg. layer contg. hydroxypropyl Me cellulose, H2O2, and water, followed by a soln. for enteric coating layer contg. methacrylate copolymer dispersion, polyethylene glycol, titania, and water.

IC ICM A61K009-28

ICS A61K009-52; A61K031-44

CC 63-6 (Pharmaceuticals)

IT **Antiulcer agents**

(enteric-coated tablets contg. sodium amoxycillin and **proton pump inhibitors**)

IT Tablets (**drug delivery systems**)

(enteric-coated; enteric-coated tablets contg. sodium amoxycillin and **proton pump inhibitors**)

IT Helicobacter pylori

(infections, treatment of; enteric-coated tablets contg. sodium amoxycillin and **proton pump inhibitors**)

IT 34642-77-8, Sodium amoxycillin 73590-58-6, Omeprazole

119141-88-7, S-Omeprazole

RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(enteric-coated tablets contg. sodium amoxycillin and **proton pump inhibitors**)

IT 73590-58-6, Omeprazole

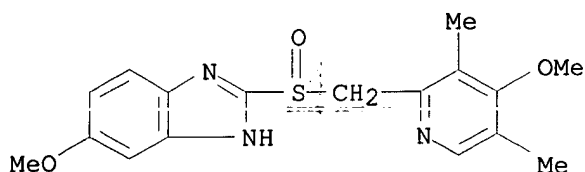
RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(enteric-coated tablets contg. sodium amoxycillin and **proton pump inhibitors**)

RN 73590-58-6 HCAPLUS

CN 1H-Benzimidazole, 5-methoxy-2-[[[4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)





L41 ANSWER 18 OF 24 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1998:478330 HCAPLUS

DOCUMENT NUMBER: 129:225302

TITLE: An evaluation of the cytochrome P450 induction potential of pantoprazole in primary **human** hepatocytesAUTHOR(S): Masubuchi, Noriko; Li, Albert P.; Okazaki, Osamu  
CORPORATE SOURCE: Drug Metabolism and Analytical Chemistry Research Laboratory, Daiichi Pharmaceutical, Tokyo, 134, Japan  
SOURCE: Chem.-Biol. Interact. (1998), 114(1,2), 1-13

CODEN: CBINA8; ISSN: 0009-2797

PUBLISHER: Elsevier Science Ireland Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Primary human hepatocytes contain a full complement of human drug-metabolizing enzymes and therefore represent a relevant exptl. system for the evaluation of pharmacokinetic drug-drug interaction potential in human. In this study, the cytochrome P 450 (CYP) induction potential of pantoprazole (PAN) was evaluated and compared to two other proton pump inhibitors (PPIs), omeprazole (OM) and lansoprazole (LAN). Primary human hepatocytes from three donors were studied. The hepatocytes were cultured for 3 days, followed by treatment for 3 days with the PPIs at 2, 5 and 10 .mu.M. Two other known CYP inducers, 3-methylcholanthrene at 1 .mu.M and rifampin at 50 .mu.M, were also evaluated. Induction potentials of these chems. for CYP1A and CYP3A were evaluated by isoenzyme activity and isoenzyme content. 7-Ethoxyresorufin-O-deethylase and testosterone 6.beta.-hydroxylase activities were used as endpoints for CYP1A and CYP3A, resp. Isoenzyme protein contents of CYP1A and CYP3A were evaluated via Western blotting. The results showed that for CYP1A induction, the rank ordering in induction potential was consistently OM>LAN>PAN. CYP3A induction by the PPI's were obsd. in two of the three hepatocyte cultures, with no apparent differences in induction potency for the three compds. Our results on CYP1A induction suggest that PAN has a lower drug-drug interaction potential than OM and LAN.

CC 1-4 (Pharmacology)

ST **proton pump inhibitor** hepatocyte cytochrome P450; drug interaction potential **antiulcer** drugIT **Antiulcer** agents

Hepatocyte

(cytochrome P 450 induction potential of pantoprazole in primary **human** hepatocytes: comparison with 3-methylcholanthrene, rifampin, omeprazole and lansoprazole)

IT Drug interactions

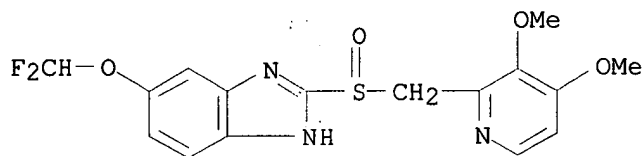
(potential; cytochrome P 450 induction potential of pantoprazole in primary **human** hepatocytes: comparison with 3-methylcholanthrene, rifampin, omeprazole and lansoprazole)

IT **102625-70-7**, Pantoprazole

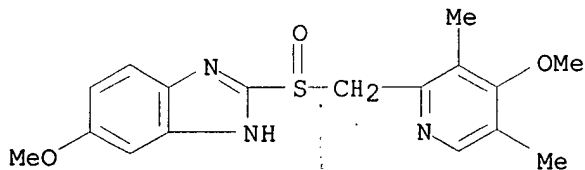
RL: BAC (Biological activity or effector, except adverse); BIOL (Biological study)

(cytochrome P 450 induction potential of pantoprazole in primary

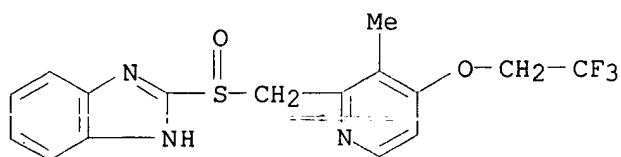
- human hepatocytes)  
 IT 9035-51-2, Cytochrome P450, biological studies  
 RL: BPR (Biological process); BIOL (Biological study); PROC (Process)  
 (cytochrome P 450 induction potential of pantoprazole in primary  
 human hepatocytes)  
 IT 56-49-5, 3-Methylcholanthrene 13292-46-1, Rifampin 73590-58-6,  
 Omeprazole 103577-45-3, Lansoprazole  
 RL: BAC (Biological activity or effector, except adverse); BIOL  
 (Biological study)  
 (cytochrome P 450 induction potential of pantoprazole in primary  
 human hepatocytes: comparison with 3-methylcholanthrene,  
 rifampin, omeprazole and lansoprazole)  
 IT 9075-83-6, Testosterone 6.beta.-hydroxylase 59793-97-4,  
 7-Ethoxyresorufin-O-deethylase  
 RL: BPR (Biological process); BIOL (Biological study); PROC (Process)  
 (cytochrome P 450 induction potential of pantoprazole in primary  
 human hepatocytes: comparison with 3-methylcholanthrene,  
 rifampin, omeprazole and lansoprazole)  
 IT 102625-70-7, Pantoprazole  
 RL: BAC (Biological activity or effector, except adverse); BIOL  
 (Biological study)  
 (cytochrome P 450 induction potential of pantoprazole in primary  
 human hepatocytes)  
 RN 102625-70-7 HCAPLUS  
 CN 1H-Benzimidazole, 5-(difluoromethoxy)-2-[[[3,4-dimethoxy-2-  
 pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



- IT 73590-58-6, Omeprazole 103577-45-3, Lansoprazole  
 RL: BAC (Biological activity or effector, except adverse); BIOL  
 (Biological study)  
 (cytochrome P 450 induction potential of pantoprazole in primary  
 human hepatocytes: comparison with 3-methylcholanthrene,  
 rifampin, omeprazole and lansoprazole)  
 RN 73590-58-6 HCAPLUS  
 CN 1H-Benzimidazole, 5-methoxy-2-[[[4-methoxy-3,5-dimethyl-2-  
 pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



- RN 103577-45-3 HCAPLUS  
 CN 1H-Benzimidazole, 2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-  
 pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



L41 ANSWER 19 OF 24 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1998:259529 HCAPLUS

DOCUMENT NUMBER: 128:312928

TITLE: Pharmaceutical compositions for Helicobacter pylori-related gastritis and gastric ulcer

INVENTOR(S): Hirata, Takeo; Nakao, Masafumi; Watanbe, Masazumi

PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 10 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

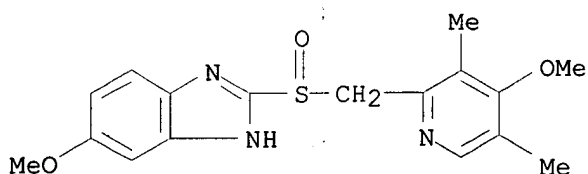
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 10109942	A2	19980428	JP 1997-216083	19970811
PRIORITY APPLN. INFO.:			JP 1996-213370	19960813
AB	Effective pharmaceutical compns. for H. pylori-related gastritis and gastric ulcer comprise : (A) H. pylori-inhibiting medicinal plant exts. or powders and (B) histamine H2 receptor antagonists, proton pump inhibitors, mucosa-type gastritis and peptic ulcer inhibitors, and/or diarrhea inhibitors.			
IC	ICM A61K035-78			
	ICS A61K035-78; A61K031-12; A61K031-165; A61K031-34; A61K031-415; A61K031-425; A61K031-44; A61K031-70; A61K045-00			
CC	63-6 (Pharmaceuticals)			
	Section cross-reference(s): 1, 11			
ST	pharmaceutical Helicobacter gastritis gastric ulcer			
IT	Forsythia			
	(fruits; pharmaceutical compns. for Helicobacter pylori-related gastritis and peptic ulcer)			
IT	Diarrhea			
	(inhibitors; pharmaceutical compns. for Helicobacter pylori-related gastritis and peptic ulcer)			
IT	Plant (Embryophyta)			
	(medicinal; pharmaceutical compns. for Helicobacter pylori-related gastritis and peptic ulcer)			
IT	Antiulcer agents			
	(peptic; pharmaceutical compns. for Helicobacter pylori-related gastritis and peptic ulcer)			
IT	Aconitum carmichaelii			
	Aloe (genus)			
	Arctium lappa			
	Areca			
	Artemisia capillaris			
	Carthamus			
	Cimicifuga			
	Clove (Syzygium aromaticum)			
	Coptis			
	Cork tree (Phellodendron)			

- Corydalis  
 Cyperus  
 Gastritis  
 Ginger  
 Granules (**drug delivery systems**)  
 Hawthorn (Crataegus)  
 Helicobacter pylori  
 Honeysuckle (Lonicera japonica)  
 H2 receptor antagonists  
 Licorice (Glycyrrhiza)  
 Lindera strychnifolia  
 Lotus (genus)  
 Peony (Paeonia)  
 Peptic ulcer  
 Perilla  
 Pomegranate  
 Rhubarb (Rheum)  
 Sage (Salvia miltiorhiza)  
 Senna (Cassia)  
 Sophora  
 Tablets (**drug delivery systems**)  
 (pharmaceutical compns. for Helicobacter pylori-related gastritis and peptic ulcer)
- IT Tannins  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (pharmaceutical compns. for Helicobacter pylori-related gastritis and peptic ulcer)
- IT **Proton transport (biological)**  
 (**proton pump inhibitors**; pharmaceutical compns. for Helicobacter pylori-related gastritis and peptic ulcer)
- IT Citrus  
 (unshiu peel; pharmaceutical compns. for Helicobacter pylori-related gastritis and peptic ulcer)
- IT 56-40-6, Aminoacetic acid, biological studies 99-26-3, Bismuth subgallate 144-55-8, Sodium bicarbonate, biological studies 471-34-1, Calcium carbonate, biological studies 1304-85-4, Bismuth subnitrate 1309-48-4, Magnesium oxide, biological studies 1327-43-1, Magnesium silicate aluminate 1335-30-4, Aluminum silicate 2090-64-4, Magnesium bicarbonate 5892-10-4, Bismuth subcarbonate 6620-60-6, Proglumide 6809-52-5, Teprenone 7460-14-2, Bismuth salicylate 7757-93-9, Calcium hydrogen phosphate 12304-65-3, Hydrotalcite 13682-92-3, Dihydroxyaluminum aminoacetate 21645-51-2, Aluminum hydroxide, biological studies 27724-96-5, Cetraxate hydrochloride 39366-43-3, Aluminum Magnesium hydroxide 51481-61-9, Cimetidine 54182-58-0, Sucralfate 64218-02-6, Plaunotol 64506-49-6, Sofalcone 66357-35-5, Ranitidine 73590-58-6, Omeprazole 76824-35-6, Famotidine 76963-41-2, Nizatidine 78273-80-0, Roxatidine 78718-52-2, Benexate 84504-69-8, Irsogladine maleate 86408-72-2, Ecabet sodium 90098-04-7, Rebamipide 102625-70-7, Pantoprazole 103577-45-3, Lansoprazole 104340-86-5, Leminoprazole 107667-60-7, Polaprezinc 117976-89-3, Rabeprazole  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (pharmaceutical compns. for Helicobacter pylori-related gastritis and peptic ulcer)
- IT 73590-58-6, Omeprazole 102625-70-7, Pantoprazole 103577-45-3, Lansoprazole 104340-86-5, Leminoprazole  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (pharmaceutical compns. for Helicobacter pylori-related gastritis and peptic ulcer)

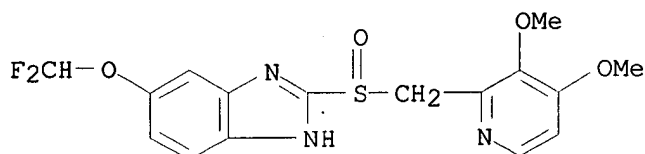
RN 73590-58-6 HCAPLUS

CN 1H-Benzimidazole, 5-methoxy-2-[[[4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



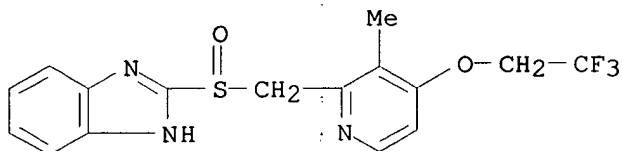
RN 102625-70-7 HCAPLUS

CN 1H-Benzimidazole, 5-(difluoromethoxy)-2-[[[3,4-dimethoxy-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



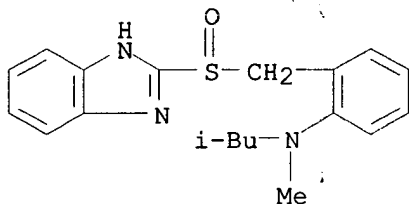
RN 103577-45-3 HCAPLUS

CN 1H-Benzimidazole, 2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



RN 104340-86-5 HCAPLUS

CN Benzenamine, 2-[[[1H-benzimidazol-2-ylsulfinyl)methyl]-N-methyl-N-(2-methylpropyl)- (9CI) (CA INDEX NAME)



L41 ANSWER 20 OF 24 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1997:745956 HCAPLUS

DOCUMENT NUMBER: 128:30403

TITLE: Bismuth salts of sialyloligosaccharides and a method for treating and inhibiting gastric and duodenal ulcers using them

INVENTOR(S): Swarz, Herbert  
 PATENT ASSIGNEE(S): Neose Technologies, Inc., USA  
 SOURCE: PCT Int. Appl., 41 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9741875	A1	19971113	WO 1997-US6376	19970428
W: AU, CA, JP, KR, MX				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2253913	AA	19971113	CA 1997-2253913	19970428
AU 9727326	A1	19971126	AU 1997-27326	19970428
AU 710576	B2	19990923		
EP 918526	A1	19990602	EP 1997-921225	19970428
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2000509714	T2	20000802	JP 1997-539929	19970428
KR 2000010732	A	20000225	KR 1998-708842	19981102

PRIORITY APPLN. INFO.:  
 US 1996-16765 P 19960503  
 WO 1997-US6376 W 19970428

AB A method for treating and/or inhibiting gastric and duodenal ulcers comprises administering a pharmaceutical compn. comprising a bismuth salt of an oligosaccharide (NeuAc-.alpha.(2-3)-pGal-.beta.(1)-(X)m-(Y)n-)p-Z, (X = bond or group capable of linking pGal to either linking group Y or multivalent support Z; Cl glycosidic O of galactose may be replaced by N, S, C; Y = linking group; Z = multivalent support; m, n = 0, 1; p = 2-1000) is described. Also described is a method for treating and/or inhibiting gastric and duodenal ulcers, comprising administering a pharmaceutical compn. comprising a bismuth salt of an oligosaccharide NeuAc-.alpha.(2-3)-pGal-.beta.(1)-A (A = group capable of bonding to pGal; Cl glycosidic O of galactose may be replaced by N, S, C).

IC ICM A61K031-70  
 ICS A61K031-715; A61K033-24

CC 1-9 (Pharmacology)  
 Section cross-reference(s): 63

ST sialyloligosaccharide bismuth salt **ulcer** inhibitor; gastric **ulcer** inhibitor sialyloligosaccharide bismuth salt; duodenal **ulcer** inhibitor sialyloligosaccharide bismuth salt

IT Duodenum  
 (H. pylori infection; sialyloligosaccharide bismuth salts for gastric and duodenal **ulcer** treatment)

IT Lewis blood groups  
 RL: BSU (Biological study, unclassified); BIOL (Biological study)  
 (Leb, Leb active oligosaccharide; sialyloligosaccharide bismuth salts, alone or with other agents, for gastric and duodenal **ulcer** treatment)

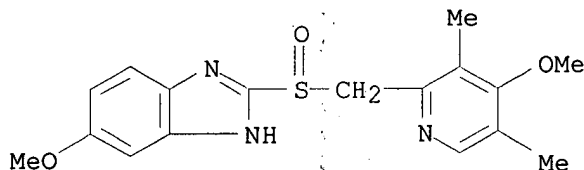
IT Liposomes (**drug delivery systems**)  
 (conjugates with sialyloligosaccharide bismuth salts; sialyloligosaccharide bismuth salts for gastric and duodenal **ulcer** treatment)

IT Dendritic polymers  
 Polyhydric alcohols  
 RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (conjugates with sialyloligosaccharide bismuth salts; sialyloligosaccharide bismuth salts for gastric and duodenal

- ulcer treatment)**
- IT Avidins
  - Lipids, biological studies
  - RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
  - (conjugates, with sialyloligosaccharide bismuth salts; sialyloligosaccharide bismuth salts for gastric and duodenal **ulcer treatment)**
- IT **Antiulcer agents**
  - (duodenal; sialyloligosaccharide bismuth salts for gastric and duodenal **ulcer treatment)**
- IT **Drug delivery systems**
  - (enteric; sialyloligosaccharide bismuth salts for gastric and duodenal **ulcer treatment)**
- IT Stomach diseases
  - (infection, H. pylori; sialyloligosaccharide bismuth salts for gastric and duodenal **ulcer treatment)**
- IT Proton transport (biological)
  - (inhibitors; sialyloligosaccharide bismuth salts, alone or with other agents, for gastric and duodenal **ulcer treatment)**
- IT Emulsions
  - (lipid, conjugates with sialyloligosaccharide bismuth salts; sialyloligosaccharide bismuth salts for gastric and duodenal **ulcer treatment)**
- IT **Antiulcer agents**
  - Drug delivery systems**
  - Helicobacter pylori
  - Oral drug delivery systems**
  - (sialyloligosaccharide bismuth salts for gastric and duodenal **ulcer treatment)**
- IT Fetuins
  - Sialooligosaccharides
  - RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
  - (sialyloligosaccharide bismuth salts for gastric and duodenal **ulcer treatment)**
- IT Antibacterial agents
  - H2 receptor antagonists
  - (sialyloligosaccharide bismuth salts, alone or with other agents, for gastric and duodenal **ulcer treatment)**
- IT Antibiotics
  - Oligosaccharides, biological studies
  - RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
  - (sialyloligosaccharide bismuth salts, alone or with other agents, for gastric and duodenal **ulcer treatment)**
- IT Infection
  - (stomach, H. pylori; sialyloligosaccharide bismuth salts for gastric and duodenal **ulcer treatment)**
- IT Polysaccharide conjugates
  - RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
  - (with sialyloligosaccharide bismuth salts; sialyloligosaccharide bismuth salts for gastric and duodenal **ulcer treatment)**
- IT 12408-02-5, Hydrogen ion, biological studies
  - RL: BSU (Biological study, unclassified); BIOL (Biological study)
  - (**proton pump inhibitors;**
  - sialyloligosaccharide bismuth salts, alone or with other agents, for gastric and duodenal **ulcer treatment)**
- IT 63-42-3, Lactose 7440-69-9D, Bismuth, salts with sialyloligosaccharides

9003-05-8D, Polyacrylamide, conjugates with sialyloligosaccharide bismuth salts 9004-54-0D, Dextran, conjugates with sialyloligosaccharide bismuth salts 12619-70-4D, Cyclodextrin, conjugates with sialyloligosaccharide bismuth salts 25104-18-1D, Polylysine, conjugates with sialyloligosaccharide bismuth salts 35890-38-1, 3'-Sialyllactose 35890-38-1D, 3'-Sialyllactose, albumin conjugates 35890-39-2, 6'-Sialyllactose 38000-06-5D, Polylysine, conjugates with sialyloligosaccharide bismuth salts 199612-73-2  
 RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (sialyloligosaccharide bismuth salts for gastric and duodenal **ulcer** treatment)

IT 60-54-8D, Tetracycline, derivs. 66357-35-5, Ranitidine  
 73590-58-6, Omeprazole  
 RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (sialyloligosaccharide bismuth salts, alone or with other agents, for gastric and duodenal **ulcer** treatment)  
 IT 73590-58-6, Omeprazole  
 RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (sialyloligosaccharide bismuth salts, alone or with other agents, for gastric and duodenal **ulcer** treatment)  
 RN 73590-58-6 HCAPLUS  
 CN 1H-Benzimidazole, 5-methoxy-2-[[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



L41 ANSWER 21 OF 24 HCAPLUS COPYRIGHT 2002 ACS  
 ACCESSION NUMBER: 1997:31678 HCAPLUS  
 DOCUMENT NUMBER: 126:83946  
 TITLE: Lansoprazole: a **proton pump inhibitor**  
 AUTHOR(S): Garnett, William R.  
 CORPORATE SOURCE: Medical College Virginia, Virginia Commonwealth University, Richmond, VA, 23298, USA  
 SOURCE: Ann. Pharmacother. (1996), 30(12), 1425-1436  
 CODEN: APhRER; ISSN: 1060-0280  
 PUBLISHER: Harvey Whitney Books Co.  
 DOCUMENT TYPE: Journal; General Review  
 LANGUAGE: English

AB A review, with 108 refs., summarizing published data on lansoprazole, a proton pump inhibitor approved by the Food and Drug Administration for use in the treatment of duodenal ulcer, erosive esophagitis, and pathol. hypersecretory conditions (e.g., Zollinger-Ellison syndrome). Lansoprazole is safe and effective for the treatment of acid-related disorders. It is more effective than the H<sub>2</sub>-receptor antagonists and comparable to omeprazole for these indications. The choice between lansoprazole and omeprazole is likely to be institution-specific and pharmacoeconomic.  
 CC 1-0 (Pharmacology)



IT Pancreatic tumors  
(Zollinger-Ellison syndrome; lansoprazole treatment of **human**)

IT Esophageal diseases  
(esophagitis; lansoprazole treatment of **human**)

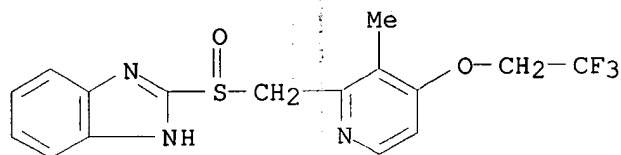
IT Duodenal **ulcer**  
(lansoprazole treatment of **human**)

IT **103577-45-3**, Lansoprazole  
RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BPR (Biological process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)  
(pharmacol. of)

IT **103577-45-3**, Lansoprazole  
RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BPR (Biological process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)  
(pharmacol. of)

RN **103577-45-3** HCAPLUS

CN 1H-Benzimidazole, 2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl]methyl]sulfinyl]- (9CI) (CA INDEX NAME)



L41 ANSWER 22 OF 24 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1995:395709 HCAPLUS

DOCUMENT NUMBER: 122:178093

TITLE: Uptake site of lansoprazole, a **proton pump inhibitor**, in **human fundic mucosa**: possible relevance with fibroblast and *Helicobacter pylori*

AUTHOR(S): Nakamura, Masahiko; Oda, Masaya; Akiba, Yasutada; Inoue, Jun; Ito, Takashi; Fujiwara, Tatsushi; Tsuchiya, Masaharu; Ishii, Hiromasa

CORPORATE SOURCE: School Medicine, Keio University, Tokyo, 160, Japan

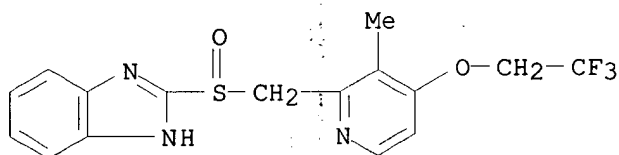
SOURCE: Cell. Mol. Biol. (Paris) (1995), 41(1), 125-30  
CODEN: CMOBEF; ISSN: 0145-5680

DOCUMENT TYPE: Journal

LANGUAGE: English

AB To clarify the mechanism of the effect of lansoprazole in healing gastric ulcers, the uptake sites of lansoprazole were studied using endoscopically biopsied specimens from the margin of the gastric ulcer. The specimens were incubated in a medium contg. 3H-lansoprazole for 5 or 15 min., post-fixed with 1% osmic acid and embedded in Epon. Semi-thin or ultra-thin sections were made and radioautog. emulsion films were applied by the wire-loop method. 30 Days after incubation, the sections were developed, fixed and obsd. by light and electron microscopy. The uptake sites of lansoprazole were accumulated on the fibroblasts located near the tip portion of the gastric mucosa and on the unmyelinated nerve fibers as well as on the parietal cells. Some of the uptake sites were also obsd. near the plasma membrane of the bacteria in the gastric lumen. These observations suggest that the activity of lansoprazole was exerted partly through effects on both the mesenchymal cells and the *Helicobacter pylori* bacteria.

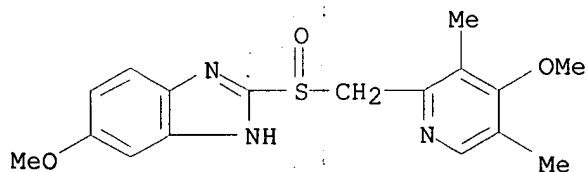
CC 1-9 (Pharmacology)  
 ST lansoprazole stomach **ulcer** healing mechanism; **proton pump inhibitor ulcer** healing mechanism  
 IT Campylobacter pyloridis  
 Fibroblast  
**Ulcer inhibitors**  
 (uptake sites of lansoprazole on fibroblasts and Helicobacter pylori in relation to **antiulcer** activity)  
 IT Biological transport  
 (absorption, uptake sites of lansoprazole on fibroblasts and Helicobacter pylori in relation to **antiulcer** activity)  
 IT Nerve  
 (enteric, uptake sites of lansoprazole on nerve cells and parietal cells in relation to **antiulcer** activity)  
 IT Stomach  
 (parietal cell, uptake sites of lansoprazole on nerve cells and parietal cells in relation to **antiulcer** activity)  
 IT **103577-45-3, Lansoprazole**  
 RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)  
 (uptake sites of lansoprazole on fibroblasts and Helicobacter pylori in relation to **antiulcer** activity)  
 IT **103577-45-3, Lansoprazole**  
 RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)  
 (uptake sites of lansoprazole on fibroblasts and Helicobacter pylori in relation to **antiulcer** activity)  
 RN 103577-45-3 HCAPLUS  
 CN 1H-Benzimidazole, 2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl]methyl]sulfinyl]- (9CI) (CA INDEX NAME)



L41 ANSWER 23 OF 24 HCAPLUS COPYRIGHT 2002 ACS  
 ACCESSION NUMBER: 1992:503980 HCAPLUS  
 DOCUMENT NUMBER: 117:103980  
 TITLE: Pathogenesis and therapy of peptic **ulcer** observed by consecutive intragastric pH monitoring  
 AUTHOR(S): Murakami, Yoshiko; Matsumoto, Nori; Kawamoto, Yuji; Suenaga, Toshiaki; Shirakawa, Toshio; Inoue, Masaki; Kajiyama, Goro; Yokoya, Hitoshi; Nakamura, Michio  
 CORPORATE SOURCE: Sch. Med., Hiroshima Univ., Japan  
 SOURCE: Ther. Res. (1992), 13(Suppl. 1), 58-64  
 CODEN: THREEL; ISSN: 0289-8020  
 DOCUMENT TYPE: Journal  
 LANGUAGE: Japanese  
 AB Consecutive intragastric pH monitoring was conducted on cases of gastric ulcer and duodenal ulcer for the purpose of studying the pathogenesis and therapy of these diseases. In cases of duodenal ulcer, 84% of the cases did not show nocturnal intragastric pH inversion, while in cases of

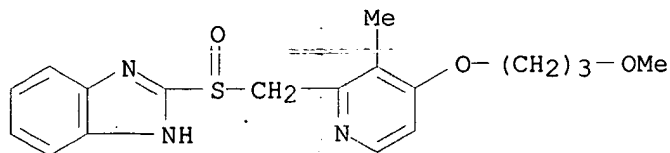
gastric ulcer, about half of the cases showed nocturnal intragastric pH inversion. The elevation of intragastric pH during the night by the administration of a common dose of H2-blocker was shorter in cases of H2-blocker-resistant ulcer than in cases of non-resistant ulcer. The intragastric pH during the day in cases of H2-blocker-resistant ulcer which did not heal by the administration of a common dose of H2-blocker was higher than in cases of H2-blocker-resistant ulcer which could be successfully healed by administration of a larger dose or a different kind of H2-blocker. Proton pump inhibitor was found to be more adequately effective in inhibiting postprandial gastric acid secretion than H2-blocker. The results suggested that the absence of nocturnal intragastric pH inversion is an important factor in the development of duodenal ulcer and that insufficient acid inhibition during the day is a factor involved in intractability of gastric ulcer and duodenal ulcer. Intragastric pH monitoring is considered to be a useful examn. in observing gastric acid secretion and in selecting drugs to be administered in prospective therapy of individual cases.

- CC 1-9 (Pharmacology)  
 Section cross-reference(s): 14  
 ST stomach duodenum **ulcer** treatment intragastric pH  
 IT **Ulcer**  
     (pathogenesis and treatment of **human**, consecutive  
     intragastric pH monitoring in)  
 IT Antihistaminics  
     (H2, duodenal and gastric **ulcer** treatment with, intragastric  
     pH monitoring in, in humans)  
 IT Intestine, disease  
     (duodenum, **ulcer**, pathogenesis and treatment of **human**  
     , consecutive intragastric pH monitoring in)  
 IT Rhythm, biological  
     (nocturnal, of gastric acid secretion, intragastric pH monitoring of,  
     in pathogenesis and treatment of peptic **ulcer** in humans)  
 IT 51481-61-9, Cimetidine 66357-35-5, Ranitidine 73590-58-6,  
 Omeprazole 76824-35-6, Famotidine 117976-90-6, E 3810  
 RL: BIOL (Biological study)  
     (duodenal and gastric **ulcer** treatment with, intragastric pH  
     monitoring in, in humans)  
 IT 12586-59-3, **Proton**  
 RL: BIOL (Biological study)  
     (**pump inhibitors**, duodenal and gastric  
     **ulcer** treatment with, intragastric pH monitoring in, in humans)  
 IT 73590-58-6, Omeprazole 117976-90-6, E 3810  
 RL: BIOL (Biological study)  
     (duodenal and gastric **ulcer** treatment with, intragastric pH  
     monitoring in, in humans)  
 RN 73590-58-6 HCAPLUS  
 CN 1H-Benzimidazole, 5-methoxy-2-[[4-methoxy-3,5-dimethyl-2-  
 pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



- RN 117976-90-6 HCAPLUS  
 CN 1H-Benzimidazole, 2-[[[4-(3-methoxypropoxy)-3-methyl-2-

pyridinyl)methyl]sulfinyl]-, sodium salt (9CI) (CA INDEX NAME)



L41 ANSWER 24 OF 24 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1992:120700 HCAPLUS

DOCUMENT NUMBER: 116:120700

TITLE: Effects of **proton pump****inhibitor** on gastric mucosa hemodynamics and tissue **oxygenation** in anesthetized rats

AUTHOR(S): Kawano, Sunao; Tanimura, Hirohisa; Sato, Nobuhiro; Tsuji, Shingo; Takei, Yoshiyuki; Ogihara, Tatsuo; Nagano, Kouichi; Fusamoto, Hideyuki; Kamada, Takenobu

CORPORATE SOURCE: Med. Sch., Osaka Univ., Osaka, 553, Japan

SOURCE: Eur. J. Pharmacol. (1992), 211(1), 55-60

CODEN: EJPHAZ; ISSN: 0014-2999

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Proton pump inhibitors have been reported to have a cytoprotective action in addn. to the anti-secretory action of acid. The precise mechanism, however, remains obscure. In this study, the effects of proton pump inhibitors (omeprazole and NC 1300) on gastric mucosa hemodynamics and tissue **oxygenation** were investigated using organ reflectance spectrophotometry in a hemorrhagic shock-reperfusion model involving anesthetized rats. Neither drug affected gastric mucosa hemodynamics nor tissue **oxygenation** in the basal state before hemorrhage. During the hemorrhagic shock state, however, these drugs maintained tissue **oxygenation** and reduced ulcer formation, although they did not show a significant effect on gastric mucosa blood vol. The results suggest that both proton pump inhibitors have an anti-ulcer action by maintaining mucosal **oxygenation** in addn. to the anti-secretory activity of acid.

CC 1-9 (Pharmacology)

ST **antiulcer proton pump inhibitor**gastric mucosa; circulation gastric mucosa **proton pump inhibitor**

IT Circulation

**Oxygenation**(of gastric mucosa, in hemorrhagic shock, **proton pump inhibitors** effect on, **ulcer inhibition** in relation to)IT **Ulcer inhibitors**(proton pump inhibitors, circulation and **oxygenation** of gastric mucosa response to, in hemorrhagic shock)

IT Shock

(hemorrhagic, **ulcer inhibitors** effect on gastric mucosa **oxygenation** and circulation in)

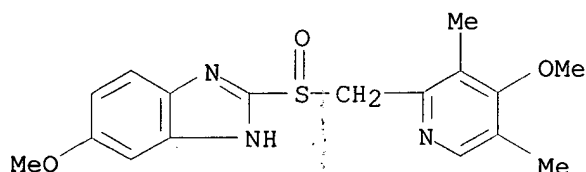
IT Stomach, toxic chemical and physical damage  
(mucosa, circulation and **oxygenation** of, in hemorrhagic  
shock, **proton pump inhibitors** effect on,  
**ulcer inhibition** in relation to)

IT 73590-58-6, Omeprazole 100924-68-3, NC-1300  
RL: BIOL (Biological study)  
(gastric mucosa circulation and **oxygenation** response to, in  
hemorrhagic shock, **antiulcer** mechanism in relation to)

IT 73590-58-6, Omeprazole  
RL: BIOL (Biological study)  
(gastric mucosa circulation and **oxygenation** response to, in  
hemorrhagic shock, **antiulcer** mechanism in relation to)

RN 73590-58-6 HCAPLUS

CN 1H-Benzimidazole, 5-methoxy-2-[[[4-methoxy-3,5-dimethyl-2-  
pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



L43 ANSWER 1 OF 6 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1999:565915 HCAPLUS

DOCUMENT NUMBER: 131:165295

TITLE: Antimicrobial compositions with synergistic effect,  
drugs and remedies for digestive diseases containing  
the same, process for the production thereof and  
preparations associated therewith

INVENTOR(S): Fujii, Kenji; Yamashita, Katsuji; Hosoe, Kazunori;  
Hidaka, Takayoshi

PATENT ASSIGNEE(S): Kaneka Corporation, Japan

SOURCE: PCT Int. Appl., 32 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

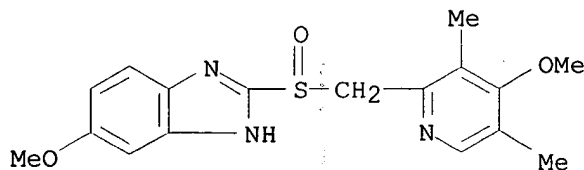
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9943327	A1	19990902	WO 1999-JP717	19990217
W: CA, JP, KR, US				
RW: BE, CH, DE, ES, FR, GB, IT				
EP 1057487	A1	20001206	EP 1999-905227	19990217
R: BE, CH, DE, ES, FR, GB, IT, LI				
PRIORITY APPLN. INFO.:		JP 1998-42418	A	19980224
		WO 1999-JP717	W	19990217

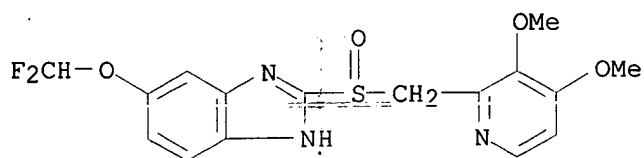
AB Antimicrobial compns. contg. (1) a rifamycin deriv. represented by formula  
(I) or a physiol. acceptable salt thereof, and (2) (a) a proton pump  
inhibitor or (b) a bismuth prepn., wherein the components (1) and (2) are  
used in such amts. as to achieve a synergistic effect. These compns. can  
be administered to patients with digestive diseases induced by the

infection with *Helicobacter pylori* in a small dose and at a low administration frequency compared with the cases of the conventional remedies.

- IC ICM A61K031-535  
ICS A61K031-54; A61K045-00; A61K031-44; A61K031-60; C07D498-18;  
C07E513-18
- CC 1-5 (Pharmacology)  
Section cross-reference(s): 63
- ST antimicrobial rifamycin synergistic remedy digestive disease; bismuth  
prepn antimicrobial rifamycin **antiulcer**; **proton**  
**pump inhibitor** antimicrobial rifamycin **antiulcer**
- IT **Antiulcer** agents  
*Helicobacter pylori*  
(antimicrobial compns. contg. rifamycin derivs. with synergistic  
effect, drugs and remedies for digestive diseases contg. the same,  
process for the prodn. thereof and preps. assocd. therewith)
- IT 813-93-4, Bismuth citrate 6998-60-3D, Rifamycin, derivs. 7460-14-2,  
Bismuth salicylate 73590-58-6, Omeprazole 102625-70-7,  
Pantoprazole 103577-45-3, Lansoprazole 104340-86-5,  
Leminoprazole  
RL: BAC (Biological activity or effector, except adverse); THU  
(Therapeutic use); BIOL (Biological study); USES (Uses)  
(antimicrobial compns. contg. rifamycin derivs. with synergistic  
effect, drugs and remedies for digestive diseases contg. the same,  
process for the prodn. thereof and preps. assocd. therewith)
- IT 12586-59-3, **Proton**  
RL: BPR (Biological process); BIOL (Biological study); PROC (Process)  
(**pump inhibitors**; antimicrobial compns. contg.  
rifamycin derivs. with synergistic effect, drugs and remedies for  
digestive diseases contg. the same, process for the prodn. thereof and  
preps. assocd. therewith)
- IT 73590-58-6, Omeprazole 102625-70-7, Pantoprazole  
103577-45-3, Lansoprazole 104340-86-5, Lemino-prazole  
RL: BAC (Biological activity or effector, except adverse); THU  
(Therapeutic use); BIOL (Biological study); USES (Uses)  
(antimicrobial compns. contg. rifamycin derivs. with synergistic  
effect, drugs and remedies for digestive diseases contg. the same,  
process for the prodn. thereof and preps. assocd. therewith)
- RN 73590-58-6 HCAPLUS
- CN 1H-Benzimidazole, 5-methoxy-2-[[[4-methoxy-3,5-dimethyl-2-  
pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)

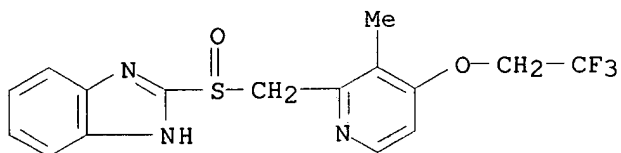


- RN 102625-70-7 HCAPLUS
- CN 1H-Benzimidazole, 5-(difluoromethoxy)-2-[[[3,4-dimethoxy-2-  
pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



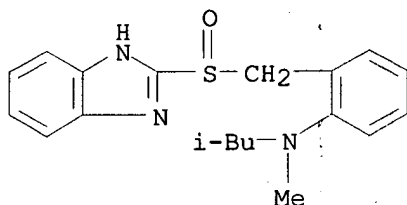
RN 103577-45-3 HCAPLUS

CN 1H-Benzimidazole, 2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl]methyl]sulfinyl]- (9CI) (CA INDEX NAME)



RN 104340-86-5 HCAPLUS

CN Benzenamine, 2-[(1H-benzimidazol-2-ylsulfinyl)methyl]-N-methyl-N-(2-methylpropyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L43 ANSWER 2 OF 6 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1998:56054 HCAPLUS

DOCUMENT NUMBER: 128:145387

TITLE: Combined use of pyridine derivatives as Helicobacter pylori inhibitors, proton pump inhibitors, and antibiotics or protozoacides

INVENTOR(S): Hirayama, Fumihiro; Yokoyama, Yoshito; Ikeda, Takashi; Sano, Mitsuharu; Katakita, Takeshi

PATENT ASSIGNEE(S): Yoshitomi Pharmaceutical Industries, Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 9 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 10017471	A2	19980120	JP 1996-175245	19960704

OTHER SOURCE(S): MARPAT 128:145387

- AB (A) pyridine derivs. I [R1 = H, halo, alkyl, alkoxy, OH, alkoxycarbonyl, CO2H, haloalkyl, NO2, NH2, mono- or dialkylamino, alkoxycarbonylalkylamino, carboxyalkylamino; R2-3 = H, halo, alkyl; P:Q = CH:CH, N:CH, CH:N; A = O, S, NR4 (R4 = H, alkyl, alkoxycarbonyl, hydroxyalkyl, alkoxyalkyl, acyloxyalkyl, alkoxycarbonylalkyl, carboxyalkyl, carbamoyl, carbamoylalkyl, mono- or dialkylcarbamoyl, mono- or dialkylcarbamoylalkyl, thiocarbamoyl, mono- or dialkylthiocarbamoyl); n = 0-2; B = S(O)p (p = 0-2); D = direct bond, (un)substituted alkylene, oxoalkylene, (LO)q (q = CH2CH2, CH:CH; q = 1-1000; if L = CH:CH, then q = 1); E = H, alkyl, alkoxyalkyl, NR6R7 [R6-7 = H, alkyl, cycloalkyl, acyl, (un)substituted Ph, (un)substituted phenylalkyl, (un)substituted heteroarylalkyl; NR6R7 may be condensed heterocycle], N-heterocycle Q [R8 = H, alkyl, acyl, carboxyalkyl, (un)substituted phenylalkyl; Y = CH2, O, S; l, m = 0-3]; if D = (LO)q, then E = H, alkyl] or their pharmaceutically acceptable salts are used in combination with (B) proton pump inhibitors, and (C) antibiotics or protozoacides for eradication of *H. pylori*, treatment of ulcer, and prevention of recurrence. A combination of omeprazole tablet, amoxicillin capsule, and capsule of 2-[3-methyl-4-(2-morpholinoethylthio)-2-pyridylmethylthio]-1H-benzimidazole trihydrochloride is exemplified.
- IC ICM A61K031-44  
ICS A61K031-44; A61K031-445; A61K031-475; A61K031-495; A61K031-535;  
C07D401-12; C07D401-14; C07D413-12; C07D413-14; C07D417-12;  
C07D498-04; C07D513-04
- CC 63-6 (Pharmaceuticals)  
Section cross-reference(s): 1
- ST pyridine *Helicobacter* **inhibitor** combination **antiulcer**  
agent; **proton pump inhibitor** *Helicobacter*  
bactericide pyridine; antibiotic combination *Helicobacter*  
**inhibitor** pyridine; protozoacide combination *Helicobacter*  
**inhibitor** pyridine
- IT Antibacterial agents  
**Antiulcer** agents  
*Helicobacter pylori*  
Protozoacides  
(combined use of pyridine derivs. as *Helicobacter pylori*  
**inhibitors, proton pump inhibitors**  
, and antibiotics or protozoacides)
- IT Antibiotics  
RL: BAC (Biological activity or effector, except adverse); THU  
(Therapeutic use); BIOL (Biological study); USES (Uses)  
(combined use of pyridine derivs. as *Helicobacter pylori*  
**inhibitors, proton pump inhibitors**  
, and antibiotics or protozoacides)
- IT 201988-51-4 201988-53-6  
RL: BAC (Biological activity or effector, except adverse); THU  
(Therapeutic use); BIOL (Biological study); USES (Uses)  
(combined use of pyridine derivs. as *Helicobacter pylori*  
**inhibitors, proton pump inhibitors**  
, and antibiotics or protozoacides)
- IT 201988-51-4 201988-53-6  
RL: BAC (Biological activity or effector, except adverse); THU  
(Therapeutic use); BIOL (Biological study); USES (Uses)  
(combined use of pyridine derivs. as *Helicobacter pylori*  
**inhibitors, proton pump inhibitors**  
, and antibiotics or protozoacides)
- RN 201988-51-4 HCAPLUS
- CN 4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 6-[[amino(4-hydroxyphenyl)acetyl]amino]-3,3-dimethyl-7-oxo-, [2S-[2.alpha.,5.alpha.,6.beta.(S\*)]]-, mixt. with 5-methoxy-2-[[[4-methoxy-3,5-

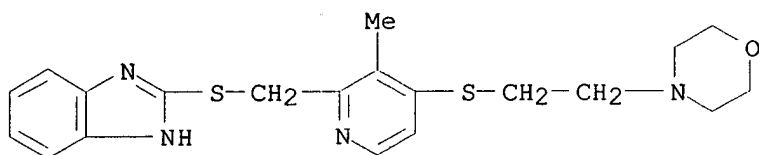


dimethyl-2-pyridinyl)methyl]sulfinyl]-1H-benzimidazole and  
 2-[[[3-methyl-4-[[2-(4-morpholinyl)ethyl]thio]-2-pyridinyl)methyl]thio]-1H-  
 benzimidazole trihydrochloride (9CI) (CA INDEX NAME)

CM 1

CRN 201988-50-3

CMF C20 H24 N4 O S2 . 3 Cl.H

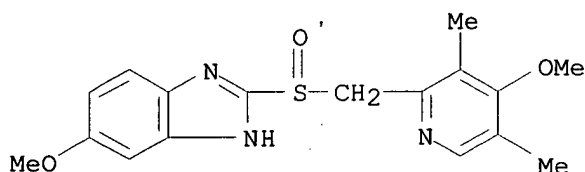


● 3 HCl

CM 2

CRN 73590-58-6

CMF C17 H19 N3 O3 S



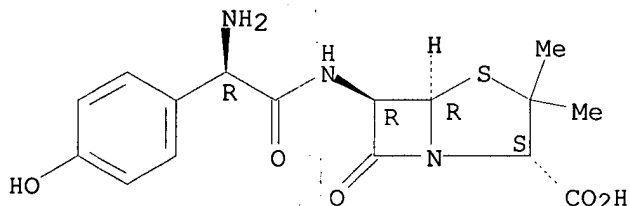
CM 3

CRN 26787-78-0

CMF C16 H19 N3 O5 S

CDES 1:2S2:2A, 5A, 6B(S\*)

Absolute stereochemistry.



RN 201988-53-6 HCAPLUS

CN 1H-Imidazole-1-ethanol, 2-methyl-5-nitro-, mixt. with 5-methoxy-2-[[[4-  
 methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-1H-benzimidazole and  
 2-[[[3-methyl-4-[[2-(4-morpholinyl)ethyl]thio]-2-pyridinyl)methyl]thio]-1H-

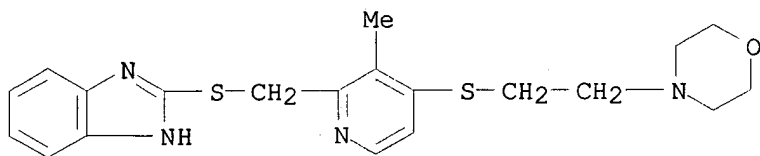
Cook 09/867,285

benzimidazole trihydrochloride (9CI) (CA INDEX NAME)

CM 1

CRN 201988-50-3

CMF C20 H24 N4 O S2 . 3 Cl H

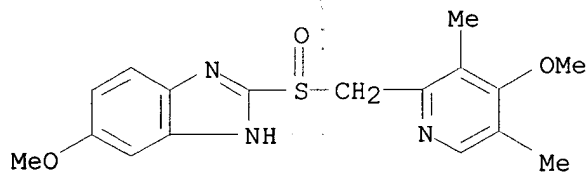


● 3 HCl

CM 2

CRN 73590-58-6

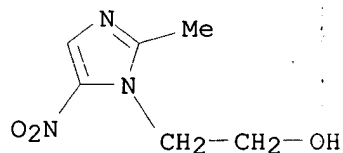
CMF C17 H19 N3 O3 S



CM 3

CRN 443-48-1

CMF C6 H9 N3 O3



L43 ANSWER 3 OF 6 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1996:605523 HCAPLUS

DOCUMENT NUMBER: 125:230851

TITLE: Concomitant administration of bismuth compounds and antibacterials for treatment of Helicobacter pylori infections

INVENTOR(S): Athanikar, Narayan Krishnarao

PATENT ASSIGNEE(S): USA

SOURCE: PCT Int. Appl., 38 pp.

DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 5  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9624341	A1	19960815	WO 1995-US15985	19951208
W: AU, CA, CN, CZ, HU, KR, MX, NZ, PL, RU, SK				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9645967	A1	19960827	AU 1996-45967	19951208
ZA 9600099	A	19970708	ZA 1996-99	19960108
PRIORITY APPLN. INFO.:			US 1995-385060	A 19950207
			US 1995-518971	A 19950824
			WO 1995-US15985	W 19951208

AB The invention relates to concomitant treatment with Bi compds. and antibiotics in oral and peroral dosage forms to eradicate H. pylori from its niches both in the dental plaque and in the gastric mucosa to improve the ulcer cure rate and prevent ulcer relapse. The invention also provides for treatment with bismuth compds., and antibiotics which are effective against Campylobacter rectus and Treponema denticola which are responsible for causing halitosis. The invention also provides bismuth compds. which have applications in wound healing, particularly in ocular and dermal wound healing. A chewing gum contg. colloidal Bi substrate is formulated.

IC ICM A61K009-68  
ICS A61K006-00

CC **63-6** (Pharmaceuticals)  
Section cross-reference(s): 1

IT Sanguinaria  
(antibiotics from; concomitant administration of bismuth compd. and antibacterials for treatment of **ulcer** and dental plaques)

IT Antibiotics  
Campylobacter pyloridis  
Campylobacter rectus  
Dentifrices  
Mouthwashes  
Treponema denticola  
Wound healing  
(concomitant administration of bismuth compd. and antibacterials for treatment of **ulcer** and dental plaques)

IT Peptides, biological studies  
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(lanthocins; concomitant administration of bismuth compd. and antibacterials for treatment of **ulcer** and dental plaques)

IT **Ulcer inhibitors**  
(proton-pump inhibitors; concomitant administration of bismuth compd. and antibacterials for treatment of **ulcer** and dental plaques)

IT Dentifrices  
(chewing gums, concomitant administration of bismuth compd. and antibacterials for treatment of **ulcer** and dental plaques)

IT Mouth  
(disease, halitosis, concomitant administration of bismuth compd. and antibacterials for treatment of **ulcer** and dental plaques)

IT Pharmaceutical dosage forms  
(lozenges, concomitant administration of bismuth compd. and antibacterials for treatment of **ulcer** and dental plaques)

IT Pharmaceutical dosage forms

(tablets, chewable, concomitant administration of bismuth compd. and antibacterials for treatment of **ulcer** and dental plaques)

IT 60-54-8, Tetracycline 69-53-4, Ampicillin 26787-78-0, Amoxicillin 57644-54-9, Bismuth subcitrate 73590-58-6, Omeprazole 103577-45-3, Lansoprazole

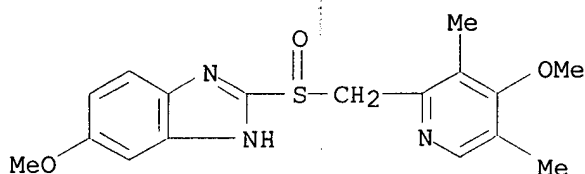
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(concomitant administration of bismuth compd. and antibacterials for treatment of **ulcer** and dental plaques)

IT 73590-58-6, Omeprazole 103577-45-3, Lansoprazole

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(concomitant administration of bismuth compd. and antibacterials for treatment of **ulcer** and dental plaques)

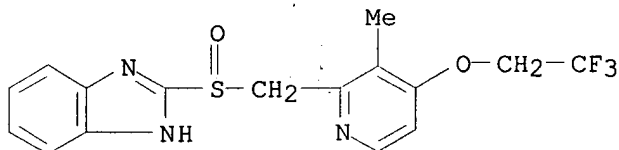
RN 73590-58-6 HCAPLUS

CN 1H-Benzimidazole, 5-methoxy-2-[[[4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



RN 103577-45-3 HCAPLUS

CN 1H-Benzimidazole, 2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



L43 ANSWER 4 OF 6 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1996:386189 HCAPLUS

DOCUMENT NUMBER: 125:41860

TITLE: An **antiulcer** medicine comprising a protein possessing cell growth factor activity and a **proton pump inhibitor**

INVENTOR(S): Satoh, Hiroshi

PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan

SOURCE: PCT Int. Appl., 32 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9607421	A2	19960314	WO 1995-JP1772	19950906
WO 9607421	A3	19960411		

W: AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, IS, KG, KR, KZ, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG,

SI, SK, TJ, TM, TT, UA, US, UZ, VN  
 RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT,  
 LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE,  
 SN, TD, TG

JP 08127540 A2 19960521 JP 1995-228028 19950905  
 AU 9533991 A1 19960327 AU 1995-33991 19950906  
 PRIORITY APPLN. INFO.: JP 1994-215717 19940909  
 WO 1995-JP1772 19950906

OTHER SOURCE(S): MARPAT 125:41860

AB The present invention relates to a medicine which comprises a combination of a protein possessing cell growth factor activity with a proton pump inhibitor which enhances the preventive and therapeutic effect of ulcers, particularly peptic ulcers. For example, a capsule contained lansoprazole 10, CS23 (bFGF mutein) 0.1, lactose 90, microcryst. cellulose 70, and Mg stearate 10 mg.

IC ICM A61K038-18

ICI A61K038-18, A61K031-44

CC 63-6 (Pharmaceuticals)  
 Section cross-reference(s): 1

ST **antiulcer** bFGF mutein **proton pump inhibitor**; capsule lansoprazole CS23 **ulcer inhibitor**

IT **Ulcer inhibitors**  
 (antiulcer medicine contg. protein possessing cell growth factor activity and **proton pump inhibitor**)

IT Pharmaceutical dosage forms  
 (capsules, **antiulcer** medicine contg. protein possessing cell growth factor activity and **proton pump inhibitor**)

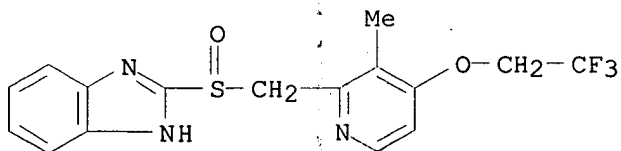
IT Pharmaceutical dosage forms  
 (tablets, **antiulcer** medicine contg. protein possessing cell growth factor activity and **proton pump inhibitor**)

IT 103577-45-3, Lansoprazole 106096-93-9, Basic fibroblast growth factor 120946-18-1, CS 23  
 RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (antiulcer medicine contg. protein possessing cell growth factor activity and **proton pump inhibitor**)

IT 103577-45-3, Lansoprazole  
 RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (antiulcer medicine contg. protein possessing cell growth factor activity and **proton pump inhibitor**)

RN 103577-45-3 HCAPLUS

CN 1H-Benzimidazole, 2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl]methyl]sulfinyl]- (9CI) (CA INDEX NAME)



L43 ANSWER 5 OF 6 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1995:470389 HCAPLUS

DOCUMENT NUMBER: 122:222897

TITLE: Formulations comprising antibacterial substances and  
**antiulcer** substancesINVENTOR(S): Akiyama, Yokko; Nakao, Masafumi; Nagahara, Naoki;  
Iwasa, Susumu

PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan

SOURCE: Eur. Pat. Appl., 20 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 642797	A1	19950315	EP 1994-306351	19940830
EP 642797	B1	20000517		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
EP 995447	A1	20000426	EP 1999-203554	19940830
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE				
AT 192932	E	20000615	AT 1994-306351	19940830
ES 2145102	T3	20000701	ES 1994-306351	19940830
CA 2131569	AA	19950310	CA 1994-2131569	19940907
JP 07126189	A2	19950516	JP 1994-213453	19940907
CN 1105855	A	19950802	CN 1994-109146	19940909
CN 1051922	B	20000503		
US 5948773	A	19990907	US 1997-863293	19970527
US 6319904	B1	20011120	US 1999-348313	19990707
US 2001027192	A1	20011004	US 2001-858655	20010517
PRIORITY APPLN. INFO.:			JP 1993-224707	A 19930909
			EP 1994-306351	A3 19940830
			US 1994-303674	B1 19940909
			US 1997-863293	A3 19970527
			US 1999-348313	A3 19990707

OTHER SOURCE(S): MARPAT 122:222897

AB The present invention includes a formulation which comprises an antibacterial substance and an antiulcer substance, wherein at least either of them is formulated into a gastrointestinal mucosa-adherent solid prepn. The formulation shows a long retention time in the gastrointestinal tract because of adhesion to the gastrointestinal tract mucosa, synergetically enhances the pharmaceutical effects of an antibacterial substance, esp. an antibiotic against *Helicobacter pylori* (HP) and an antiulcer substance, with very low doses of active ingredients, particularly the anti-HP antibiotic with low prevalence of side effects. For example, 2-[2-[3-methyl-4-(2,2,3,3-tetrafluoropropoxy)pyridyl]methylthio]benzimidazole 15, amoxicillin 5, behenic acid polyglyceride (HB-310) 65, and poly(acrylic acid) 15g were mixed and granulated.

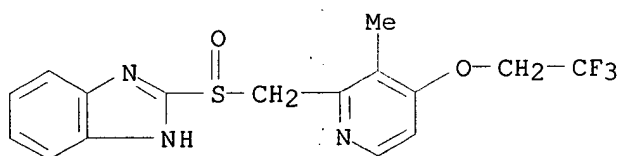
IC ICM A61K045-06  
ICS A61K047-14; A61K047-32; A61K047-36; A61K031-43; A61K031-44;  
A61K009-00

CC 63-6 (Pharmaceuticals)

ST **antiulcer** antibiotic combination mucosa adherent matrix;  
benzimidazole amoxicillin polyglyceride **antiulcer** oral prepn

IT Antibiotics  
*Campylobacter pyloridis*  
**Ulcer inhibitors**

- (mucosa-adherent **antiulcer** preps. contg. antibiotics and **proton pump inhibitors**)
- IT Pharmaceutical dosage forms  
(capsules, mucosa-adherent **antiulcer** preps. contg. antibiotics and **proton pump inhibitors**)
- IT Pharmaceutical dosage forms  
(granules, mucosa-adherent **antiulcer** preps. contg. antibiotics and **proton pump inhibitors**)
- IT Pharmaceutical dosage forms  
(solids, oral, mucosa-adherent **antiulcer** preps. contg. antibiotics and **proton pump inhibitors**)
- IT 57-92-1, Streptomycin, biological studies 60-54-8, Tetracycline  
61-33-6, Benzylpenicillin, biological studies 114-07-8, Erythromycin  
1406-05-9, Penicillin 9003-01-4, Poly(acrylic acid) 25618-55-7D,  
Polyglycerin, fatty acid esters 26787-78-0, Amoxicillin 32887-01-7,  
Mecillinam 61477-96-1, Piperacillin 64221-86-9, Imipenem 64366-79-6  
**103577-45-3**, Lansoprazole 103577-82-8  
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(mucosa-adherent **antiulcer** preps. contg. antibiotics and **proton pump inhibitors**)
- IT **103577-45-3**, Lansoprazole  
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(mucosa-adherent **antiulcer** preps. contg. antibiotics and **proton pump inhibitors**)
- RN 103577-45-3 HCAPLUS
- CN 1H-Benzimidazole, 2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl]methyl]sulfinyl]- (9CI) (CA INDEX NAME)



L43 ANSWER 6 OF 6 HCAPLUS COPYRIGHT 2002 ACS  
 ACCESSION NUMBER: 1995:294419 HCAPLUS  
 DOCUMENT NUMBER: 122:64400  
 TITLE: Veterinary composition containing a **proton pump inhibitor**  
 INVENTOR(S): Olovson, Stig-Goeran Arthur; Pilbrant, Aake Gunnar  
 PATENT ASSIGNEE(S): Astra AB, Swed.  
 SOURCE: PCT Int. Appl., 18 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9425070	A1	19941110	WO 1994-SE368	19940426
W: AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, FI, GB, GE, HU, JP, KG, KP, KR, KZ, LK, LU, LV, MD, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, UZ, VN				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				

IL 109245	A1	20000716	IL 1994-109245	19940407
LT 3263	B	19950525	LT 1994-1920	19940422
CA 2161683	AA	19941110	CA 1994-2161683	19940426
AU 9466938	A1	19941121	AU 1994-66938	19940426
AU 678830	B2	19970612		
EP 696921	A1	19960221	EP 1994-914665	19940426
EP 696921	B1	20010207		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
BR 9406363	A	19960227	BR 1994-6363	19940426
CN 1122109	A	19960508	CN 1994-191967	19940426
JP 08509493	T2	19961008	JP 1994-524159	19940426
HU 74868	A2	19970228	HU 1995-3085	19940426
RU 2131267	C1	19990610	RU 1995-122630	19940426
CZ 285191	B6	19990616	CZ 1995-2825	19940426
PL 176755	B1	19990730	PL 1994-311276	19940426
SK 280465	B6	20000214	SK 1995-1354	19940426
AT 199060	E	20010215	AT 1994-914665	19940426
ES 2155473	T3	20010516	ES 1994-914665	19940426
US 5731002	A	19980324	US 1994-235258	19940429
NO 9504240	A	19951023	NO 1995-4240	19951023
FI 9505124	A	19951027	FI 1995-5124	19951027

## PRIORITY APPLN. INFO.:

SE 1993-1489	A	19930430
WO 1994-SE368	W	19940426

AB A stable, oral pharmaceutical compn. comprising a proton pump inhibitor and a gelling agent designed for the treatment of gastric acid related diseases in animals. E.g., omeprazole enteric-coated pellets were prepd.

IC ICM A61K047-36  
ICS A61K009-30; A61K031-44

CC 63-6 (Pharmaceuticals)

ST **proton pump inhibitor** veterinary compn

IT Stomach  
(acid, disease; veterinary compn. contg. a **proton pump inhibitor**)

IT **Ulcer**  
(veterinary compn. contg. a **proton pump inhibitor**)

IT Pharmaceutical dosage forms  
(tablets, veterinary compn. contg. a **proton pump inhibitor**)

IT 12408-02-5, Hydrogen ion, biological studies  
RL: BPR (Biological process); BIOL (Biological study); PROC (Process)  
(veterinary compn. contg. a **proton pump inhibitor**)

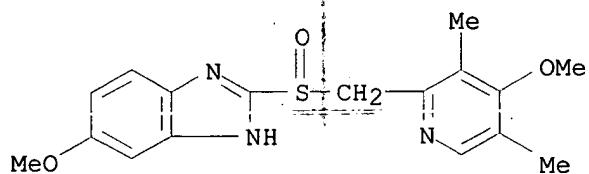
IT 73590-58-6, Omeprazole 102625-70-7, Pantoprazole 103577-45-3, Lansoprazole 104340-86-5, Leminoprazole  
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(veterinary compn. contg. a **proton pump inhibitor**)

IT 73590-58-6, Omeprazole 102625-70-7, Pantoprazole 103577-45-3, Lansoprazole 104340-86-5, Leminoprazole  
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(veterinary compn. contg. a **proton pump inhibitor**)

RN 73590-58-6 HCAPLUS

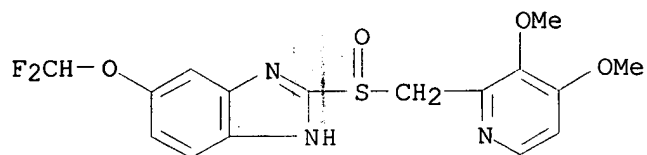
CN 1H-Benzimidazole, 5-methoxy-2-[[[4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)





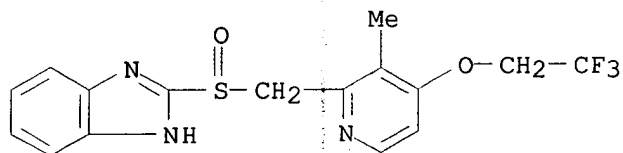
RN 102625-70-7 HCAPLUS

CN 1H-Benzimidazole, 5-(difluoromethoxy)-2-[[[3,4-dimethoxy-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



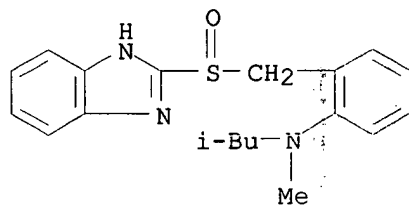
RN 103577-45-3 HCAPLUS

CN 1H-Benzimidazole, 2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



RN 104340-86-5 HCAPLUS

CN Benzenamine, 2-[[[1H-benzimidazol-2-ylsulfinyl)methyl]-N-methyl-N-(2-methylpropyl)- (9CI) (CA INDEX NAME)



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(FILE 'HCAPLUS' ENTERED AT 10:28:58 ON 14 MAR 2002)  
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FILE 'WPIDS' ENTERED AT 11:37:17 ON 14 MAR 2002

L1 283 S E 3810 OR PARIPRAZOLE OR RABEPRAZOLE OR S 4216 OT LEMINOPRA  
L2 106 S A 65006 OR AG 1749 OR AGOPTON OR ILSATEC OR KETIAN OR LANCID  
L3 6 S ACIDEX OR ANTRA OR AUDAXOL OR AULCER OR BELMAZOL OR CEPRANDAL  
E INDURGAN OR INHIBITRON OR INHIPUMP OR LOGASTRIC OR LOMAC OR L  
L4 7 S INDURGAN OR INHIBITRON OR INHIPUMP OR LOGASTRIC OR LOMAC OR L  
L5 161 S OMEPRAL OROMEPRAZOLE OR OMPRAZON OR OMEPRIL OR OMEZOL OR OMEZ  
L6 504 S L1-L5  
L7 732 S L6 OR OMEPRAZOLE  
L8 352 S OMEPRAZOLE OR LANSOPRAZOLE OR PANTOPRAZOLE OR E 3810 OR LEMIN  
L9 734 S L7 OR L8  
L10 14886 S ULCER? OR ANTIULCER?  
L11 179 S L10 AND L9  
L12 197 S PROTON PUMP (4A) INHIBIT?  
L13 ( 48807) S O2 OR OXYGEN COMSUMP?  
L14 49365 S O2 OR OXYGEN CONSUMP?  
L15 1 S PHYSIL? (4A) PERFORM?  
L16 22182 S FATIGUE?  
L17 102 S PHYSIOL? (4A) PERFORM?  
L18 71563 S L14-L17  
L19 56 S L11 AND L12  
L20 0 S L19 AND L18  
L21 0 S L11 AND L18  
E WO2001091748/PN  
L22 1 S E3  
L23 117 S L12 AND L10  
L24 3 S L23 AND L18  
L25 25822 S PHYSIOL?  
L26 1 S L11 AND L25  
L27 3302 S DRUG DELIVER?  
L28 1 S L27 AND L11  
L29 0 S L23 AND L27  
L30 5 S L24 OR L22 OR L26 OR L28

FILE 'WPIDS' ENTERED AT 11:47:27 ON 14 MAR 2002

=&gt; d que L 30

L1 283 SEA FILE=WPIDS ABB=ON E 3810 OR PARIPRAZOLE OR RABEPRAZOLE  
OR S 4216 OT LEMINOPRAZOLE OR PANTOPRAZOLE OR BY 1023 OR SKF  
96022 OR 711SE OR PIPRATECOL

L2 106 SEA FILE=WPIDS ABB=ON A 65006 OR AG 1749 OR AGOPTON OR  
ILSATEC OR KETIAN OR LANCID OR LANFAST OR LANPROTON OR  
LANSOPRAZOLE OR LANSTON OR LANZ OR LANZOL 30 OR LANZOPRAL OR  
LANZOR OR OGASTRO OR PREVACID OR PROSOGAN OR SUPRECID OR  
TAKEPRON OR ULPAX OR ZOTON

L3 6 SEA FILE=WPIDS ABB=ON ACIDEX OR ANTRA OR AUDAXOL OR AULCER OR  
BELMAZOL OR CEPRANDAL OR DESEC OR DIZPRAZOL OR DUDENCER OR  
ELGAM OR EMEPROTON OR EPIRAZOLE OR GASTRIMUT OR GASTROZOLE OR  
GIBANCER

L4 7 SEA FILE=WPIDS ABB=ON INDURGAN OR INHIBITRON OR INHIPUMP OR  
LOGASTRIC OR LOMAC OR LOSEC OR MIOL OR MIRACID OR MOPRAL OR  
OCID OR OMAPREN OR OMEBETA 20 OR OMED OR OMEDAR OR OMEP

L5 161 SEA FILE=WPIDS ABB=ON OMEPRAL OROMEPRAZOLE OR OMPRAZON OR  
OMEPRIL OR OMEZOL OR OMEZZOL OR OMID OR OMISEC OR OMIZAC OR  
OMP OR OMPANYT OR OMZ OR OPRAX OR OPRAZ OR OZOKEN

L6 504 SEA FILE=WPIDS ABB=ON (L1 OR L2 OR L3 OR L4 OR L5)

L7 732 SEA FILE=WPIDS ABB=ON L6 OR OMEPRAZOLE

L8 352 SEA FILE=WPIDS ABB=ON OMEPRAZOLE OR LANSOPRAZOLE OR PANTOPRAZO  
LE OR E 3810 OR LEMINOPRAZOLE OR S 4216

L9 734 SEA FILE=WPIDS ABB=ON L7 OR L8

L10 14886 SEA FILE=WPIDS ABB=ON ULCER? OR ANTIULCER?

L11 179 SEA FILE=WPIDS ABB=ON L10 AND L9

L12 197 SEA FILE=WPIDS ABB=ON PROTON PUMP (4A) INHIBIT?

L14 49365 SEA FILE=WPIDS ABB=ON O2 OR OXYGEN CONSUMP?

L15 1 SEA FILE=WPIDS ABB=ON PHYSIL? (4A) PERFORM?

L16 22182 SEA FILE=WPIDS ABB=ON FATIGUE?

L17 102 SEA FILE=WPIDS ABB=ON PHYSIOL? (4A) PERFORM?

L18 71563 SEA FILE=WPIDS ABB=ON (L14 OR L15 OR L16 OR L17)

L22 1 SEA FILE=WPIDS ABB=ON WO2001091748/PN

L23 117 SEA FILE=WPIDS ABB=ON L12 AND L10

L24 3 SEA FILE=WPIDS ABB=ON L23 AND L18

L25 25822 SEA FILE=WPIDS ABB=ON PHYSIOL?

L26 1 SEA FILE=WPIDS ABB=ON L11 AND L25

L27 3302 SEA FILE=WPIDS ABB=ON DRUG DELIVER?

L28 1 SEA FILE=WPIDS ABB=ON L27 AND L11

L30 5 SEA FILE=WPIDS ABB=ON L24 OR L22 OR L26 OR L28

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L30 ANSWER 1 OF 5 WPIDS COPYRIGHT 2002 DERWENT INFORMATION LTD  
AN 2002-097731 [13] WPIDS  
DNC C2002-030480  
TI Use of a **proton pump inhibitor** in a  
formulation for the prevention of gastrointestinal **ulcers**.  
DC B04 B05 C06  
IN PIPERS, F  
PA (MERI-N) MERIAL LTD  
CYC 95  
PI WO 2001091748 A2 20011206 (200213)\* EN 17p <--  
RW: AT BE CH CY DE DK EA ES FI FR GB GH GM GR IE IT KE LS LU MC MW MZ  
NL OA PT SD SE SL SZ TR TZ UG ZW  
W: AE AG AL AM AT AU AZ BA BB BG BR BY BZ CA CH CN CO CR CU CZ DE DK  
DM DZ EC EE ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KP KR

KZ LC LK LR LS LT LU LV MA MD MG MK MN MW MX MZ NO NZ PL PT RO RU  
SD SE SG SI SK SL TJ TM TR TT TZ UA UG UZ VN YU ZA ZW

ADT WO 2001091748 A2 WO 2001-EP5788 20010518

PRAI US 2000-207878P 20000530

AB WO 200191748 A UPAB: 20020226

NOVELTY - Preparation of a formulation for the prevention of gastric **ulcers** in a mammal involves the use of a **proton pump inhibitor**.

ACTIVITY - **Antiulcer**; Antiinflammatory.

MECHANISM OF ACTION - **Proton pump inhibitor**.

USE - In the treatment of gastric **ulcers** such as gastrointestinal **ulcers** in mammal such as a horse, dog or human (claimed).

ADVANTAGE - The method improves physiological responses in mammal such as horses, e.g. **oxygen consumption** and time to **fatigue**.

Dwg.0/0

L30 ANSWER 2 OF 5 WPIDS COPYRIGHT 2002 DERWENT INFORMATION LTD

AN 2001-420606 [45] WPIDS

DNC C2001-127343

TI Agent for preventing and treating stomach gastritis or duodenal **ulcer**, comprises aggregated active factor with respect to Helicobacter genus, and acid secretion inhibitor.

DC B05

PA (IYAK-N) IYAKUHIN FUKUSAYO HIGAI KYUSAI KENKYU SH; (KOKU-N) KOKURITSU GAN CENT SOCHO; (TAIC) TAIYO KAGAKU KK

CYC 1

PI JP 2001081049 A 20010327 (200145)\* 4p

ADT JP 2001081049 A JP 1999-258375 19990913

PRAI JP 1999-258375 19990913

AB JP2001081049 A UPAB: 20010813

NOVELTY - An agent for preventing and treating stomach gastritis or duodenal **ulcer**, comprises an aggregated active factor with respect to Helicobacter genus, and an acid section inhibitor.

ACTIVITY - Antimicrobial; **antiulcer**. 7 week-old male Mongolian gerbils were treated with Helicobacter pylori antibody obtained according to Journal of Gastroenterology, 31(5), 755 (1996). The infected animals were divided into 5 groups. Group 1 was treated with **physiological** saline, group 2 with IgY, group 3 with proton pump inhibitor, group 4 with 2 mg/10 g body weight of anti-pylori microbe IgY and 20 micro g/10 g body weight of proton pump, and group 5 with sugar. The treated animals were decapitated, their stomachs were opened and positive number of surviving microbes were counted by CLO-test. Group 4 showed the lowest number of surviving microbes when compared to other the groups, hence the agent was effective in preventing and treating duodenal **ulcer** and stomach gastritis.

MECHANISM OF ACTION - H2 receptor inhibitor; proton pump inhibitor (claimed). No test details are given for above mentioned mechanism of actions.

USE - For treating and preventing stomach gastritis or duodenal **ulcer** caused by Helicobacter (claimed).

ADVANTAGE - The agent formulated as tablet, capsule or as powder effectively eliminates microbes causing duodenal **ulcer** and stomach gastritis, without any side effects.

Dwg.0/0

L30 ANSWER 3 OF 5 WPIDS COPYRIGHT 2002 DERWENT INFORMATION LTD

AN 1999-204378 [17] WPIDS

DNC C1999-059450  
 TI Controlling gram negative infections e.g. H.pylori infection and resultant **ulcer** or other gastrointestinal disorder - comprises administering polyether ionophore antibiotic e.g. monensin.  
 DC B03  
 IN BERKOWITZ, B; BLACKBURN, C; SACHS, G  
 PA (MILL-N) MILLENNIUM PHARM INC  
 CYC 22  
 PI WO 9907361 A1 19990218 (199917)\* EN 66p  
 RW: AT BE CH CY DE DK ES FI FR GB GR IE IT LU MC NL PT SE  
 W: AU CA JP  
 AU 9887701 A 19990301 (199928)  
 US 6017950 A 20000125 (200012)  
 US 6271256 B1 20010807 (200147)  
 US 2001044463 A1 20011122 (200176)  
 ADT WO 9907361 A1 WO 1998-US16299 19980805; AU 9887701 A AU 1998-87701 19980805; US 6017950 A US 1997-905985 19970805; US 6271256 B1 Div ex US 1997-905985 19970805, US 1999-451622 19991130; US 2001044463 A1 Div ex US 1997-905985 19970805, Div ex US 1999-451622 19991130, US 2001-865160 20010524  
 FDT AU 9887701 A Based on WO 9907361; US 6271256 B1 Div ex US 6017950; US 2001044463 A1 Div ex US 6017950, Div ex US 6271256  
 PRAI US 1997-905985 19970805; US 1999-451622 19991130; US 2001-865160 20010524  
 AB WO 9907361 A UPAB: 19990503  
 NOVELTY - Controlling gram negative infections e.g. Helicobacter pylori infections, in mammals comprises administration of a polyether ionophore related to monensin.  
 DETAILED DESCRIPTION - Method for controlling gram negative bacteria in a mammal, comprises administration of a heterocyclyl substituted bicyclic spiroether compound in which both rings are 3-20C, preferably 4-7C, optionally in combination with a **proton pump inhibitor**, an acid agonist or blocker, and/or bismuth salt, is new.  
 USE - The disorders treated are those resulting from infections by gram negative bacterial infection, particularly H. pylori, normally associated with the gastrointestinal (GI) tract. The method does not apply to gram negative bacteria found normally as GI flora, e.g. E. coli, and not causing infections. The disorders include particularly inflammation and **ulcers**. Other disorders resulting from infections are gastritis, non-**ulcer** dyspepsia, esophageal reflux, typhus, food poisoning, bacillary dysentery and pneumonia, and cholera; non-GI conditions include acne rosacea, 'Gulf veterans' syndrome', chronic **fatigue**, and halitosis. (I) are also used for treating animals, including cattle, sheep, pigs, horses, dogs, cats, rats, and mice.  
 ADVANTAGE - Many prior art treatments may not be effective in vivo, due to bacterial resistance, poor bioavailability, lack of selectivity for H. pylori, and patient non-compliance.  
 Dwg.0/0

L30 ANSWER 4 OF 5 WPIDS COPYRIGHT 2002 DERWENT INFORMATION LTD  
 AN 1998-286570 [25] WPIDS  
 DNC C1998-088716  
 TI Delayed release **drug delivery** system for **omeprazole** and acid sensitive drugs - comprises basic alkaline core, multiple layers of drug separated by moisture barriers and enteric layer.  
 DC A96 B07  
 IN SHARMA, V K  
 PA (SHAR-N) SHARMATEK INC

CYC 26

PI WO 9819668 A1 19980514 (199825)\* EN 29p  
 RW: AT BE CH DE DK ES FI FR GB GR IE IT LU MC NL PT SE  
 W: AU ID JP KR NO NZ US

AU 9851798 A 19980529 (199841)  
 NO 9902186 A 19990505 (199933)  
 ZA 9709937 A 19990728 (199935) 26p  
 EP 941074 A1 19990915 (199942) EN

R: AT BE CH DE DK ES FI FR GB GR IE IT LI LU MC NL PT SE

ADT WO 9819668 A1 WO 1997-US20851 19971105; AU 9851798 A AU 1998-51798  
 19971105; NO 9902186 A WO 1997-US20851 19971105, NO 1999-2186 19990505; ZA  
 9709937 A ZA 1997-9937 19971105; EP 941074 A1 EP 1997-946676 19971105, WO  
 1997-US20851 19971105

FDT AU 9851798 A Based on WO 9819668; EP 941074 A1 Based on WO 9819668

PRAI US 1996-740981 19961106

AB WO 9819668 A UPAB: 19980624

Delayed release **drug delivery** system comprises pellets comprising a core of a basic alkaline material, a coating of **omeprazole** surrounding the basic alkaline core and an enteric membrane. The system has layers of **omeprazole** are separated by non-enteric moisture barriers surrounding the **omeprazole** layers and at least 1 enteric layer comprising an enteric film former plasticised with a water soluble plasticiser. The enteric membranes have a weight gain sufficient to permit release of **omeprazole** after immersion in both 0.1N HCl for 2 hours for enteric behaviour, followed by pH 6.8 buffer, the release corresponding to a drug release pattern of 0% of the total **omeprazole** released after at least 1.5 hours of measurement in 0.1N HCl and from 60-80% of the total **omeprazole** released after 45 minutes of measurement in the pH6.8 buffer.

The basic alkaline core preferably comprises a basic alkaline material and a spheronising structuring agent. The basic alkaline material comprises salts of strong basic cations and weak acidic anions, metal oxides, organic buffers, natural clays or sodium borate. The ratio of **omeprazole** to alkaline material is 1:1- 1:5 and the ratio of alkaline material to spheronising /disintegrating agent is 2:1-1:2. The pellets comprise at least 3 distinct drug layers of **omeprazole** separated by moisture barrier layers which comprise water insoluble semipermeable polymeric membranes, preferably a cellulose-based resin. The delayed release enteric barrier comprises an enteric film former plasticised with a water soluble plasticiser and is preferably a cellulose-based polymer or an acrylic resin. The plasticiser comprises a triacetin, triethyl citrate or propylene glycol. The ratio of polymer to plasticiser is 9:1-7:3.

USE - **Omeprazole** has inhibitory action against the secretion of gastric juices and can be used in the treatment of gastric and duodenal **ulcers**. The delayed release delivery system for **omeprazole** allows site specific delivery and pulsatile (bolus) kinetics for once-a -day dosage. The delivery system can be used to deliver acid sensitive drugs or biologically active materials e.g. vitamins, vaccines, antibiotics, antifungal agents, muscle relaxers and mood altering drugs.

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L30 ANSWER 5 OF 5 WPIDS COPYRIGHT 2002 DERWENT INFORMATION LTD

AN 1997-021672 [02] WPIDS

CR 1996-506074 [50]; 1996-506079 [50]; 1996-506082 [50]; 1997-021670 [49];  
 1997-021671 [49]

DNC C1997-007027

TI gem-Diol ester(s) of polyunsaturated fatty acids e.g. gamma linolenic acid  
 - for pharmaceutical, food and cosmetic use.

DC B04 B05 C03 D13 D21  
 IN HORROBIN, D F; KNOWLES, P; MANKU, M; MCMORDIE, A; PITT, A; REDDEN, P  
 PA (SCOT-N) SCOTIA HOLDINGS PLC  
 CYC 1  
 PI ZA 9603433 A 19961030 (199702)\* EN 41p  
 ADT ZA 9603433 A ZA 1996 3433 19960430  
 PRAI GB 1995-8823 19950501  
 AB ZA 9603433 A UPAB: 19970212

gem-Diol esters of formula R1O-CHR3-OR2 (I) are new. R1 = acyl gp. derived from a 16-30C fatty acid contg. two or more cis or trans double bonds, partic. an n-6 or n-3 series essential fatty acid or conjugated linoleic acid (cLA) or columbinic acid (CA) or parinaric acid; R2 = R1 or any other nutrient, drug or bioactive residue; R3 = H or hydrocarbyl opt. contg. heteroatoms, pref. alkyl, partic. 1-4C alkyl.

USE - (I) where R1 = acyl derived from gamma linolenic acid (GLA) or dihomogamma linolenic acid (DGLA) and R2 = acyl derived from GLA, DGLA, stearidonic acid (SA), eicosapentaenoic acid (EPA), docosahexaenoic acid (DHA), cLA or CA are useful as food components, nutritional supplements, food additives, components of clinical nutrition prods. for enteral or parenteral admin. and cosmetic components, esp. for treating (a) complications of diabetes, esp. neuropathy and retinopathy; and improvement of responses to insulin in diabetes and pre-diabetes; (b) cancers; (c) osteoarthritis; (d) rheumatoid arthritis; (e) other inflammatory and auto-immune diseases e.g. Sjogren's syndrome, systemic lupus, **ulcerative** colitis, Crohn's disease and uveitis; (f) respiratory diseases e.g. asthma; (g) neurological disorders e.g. multiple sclerosis, Parkinson's disease and Huntington's chorea; (h) renal and urinary tract disorders; (i) cardiovascular disorders; (j) degenerative diseases of the eye e.g. retinitis pigmentosa and senile macular degeneration; (k) psychiatric disorders including schizophrenia, Alzheimer's disease, attention deficit disorder, alcoholism and depression; (l) prostatic hypertrophy and prostatitis; (m) impotence and male infertility; (n) mastalgia; (o) male pattern baldness; (p) osteoporosis; (q) dermatological and allergic disorders; (r) dyslexia and other learning disabilities; and (s) cancer cachexia. (I) where R1 = acyl derived from GLA, DGLA, arachidonic acid (AA), SA, cLA, EPA or DHA and R2 = one of the following agents are useful for treating any disease esp. the following disorders, and other uses mentioned: (a) tryptophan for psychiatric, neurological, behavioural, pain and other disorders and esp. depression, sleep and migraine; (b) phenylalanine for depression, multiple sclerosis and chronic **fatigue** syndrome; (c) arginine for diseases in which the production of nitric oxide is defective; (d) carnitine or carnitine derivs. for muscle weakness, cardiac failure, chronic **fatigue** syndrome, Alzheimer's disease, and peripheral neuropathies; (e) any other amino acid or related substance or aminolevulinic acid or deriv. thereof for cancers; (f) adenylosuccinate or related substances for muscular dystrophy, cardiac failure, chronic **fatigue** and Alzheimer's disease and other dementias; (g) aspirin, salicylic acid, indomethacin, ibuprofen, or any other non-steroidal anti-inflammatory drug for inflammatory disorders or pain, of Alzheimer's disease and other dementias and of any disease in which platelet aggregation should be inhibited; (h) any antibiotic for the treatment of any appropriate infectious disease but esp. tetracycline, clindamycin, minocycline, chlortetracycline and erythromycin for the treatment of acne; (i) any antimalarial or anti-protozoal drug esp. chloroquine, mepacrine, quinacrine and mefloquine for the treatment of malaria, protozoal disorders, inflammatory disorders and schizophrenia; (j) any antifungal drug esp. metronidazole and antifungal imidazoles and nitroimidazoles and amphotericin for the treatment of fungal infections of various types; (k) any anti-inflammatory steroid esp. hydrocortisone and betamethasone for

the treatment of skin disorders and beclomethasone and budesonide for the treatment of asthma; (l) any gonadal steroid esp. oestrogens and progestogens for the treatment of ovarian deficiency and osteoporosis and androgens for the treatment of testicular deficiency; (m) any adrenal steroid esp. dehydroepiandrosterone for the treatment of disorders associated with ageing; (n) any retinoid esp. tretinoin and isotretinoin for the treatment of dermatological disorders and for use in skin care ; (o) any anticancer agent for the treatment of cancer; (p) any antipsychotic agent for the treatment of schizophrenia and other psychoses; (q) any antidepressive agent for the treatment of depression; (r) any anti-anxiety agent esp. for the treatment of anxiety and panic attacks; (s) any immunosuppressive agent esp. cyclosporine and tacrolimus for the control of immunity after organ transplantation and for the treatment of autoimmune and inflammatory disorders including psoriasis, eczema, asthma, rheumatoid arthritis and inflammatory bowel disease; (t) any **proton pump inhibitor** or H2 antagonist esp. diseases associated with excess gastric acid production or reduced defences against gastric acidity; (u) any diuretic to treat fluid retention and hypertension; (v) any calcium antagonist or angiotensin converting enzyme inhibitor or beta blocker to treat cardiovascular disease; (w) antiepileptic drug esp. phenytoin, carbamazepine or lamotrigine to treat epilepsy; (x) any hypolipidaemic agent esp. fibrates and statins for cholesterol lowering; (y) any oral hypoglycaemic for diabetes management; (z) any bisphosphonates for management of osteoporosis or Paget's disease; (aa) any contrast agents for radiology; (bb) any peptide or protein for treatment using these diseases.

ADVANTAGE - Transport through lipid membranes, e.g. of cells, of the skin or the blood-brain barrier, is enhanced.  
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